

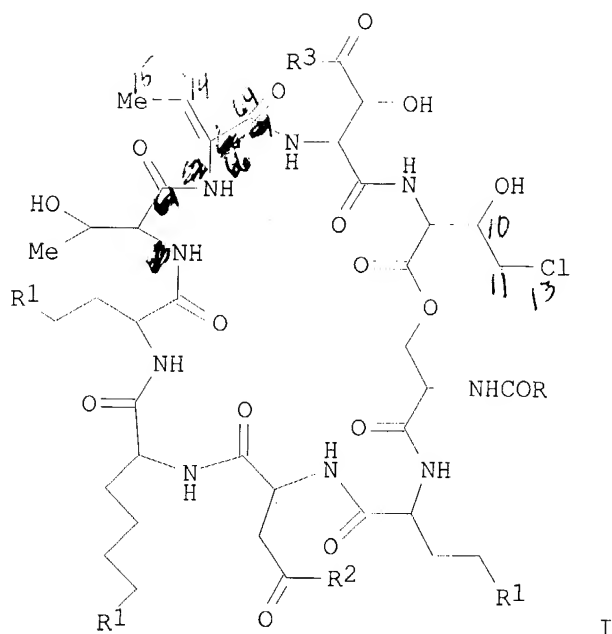
Mayer 10/009,654

26/05/2004

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L5 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:64019 HCAPLUS
 DOCUMENT NUMBER: 134:101199
 TITLE: Preparation of pseudomycin amide and ester analogs
 INVENTOR(S): **Chen, Shu Hui**; Galka, Christopher Stanley;
 Hellman, Sarah Lynne; Krstenansky, John L.;
Rodriguez, Michael John; Sun, Xicheng David;
 Usyatinsky, Alexander Ya.; Vasudevan, Venkatraghavan;
 Zweifel, Mark James
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 80 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005817	A1	20010125	WO 2000-US15021	20000608
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AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,				
CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,				
ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,				
LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,				
SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,				
ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,				
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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EP 1198473	A1	20020424	EP 2000-942656	20000608
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003505399	T2	20030212	JP 2001-511474	20000608
NO 2002000186	A	20020304	NO 2002-186	20020114
PRIORITY APPLN. INFO.:			US 1999-143981P	P 19990715
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OTHER SOURCE(S):		MARPAT 134:101199		
GI				



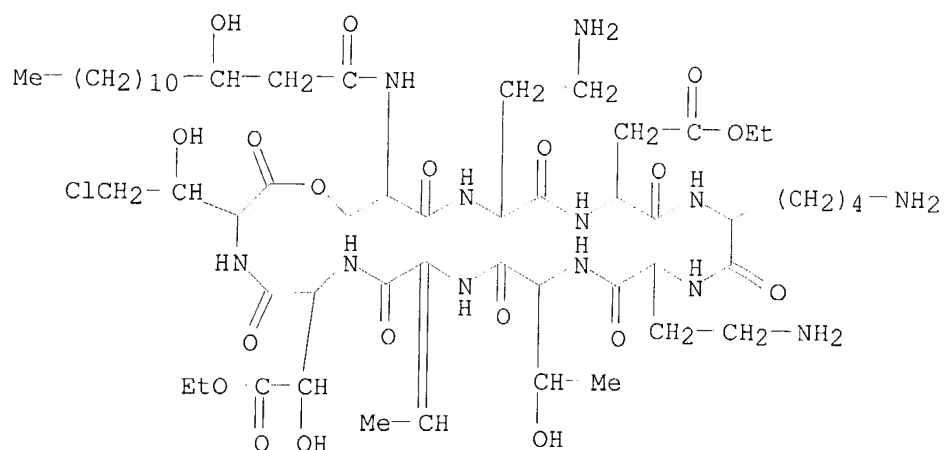
AB Pseudomycin analogs I [R is a substituent having alkyl, acylaminomethyl, Ph, phenylhydroxyalkyl, or 3-pyridyl radicals of defined structure; R1 = NH2 or protected amino; R2, R3 = OH, alkoxy, cycloalkyloxy, an amino group or amino acid residue, etc.] and their pharmaceutically acceptable salts were prepared for use as antifungal agents. Thus, Cbz-protected pseudomycin B was treated with ethanol or cyclopropylamine to yield the di-Et ester and the monocyclopropylamide (COR2-position), resp., following deprotection. Fungicidal activity as a function of amidation position is discussed.

IT 319497-03-5P 319497-04-6P 319497-05-7P
 319497-06-8P 319497-07-9P 319497-10-4P
 319497-12-6P 319497-16-0P 319497-17-1P
 319497-19-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pseudomycin amide and ester analogs)

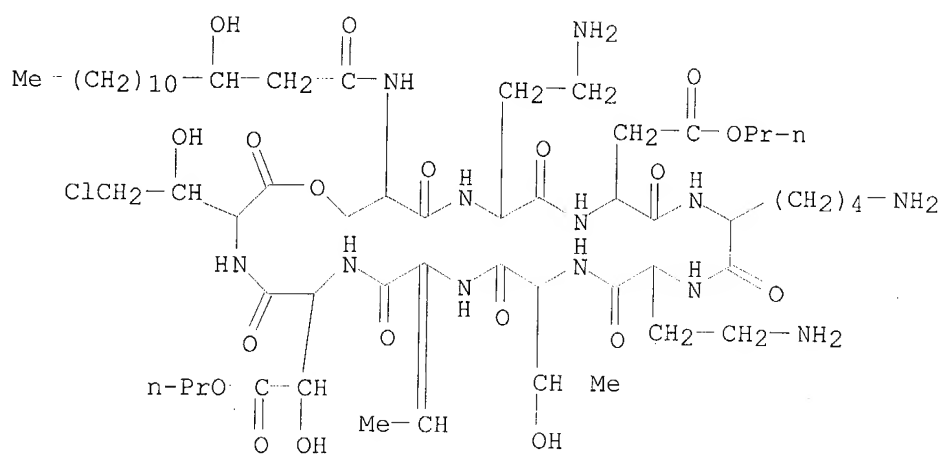
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CN Pseudomycin B, diethyl ester (9CI) (CA INDEX NAME)



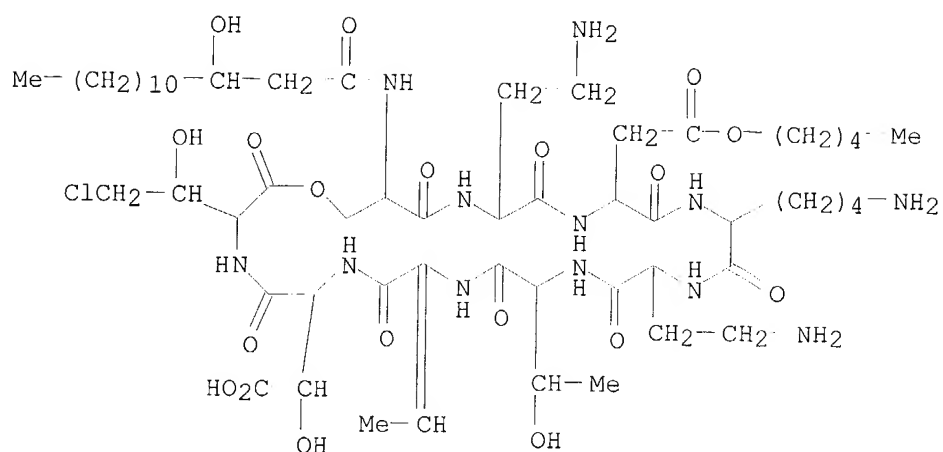
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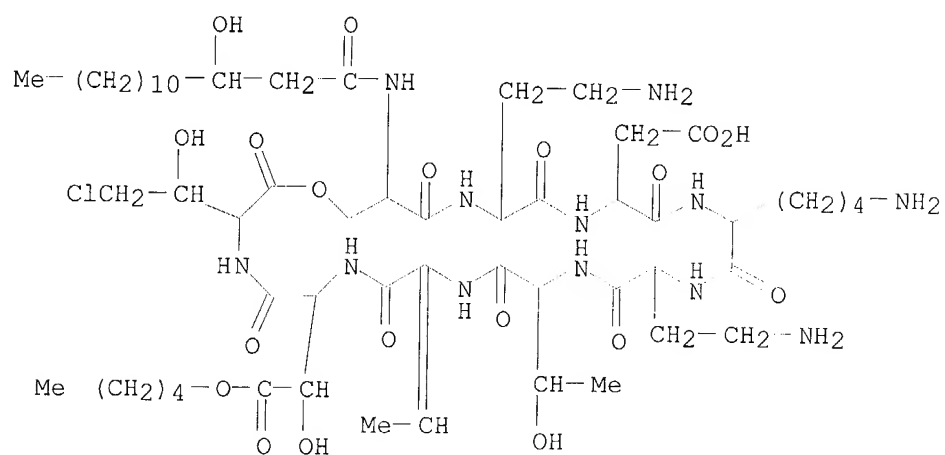
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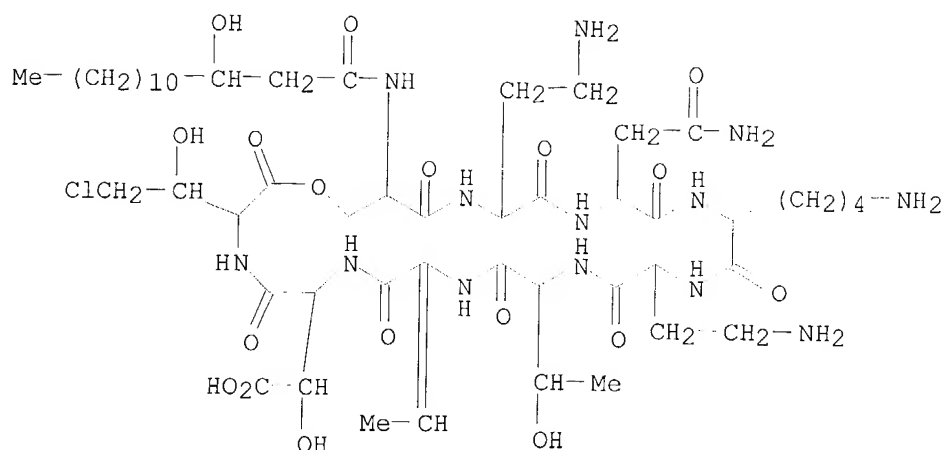
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CA	519497-00-0	NEAR BOS
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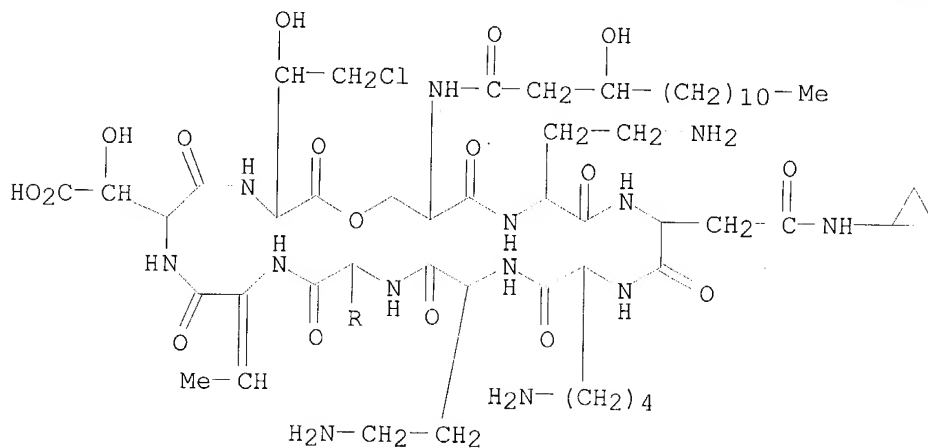
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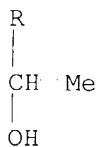
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PAGE 1-A



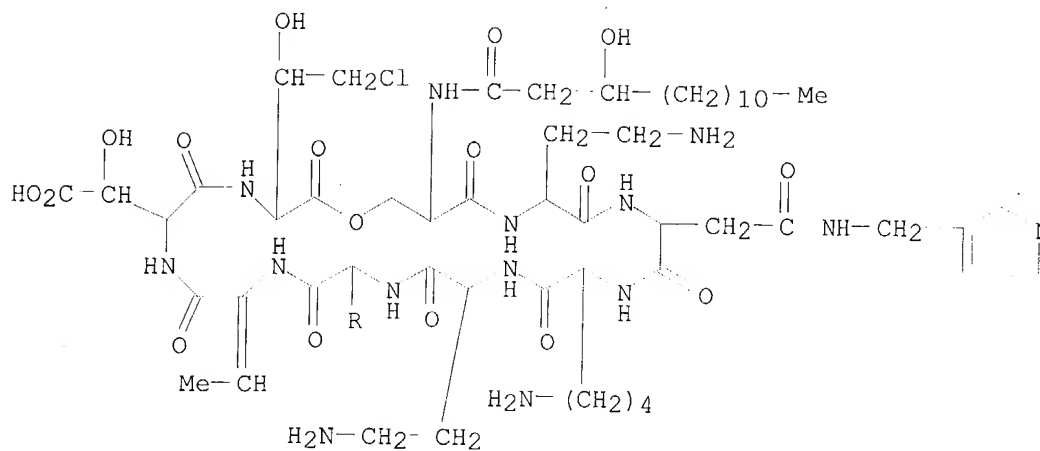
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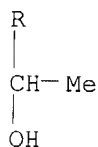
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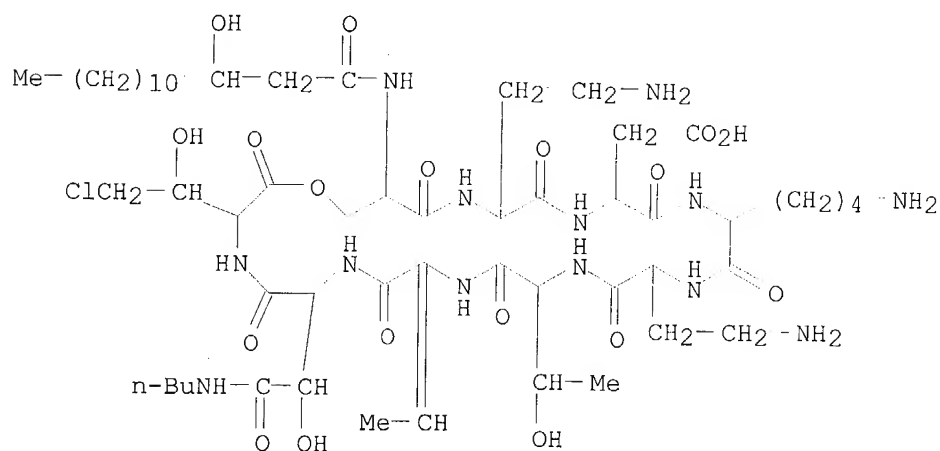
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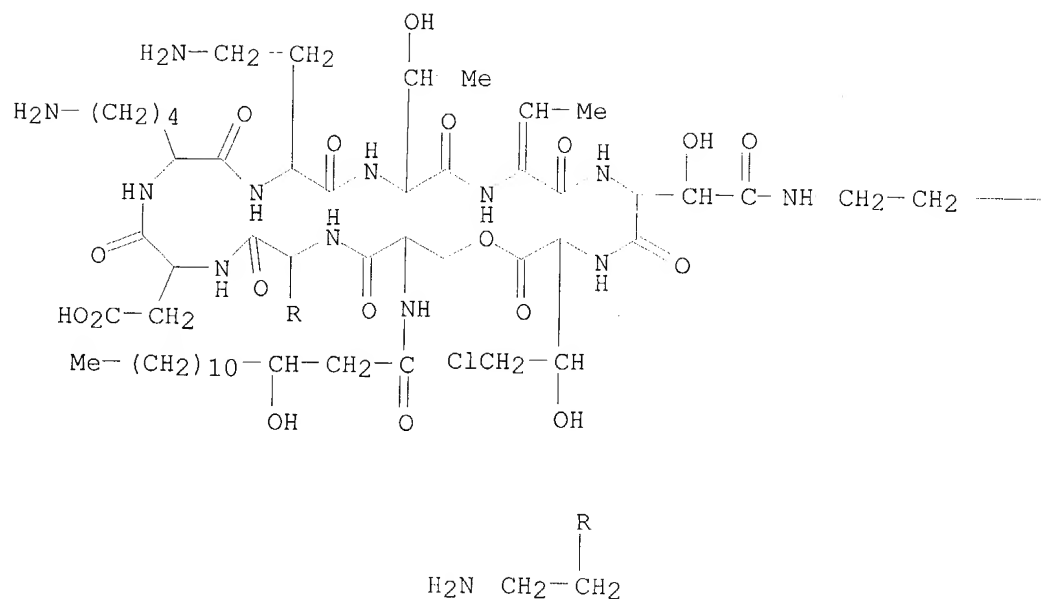


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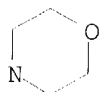


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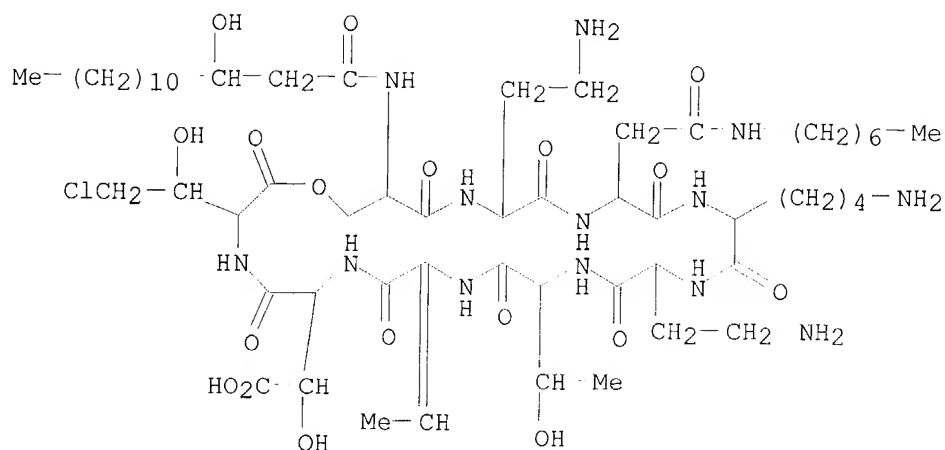
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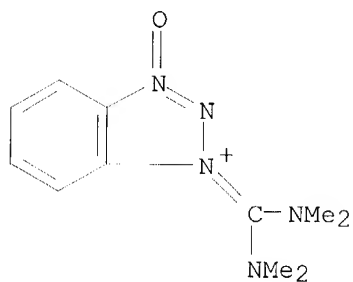
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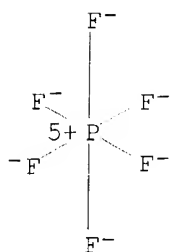
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 RL: NUU (Other use, unclassified); USES (Uses)
 (preparation of pseudomycin amide and ester analogs)
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 CN 1H-Benzotriazolium, 1-[bis(dimethylamino)methylene]-,
 hexafluorophosphate(1-), 3-oxide (9CI) (CA INDEX NAME)
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 CMF C11 H16 N5 O



CM 2
 CRN 16919-18-9
 CMF F6 P
 CCI CCS



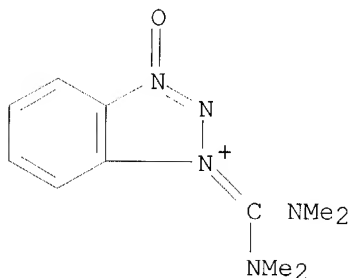
RN 125700-67-6 HCAPLUS

CN 1H-Benzotriazolium, 1-[bis(dimethylamino)methylene]-, tetrafluoroborate(1-), 3-oxide (9CI) (CA INDEX NAME)

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CRN 94790-36-0

CMF C11 H16 N5 O

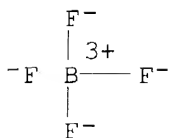


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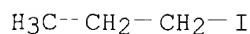
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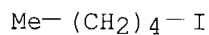
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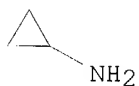
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 4-Morpholineethanamine 3731-52-0, 3 Aminomethylpyridine
 5591-93-5 139203-13-7, Pseudomycin a 277758-37-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of pseudomycin amide and ester analogs)
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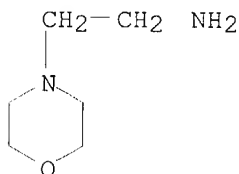
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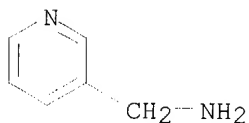
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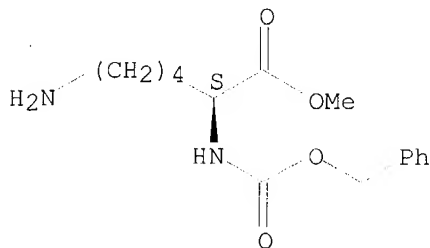


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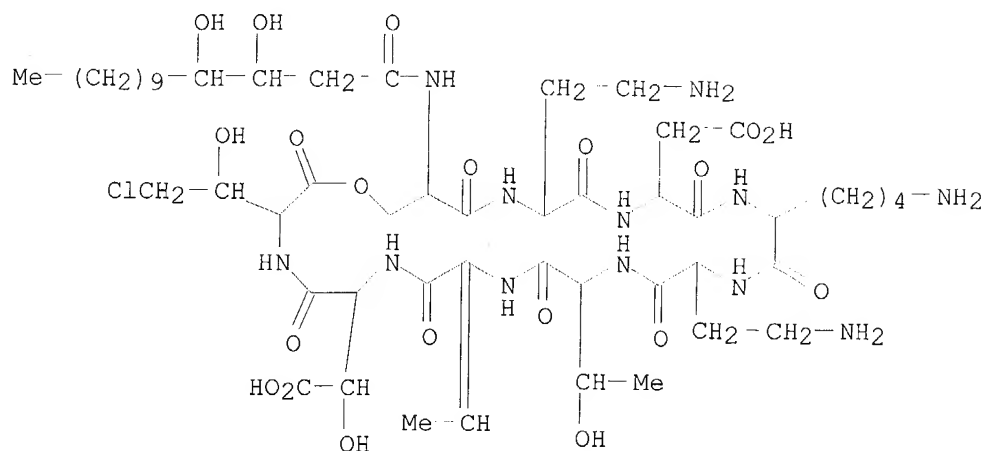


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Absolute stereochemistry.



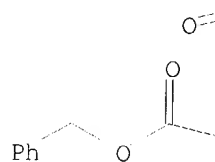
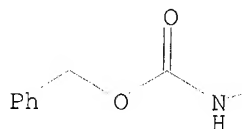
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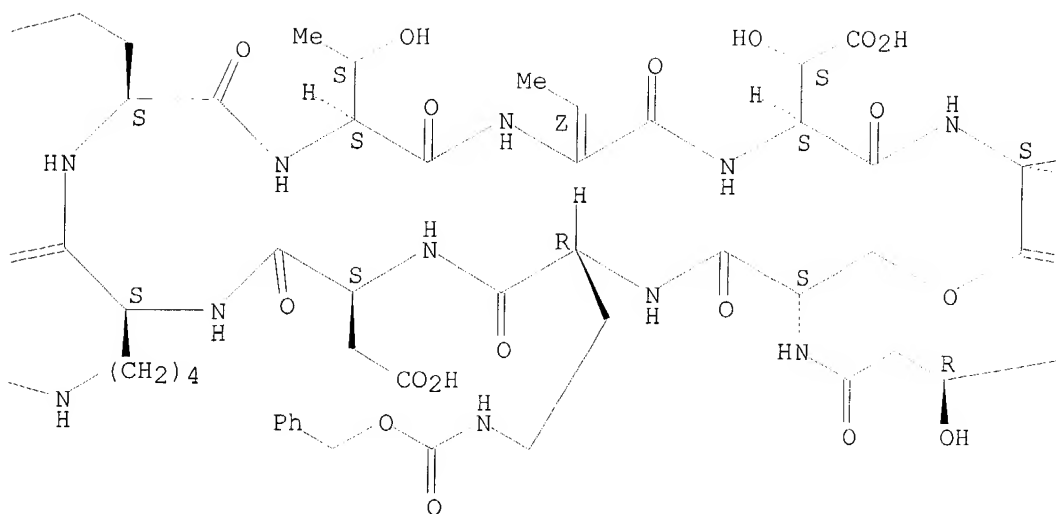
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Absolute stereochemistry.
 Double bond geometry as shown.

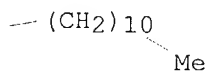
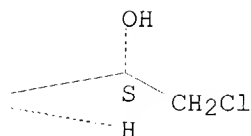
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PAGE 1-B



PAGE 1-C



IT 319015-31-1P 319497-02-4P 319497-08-0P
 319497-09-1P 319497-11-5P 319497-13-7P
 319497-14-8P 319497-15-9P 319497-18-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of pseudomycin amide and ester analogs)

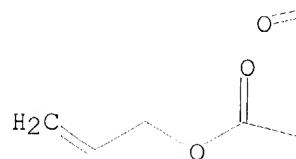
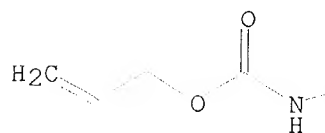
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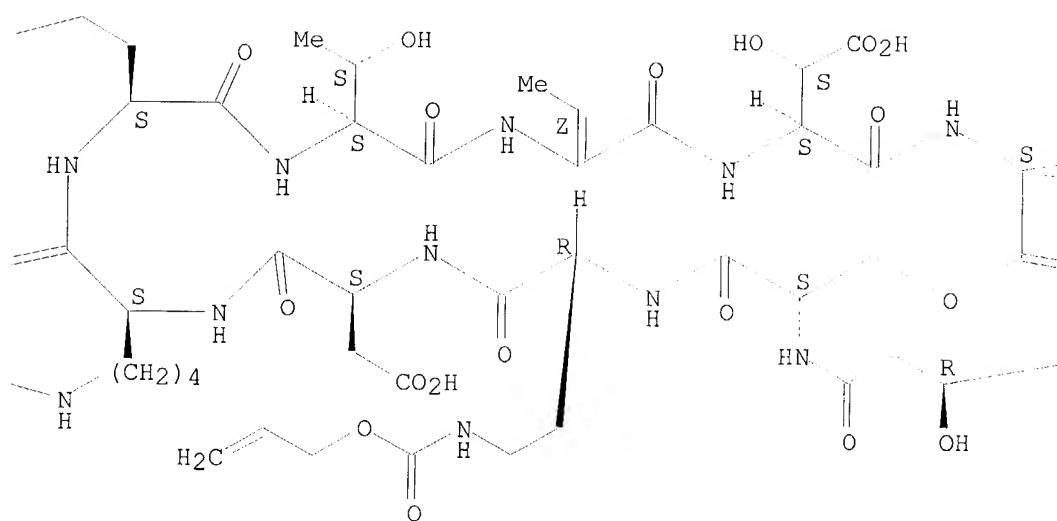
Absolute stereochemistry.

Double bond geometry as shown.

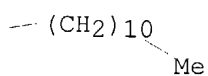
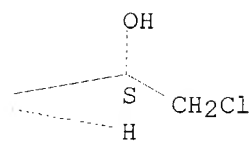
PAGE 1-A



PAGE 1-B



PAGE 1-C



RN 319497-02-4 HCAPLUS

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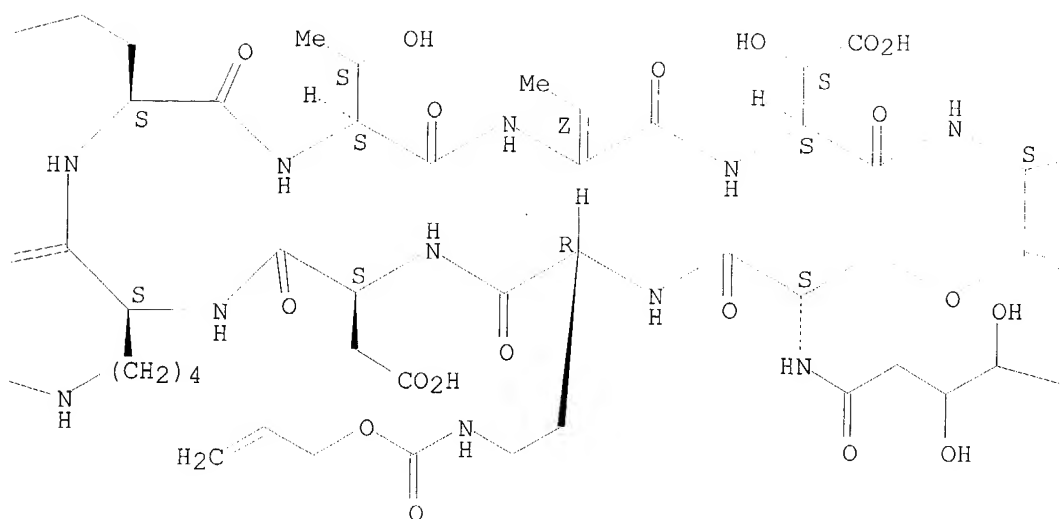
Absolute stereochemistry.

Double bond geometry as shown.

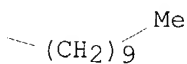
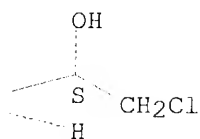
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PAGE 1-B



PAGE 1-C

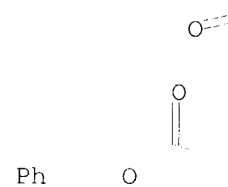
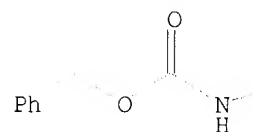


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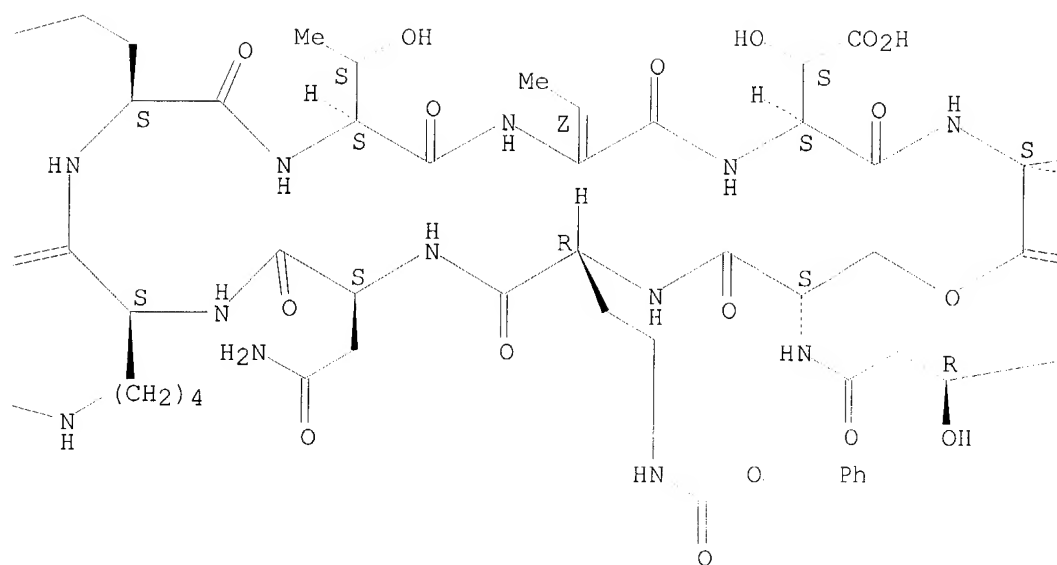
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Absolute stereochemistry.
Double bond geometry as shown.

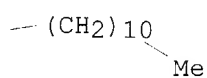
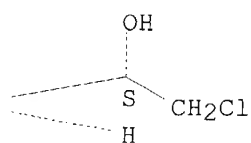
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PAGE 1-B



PAGE 1-C



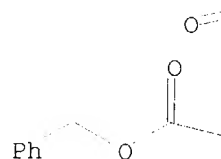
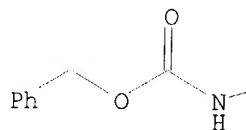
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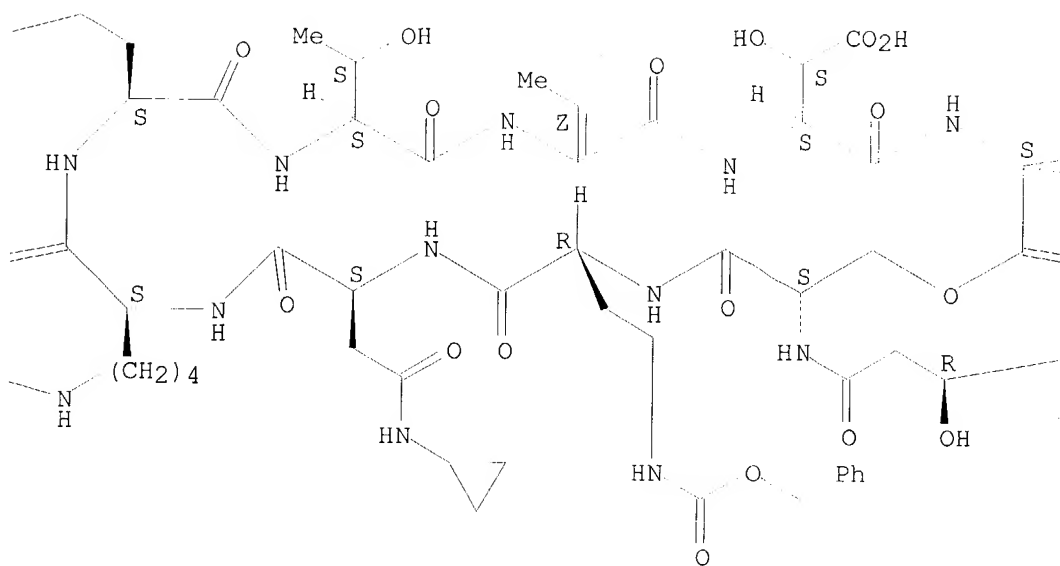
Absolute stereochemistry.

Double bond geometry as shown.

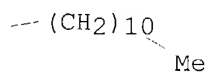
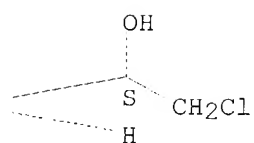
PAGE 1-A



PAGE 1-B



PAGE 1-C



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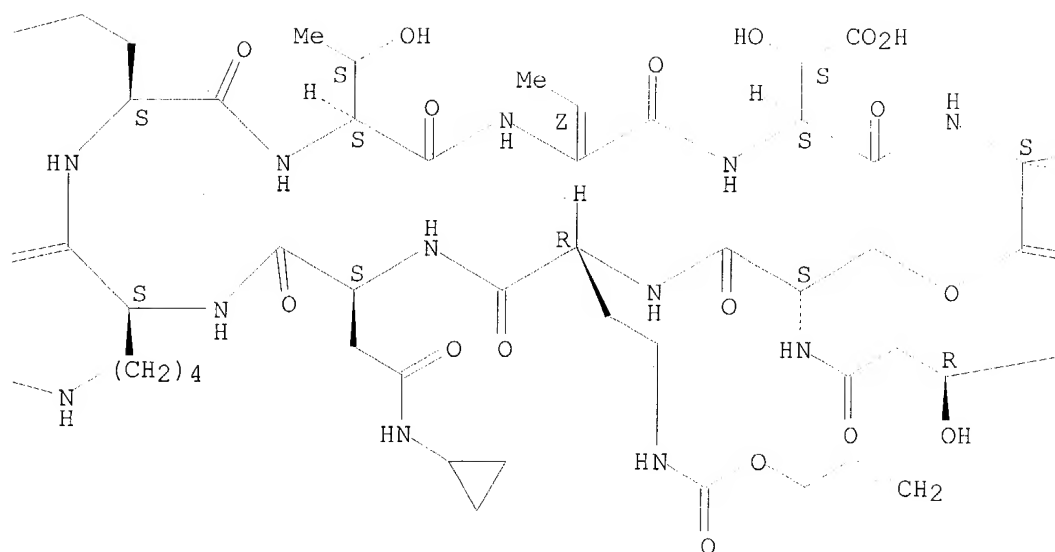
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Absolute stereochemistry.
Double bond geometry as shown.

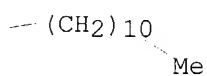
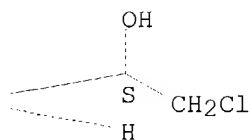
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PAGE 1-B



PAGE 1-C



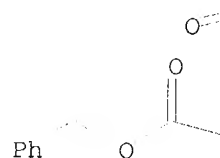
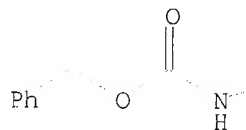
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CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-(3-pyridinylmethyl)-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

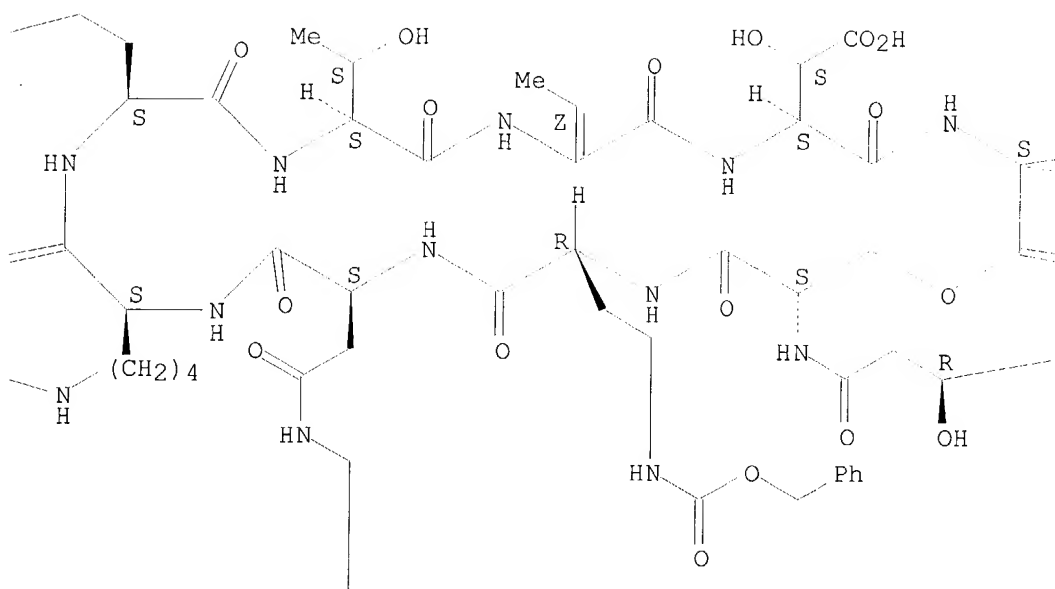
Absolute stereochemistry.

Double bond geometry as shown.

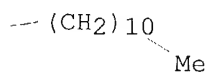
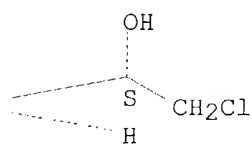
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PAGE 1-B



PAGE 1-C



PAGE 2-B



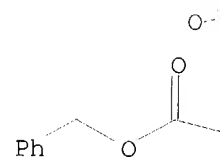
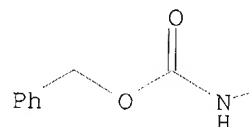
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[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-
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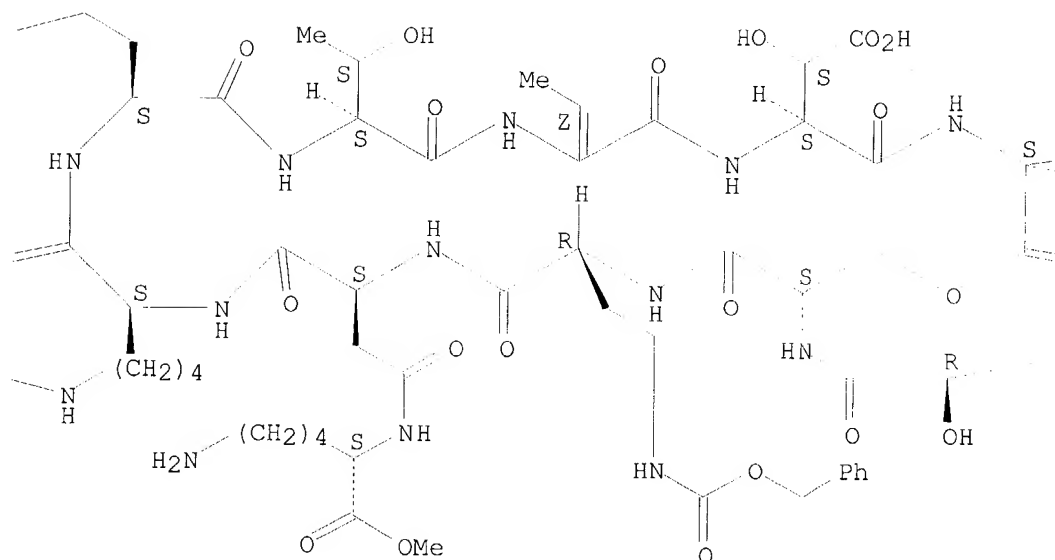
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Absolute stereochemistry.
Double bond geometry as shown.

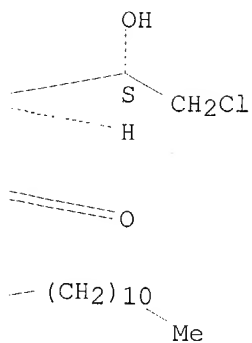
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PAGE 1-B



PAGE 1-C



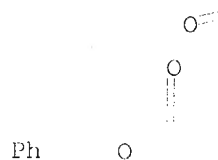
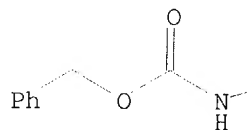
RN 319497-15-9 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl]amino]butanoic acid]-8-[(3S)-N-butyl-3-hydroxy-L-asparagine]- (9CI) (CA INDEX NAME)

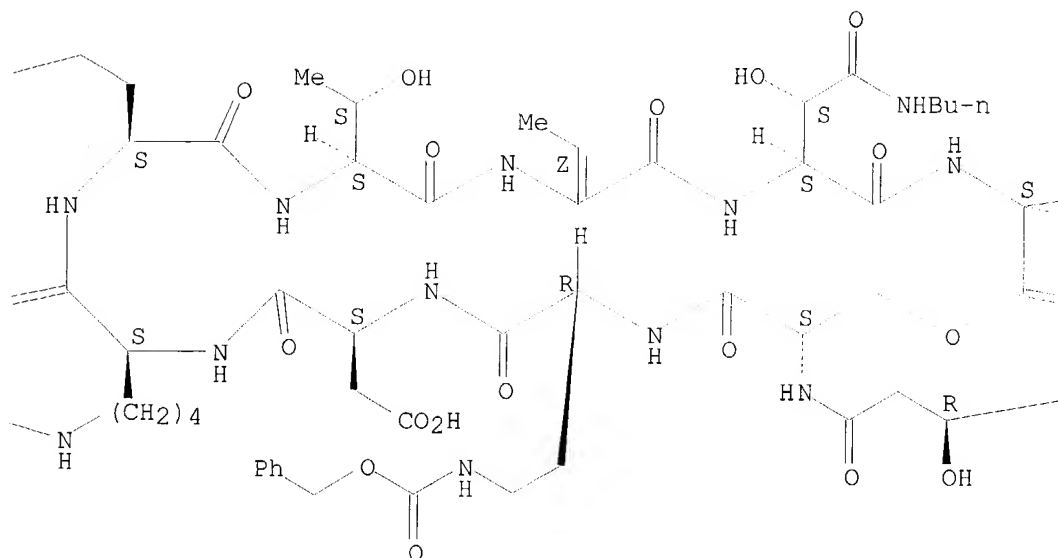
Absolute stereochemistry.

Double bond geometry as shown.

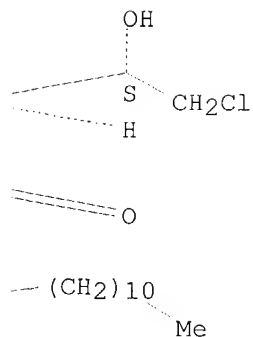
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PAGE 1-B



PAGE 1-C



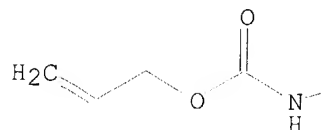
RN 319497-18-2 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2-propenyloxy)carbonyl]amino]butanoic acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2-propenyloxy)carbonyl]amino]butanoic acid]-8-[(3S)-3-hydroxy-N-[2-(4-morpholinyl)ethyl]-L-asparagine]- (9CI) (CA INDEX NAME)

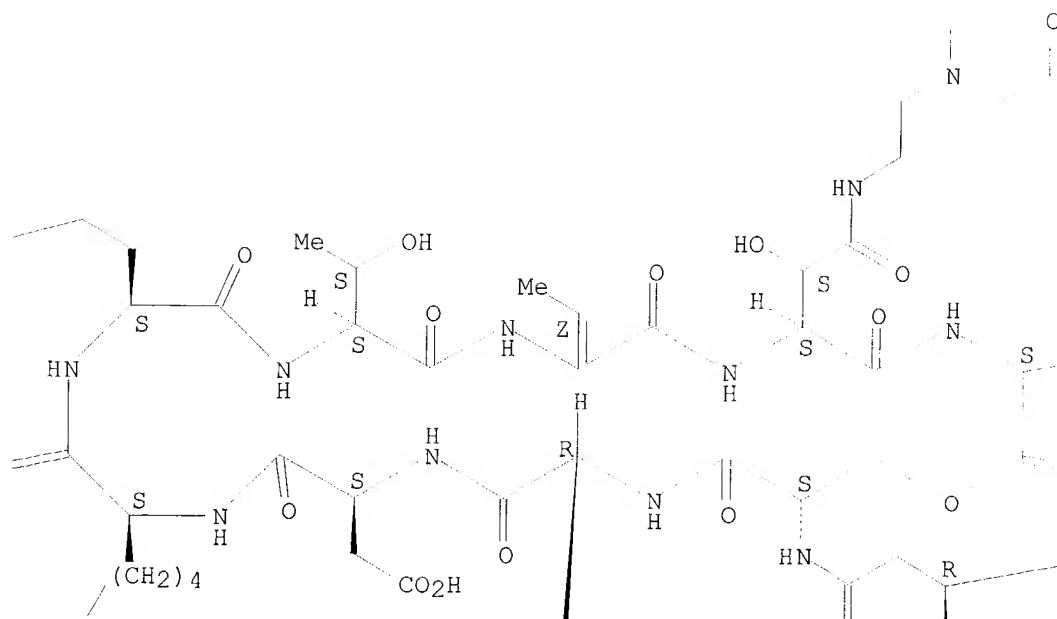
Absolute stereochemistry.

Double bond geometry as shown.

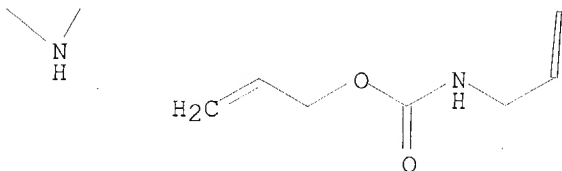
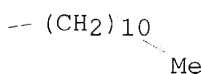
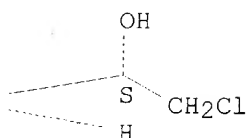
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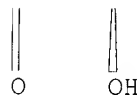
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PAGE 1-C



PAGE 2-B



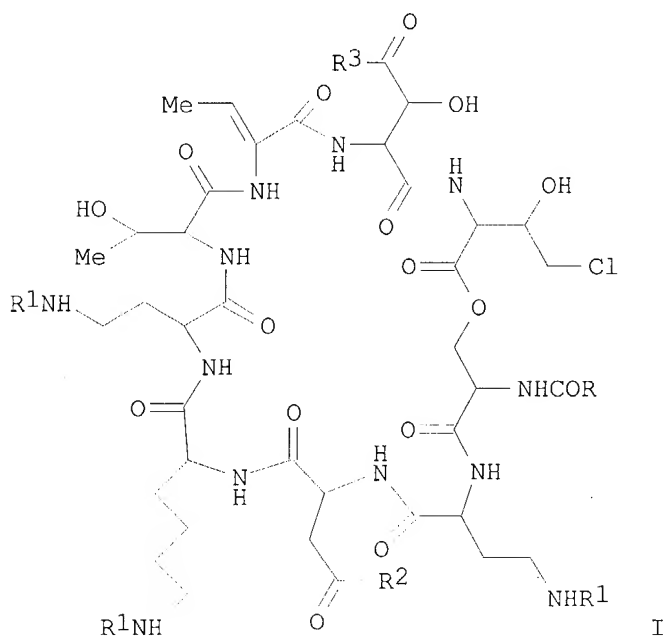
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:64018 HCAPLUS
 DOCUMENT NUMBER: 134:101198
 TITLE: Preparation of amine-modified pseudomycin compounds
 INVENTOR(S): **Chen, Shu Hui**; Jamison, James Andrew;
Rodriguez, Michael John; Sun, Xicheng David;
 Vasudevan, Venkatraghavan; Zweifel, Mark James
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 46 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

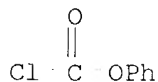
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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 ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
 LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
 SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
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 BR 2000013168 A 20020402 BR 2000-13168 20000608
 EP 1198472 A1 20020424 EP 2000-939447 20000608
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 NO 2002000194 A 20020314 NO 2002-194 20020114
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 OTHER SOURCE(S): MARPAT 134:101198
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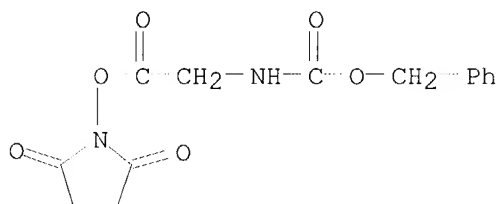


AB Amine-modified pseudomycin compds. I [R is a substituent having alkyl, acylaminomethyl, Ph, phenylhydroxyalkyl, or 3-pyridyl radicals of defined structure; R1 = H, formyl, acylalkyl, acylalkylamine, acylazaalkyl, acyloxyalkene, acyloxyaryl, or acylmethylenecarbamate, provided that at least one R1 is not H; R2, R3 = OH, alkoxy, cycloalkyloxy, an amino group or amino acid residue, etc.] and their pharmaceutically acceptable salts were prepared for use as antifungal agents. Thus, pseudomycin B was treated with Cbz-Gly-ONSu (Cbz = benzyloxycarbonyl, NSU = succinimide residue) to yield the N,N',N''-tri-glycyl derivative, following deprotection.

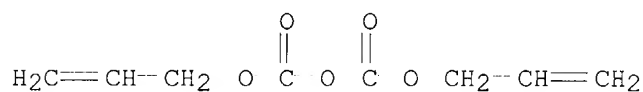
IT 1885-14-9, Phenyl chloroformate 2899-60-7
 115491-93-5, Diallyl pyrocarbonate 139203-14-8,
 Pseudomycin b
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of amine-modified pseudomycin compds.)
 RN 1885-14-9 HCAPLUS
 CN Carbonochloridic acid, phenyl ester (9CI) (CA INDEX NAME)



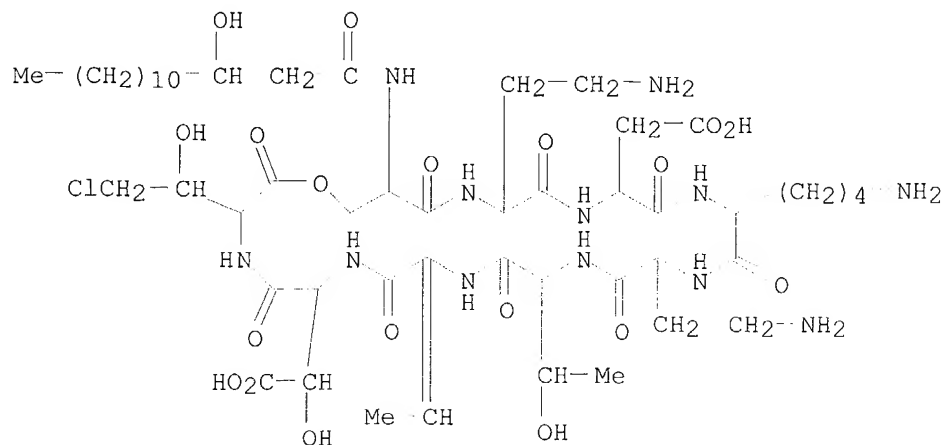
RN 2899-60-7 HCAPLUS
 CN Carbamic acid, [2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxoethyl]-,
 phenylmethyl ester (9CI) (CA INDEX NAME)



RN 115491-93-5 HCAPLUS
 CN Dicarmonic acid, di-2-propenyl ester (9CI) (CA INDEX NAME)



RN 139203-14-8 HCAPLUS
 CN Pseudomycin B (9CI) (CA INDEX NAME)



IT 319015-35-5P

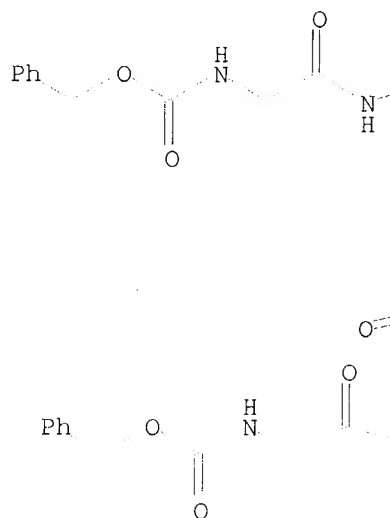
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(preparation of amine-modified pseudomycin compds.)

RN 319015-35-5 HCAPLUS

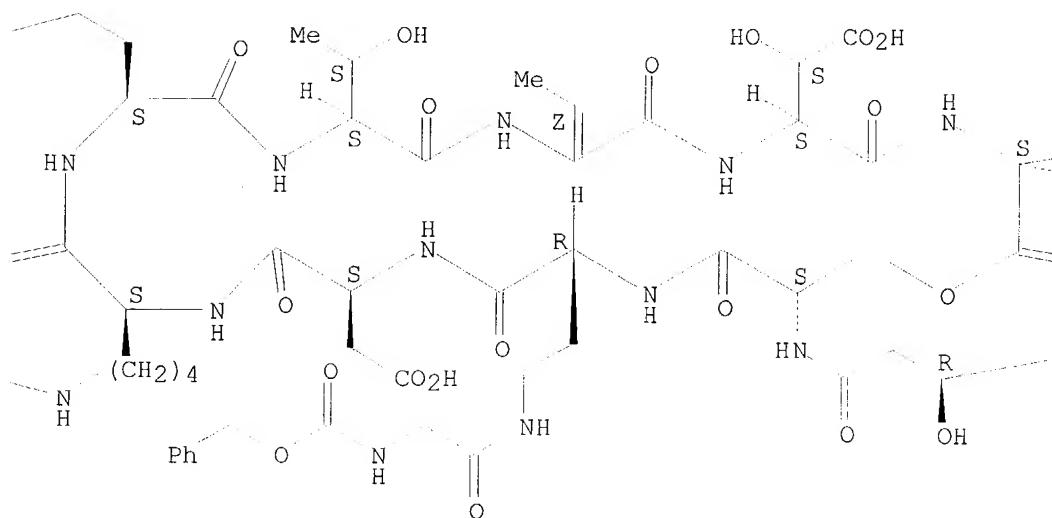
CN Pseudomycin B, 2-[N4-[N-[(phenylmethoxy)carbonyl]glycyl]-(2R)-2,4-
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(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

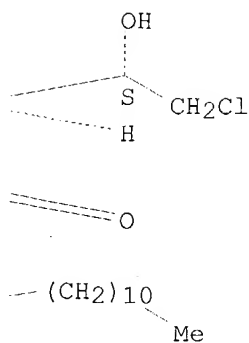
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PAGE 1-B



PAGE 1-C



IT 319015-20-8P 319015-27-5P 319015-31-1P

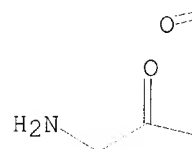
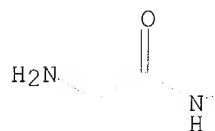
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of amine-modified pseudomycin compds.)

RN 319015-20-8 HCAPLUS

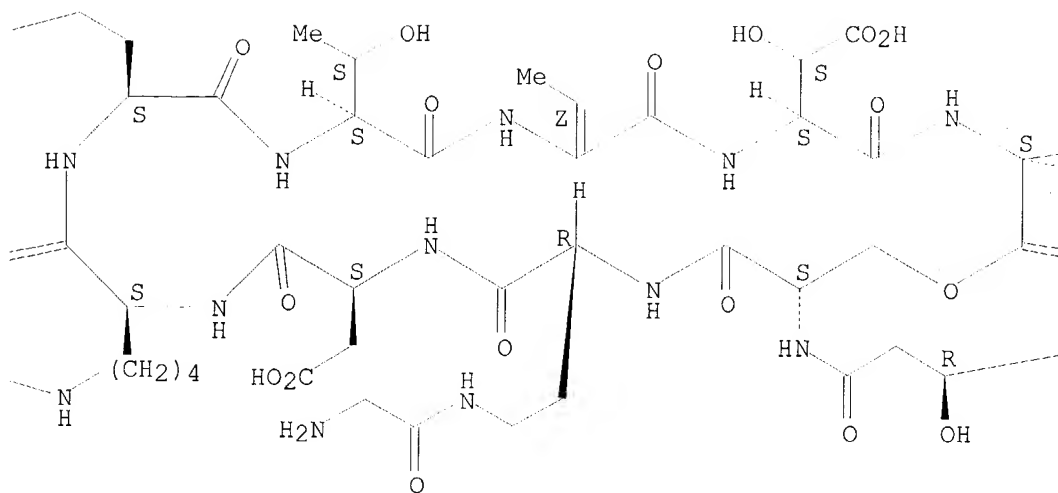
CN Pseudomycin B, 2-[N4-glycyl-(2R)-2,4-diaminobutanoic acid]-4-(N6-glycyl-L-lysine)-5-[N4-glycyl-(2S)-2,4-diaminobutanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

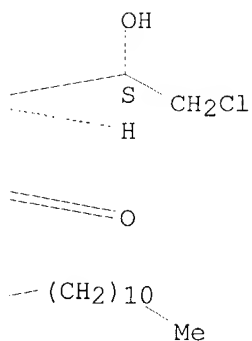
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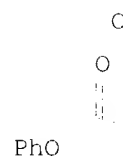
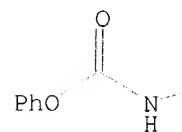
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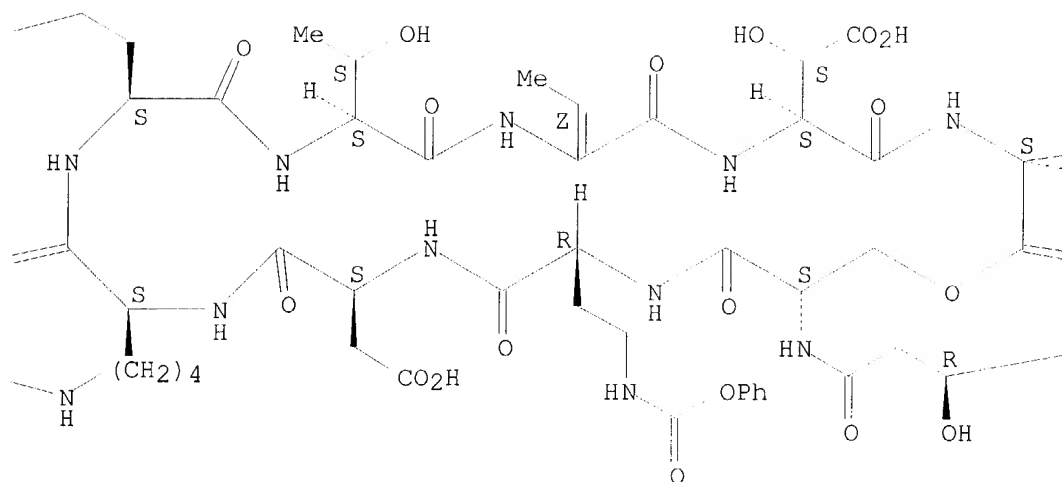
RN 319015-27-5 HCAPLUS
 CN Pseudomycin B, 2-[(2R)-2-amino-4-[(phenoxy carbonyl)amino]butanoic acid]-4-[N6-(phenoxy carbonyl)-L-lysine]-5-[(2S)-2-amino-4-[(phenoxy carbonyl)amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

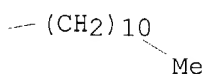
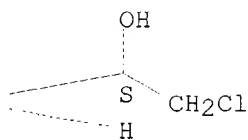
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PAGE 1-B



PAGE 1-C



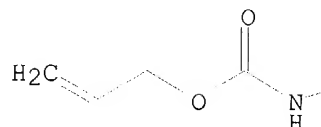
RN 319015-31-1 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]-4-[N6-[(2-propenyloxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2-propenyloxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

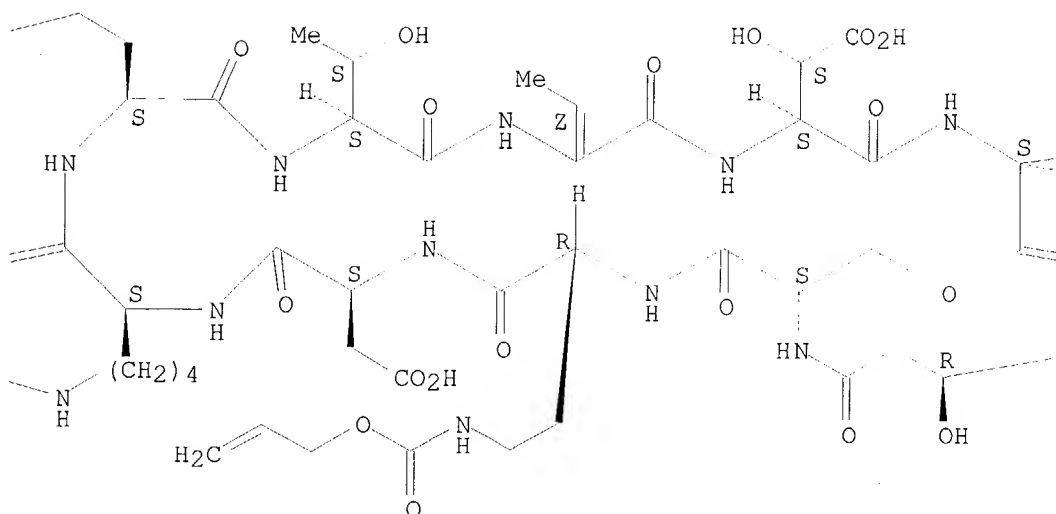
Absolute stereochemistry.

Double bond geometry as shown.

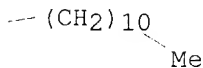
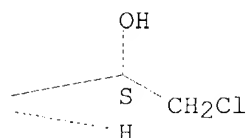
PAGE 1-A



PAGE 1-B



PAGE 1-C



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:64015 HCAPLUS

DOCUMENT NUMBER: 134:116241

TITLE: Preparation of pseudomycin prodrugs

INVENTOR(S): Chen, Shu Hui; Rodriguez, Michael

John; Sun, Xicheng David

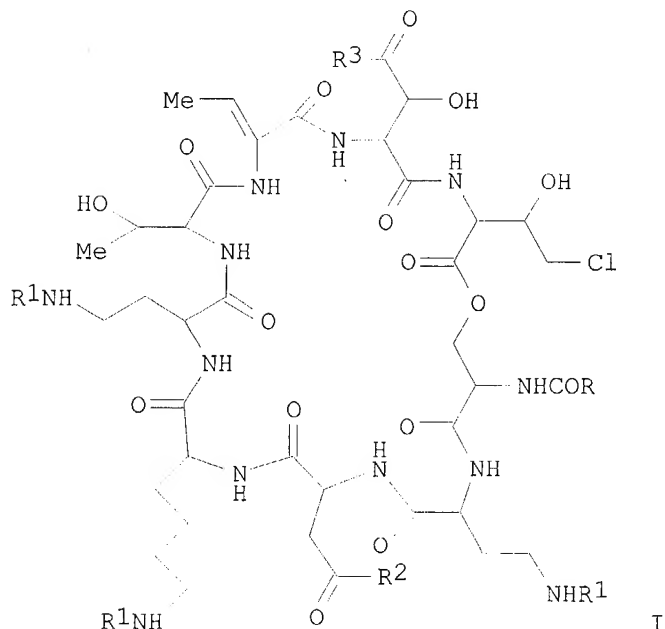
PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005813	A1	20010125	WO 2000-US15016	20000608
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NO 2002000192	A	20020314	NO 2002-192	20020114
PRIORITY APPLN. INFO.:			US 1999-143840P	P 19990715
			WO 2000-US15016	W 20000608
OTHER SOURCE(S):			MARPAT 134:116241	
GI				



AB Pseudomycin prodrugs I [R is a substituent having alkyl, acylaminomethyl, Ph, phenylhydroxyalkyl, or 3-pyridyl radicals of defined structure; R1 = H, acyloxymethylene-1,3-dioxolen-2-one, or acyloxymethylenecarboxylate;

R2, R3 = OH, alkoxy, cycloalkyloxy, an amino group or amino acid residue, etc.] and their pharmaceutically acceptable salts were prepared for use as antifungal agents. Thus, pseudomycin C' was treated with 5-methyl-1,3-dioxolen-2-one-4-ylmethyl p-nitrophenyl carbonate (preparation given) to yield mono-, di-, and tri-substituted acyloxyalkylcarbamate prodrugs which were assayed for tail vein toxicity.

IT 321156-55-2P 321156-56-3P 321156-57-4P
321156-58-5P 321156-60-9P 321198-86-1P
321198-87-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pseudomycin prodrugs)

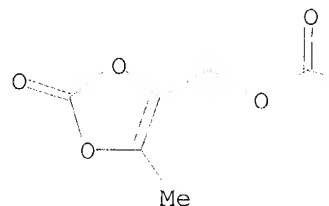
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CN Pseudomycin C', 2-[(2R)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

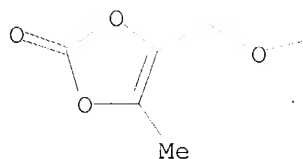
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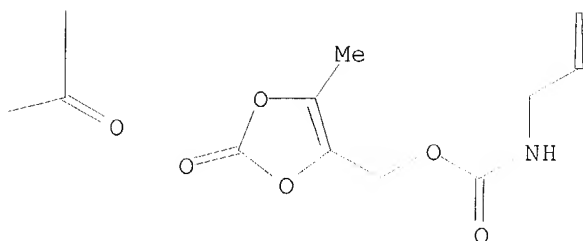
O⁻

The diagram illustrates the chemical structure of a cyclodecapeptide, a cyclic peptide consisting of ten amino acid residues. The backbone is a continuous chain of amide bonds forming a large ring. The side chains are diverse, including a long-chain alkyl group (labeled $(CH_2)_4$), a hydroxyl group (OH), a methyl group (Me), a carboxylic acid group (CO_2H), and a hydroxymethyl group (CH_2OH). The structure is drawn in a circular fashion with a central vertical line representing the continuation of the ring. Stereochemistry is indicated with wedges and dashes at several chiral centers.

PAGE 2-A



PAGE 2-B

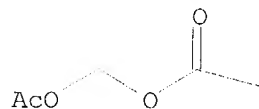


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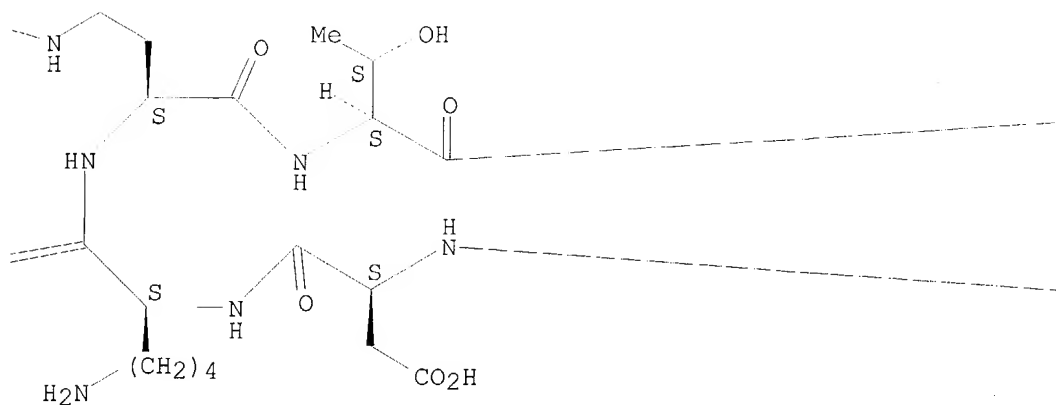
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

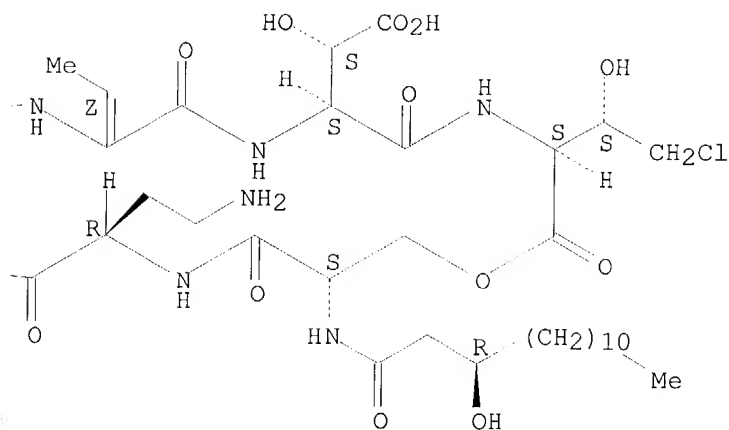


O

PAGE 1-B



PAGE 1-C

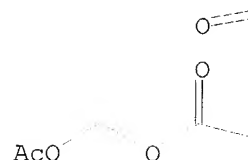


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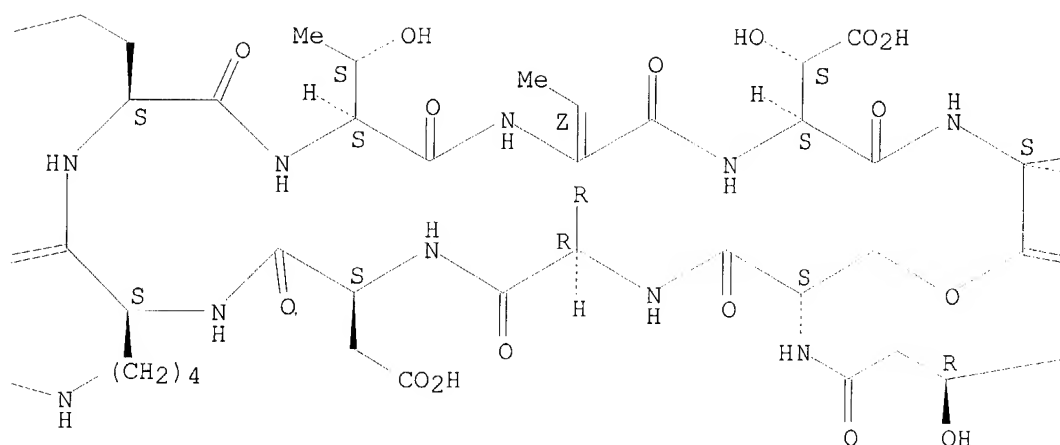
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(acetyloxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[(acetyloxy)methoxy]carbonyl]-L-lysine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

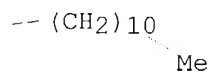
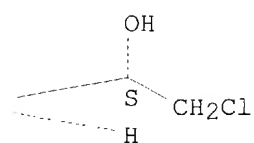
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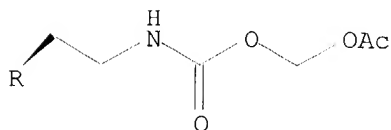
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PAGE 1-C



PAGE 2-A

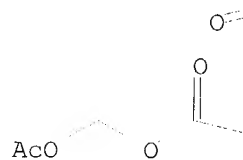
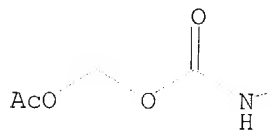


RN 321156-58-5 HCAPLUS

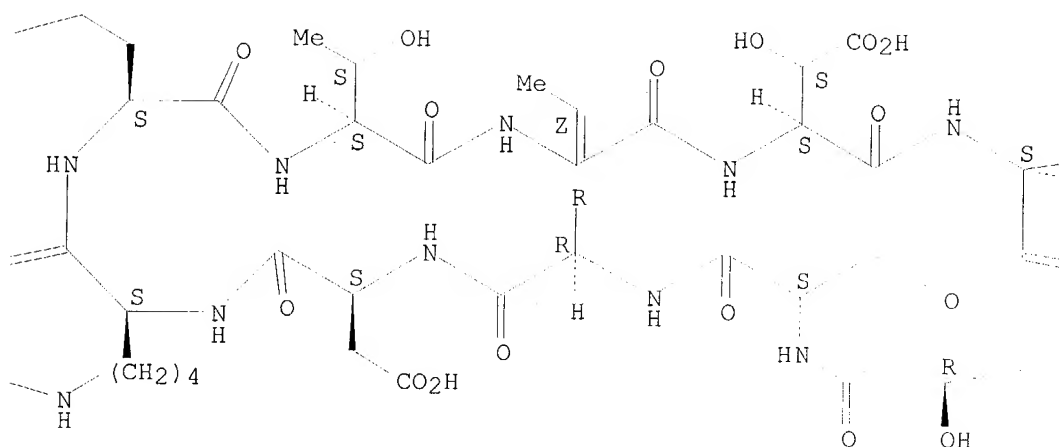
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(acetyloxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[(acetyloxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(acetyloxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

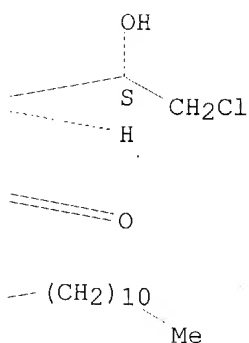
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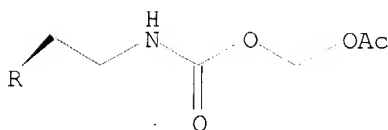
PAGE 1-B



PAGE 1-C



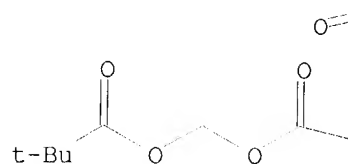
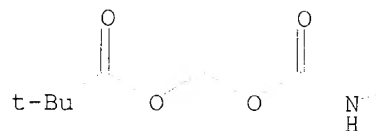
PAGE 2-A



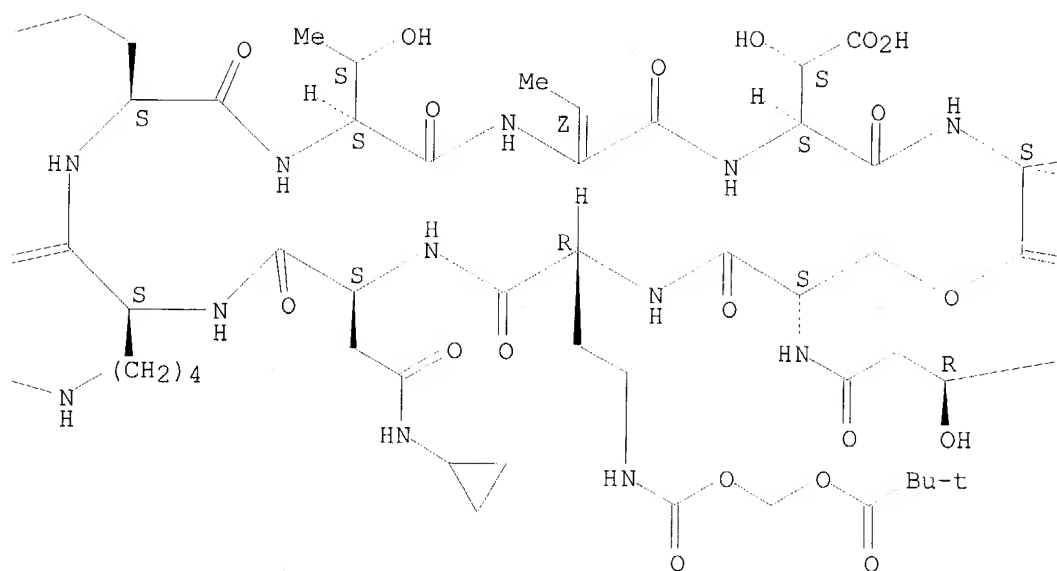
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 CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-(N-cyclopropyl-L-asparagine)-4-[N6-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

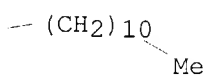
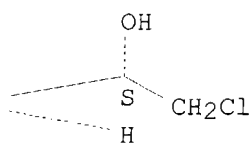
PAGE 1-A



PAGE 1-B



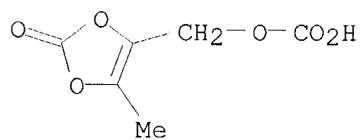
PAGE 1-C



RN 321198-86-1 HCAPLUS
 CN Pseudomycin C', monoamide with 4-[(carboxyoxymethyl)-5-methyl-1,3-dioxol-2-one (9CI) (CA INDEX NAME)

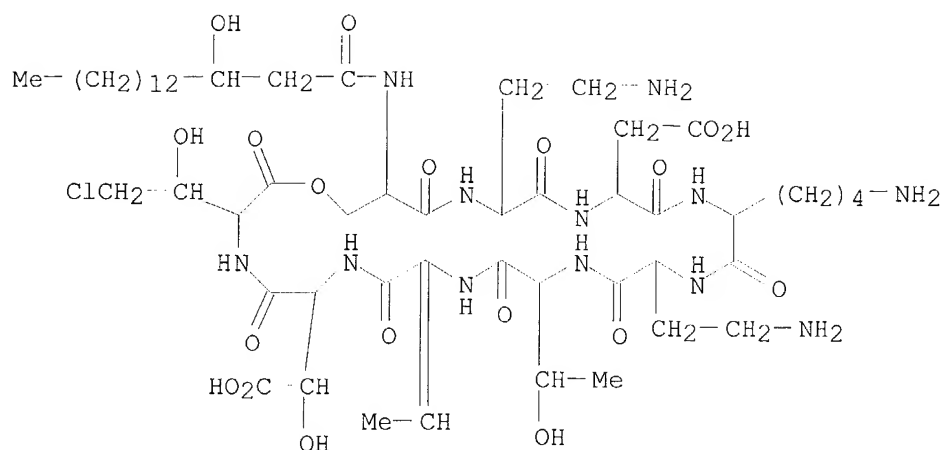
CM 1

CRN 321198-85-0
 CMF C6 H6 O6



CM 2

CRN 162443-73-4
 CMF C53 H91 Cl N12 O19



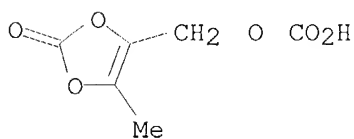
RN 321198-87-2 HCAPLUS

CN Pseudomycin C', diamide with 4-[(carboxyoxymethyl)-5-methyl-1,3-dioxol-2-one (9CI) (CA INDEX NAME)

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CRN 321198-85-0

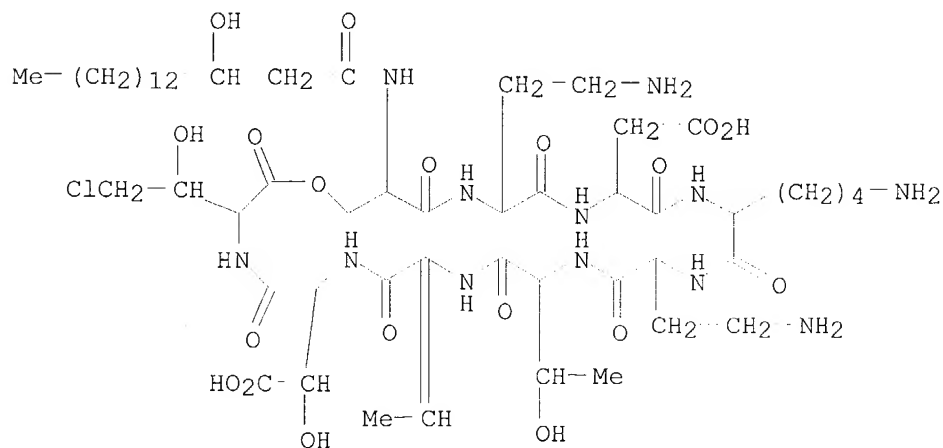
CMF C6 H6 O6



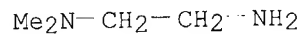
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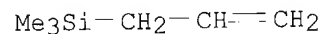
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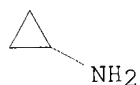
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 , Cyclopropylamine 37830-90-3 50353-00-9,
 o-Nitrophenyl chloroformate 139203-14-8, Pseudomycin b
 162443-73-4, Pseudomycin c' 321156-59-6
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of pseudomycin prodrugs)
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 CN 1,2-Ethanediamine, N,N-dimethyl- (9CI) (CA INDEX NAME)



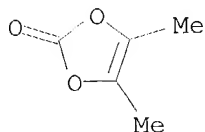
RN 762-72-1 HCAPLUS
 CN Silane, trimethyl-2-propenyl- (9CI) (CA INDEX NAME)



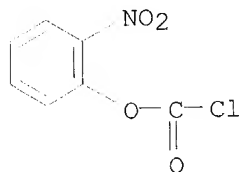
RN 765-30-0 HCAPLUS
 CN Cyclopropanamine (9CI) (CA INDEX NAME)



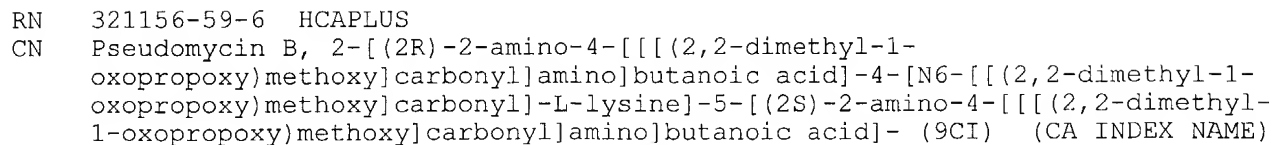
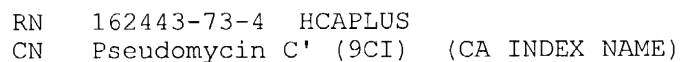
RN 37830-90-3 HCAPLUS
 CN 1,3-Dioxol-2-one, 4,5-dimethyl- (9CI) (CA INDEX NAME)



RN 50353-00-9 HCAPLUS
 CN Carbonochloridic acid, 2-nitrophenyl ester (9CI) (CA INDEX NAME)

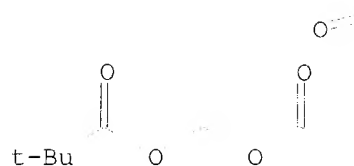
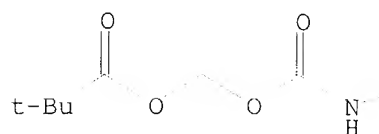


RN 139203-14-8 HCAPLUS
 CN Pseudomycin B (9CI) (CA INDEX NAME)

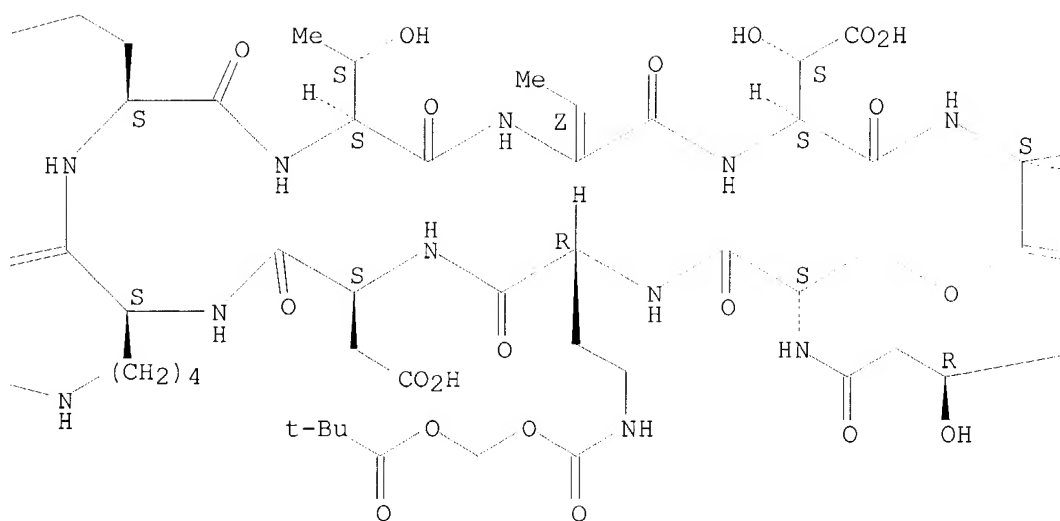


Absolute stereochemistry.
Double bond geometry as shown.

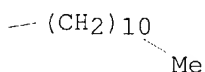
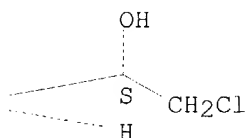
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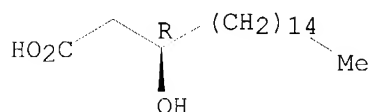


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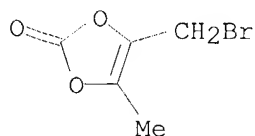


IT 14531-50-1P 80715-22-6P 91526-17-9P
 91526-18-0P 133217-71-7P 173604-87-0P
 277758-37-9P 307557-76-2P 307557-82-0P
 307557-83-1P 307557-88-6P 308110-75-0P
 319497-03-5P 319497-09-1P 319497-10-4P
 321156-52-9P 321156-53-0P 321156-54-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of pseudomycin prodrugs)
 RN 14531-50-1 HCAPLUS
 CN Octadecanoic acid, 3-hydroxy-, (3R)- (9CI) (CA INDEX NAME)

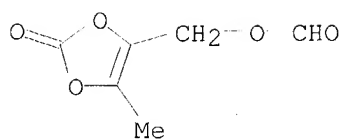
Absolute stereochemistry.



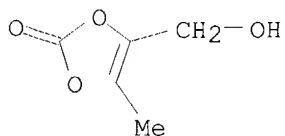
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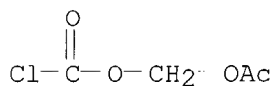
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 CN 1,3-Dioxol-2-one, 4-[(formyloxy)methyl]-5-methyl- (9CI) (CA INDEX NAME)



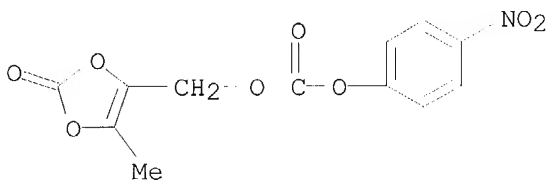
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 CN 1,3-Dioxol-2-one, 4-(hydroxymethyl)-5-methyl- (9CI) (CA INDEX NAME)



RN 133217-71-7 HCAPLUS
 CN Carbonochloridic acid, (acetyloxy)methyl ester (9CI) (CA INDEX NAME)



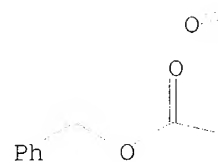
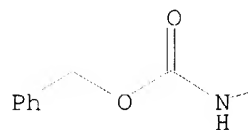
RN 173604-87-0 HCAPLUS
 CN Carbonic acid, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl 4-nitrophenyl ester (9CI) (CA INDEX NAME)



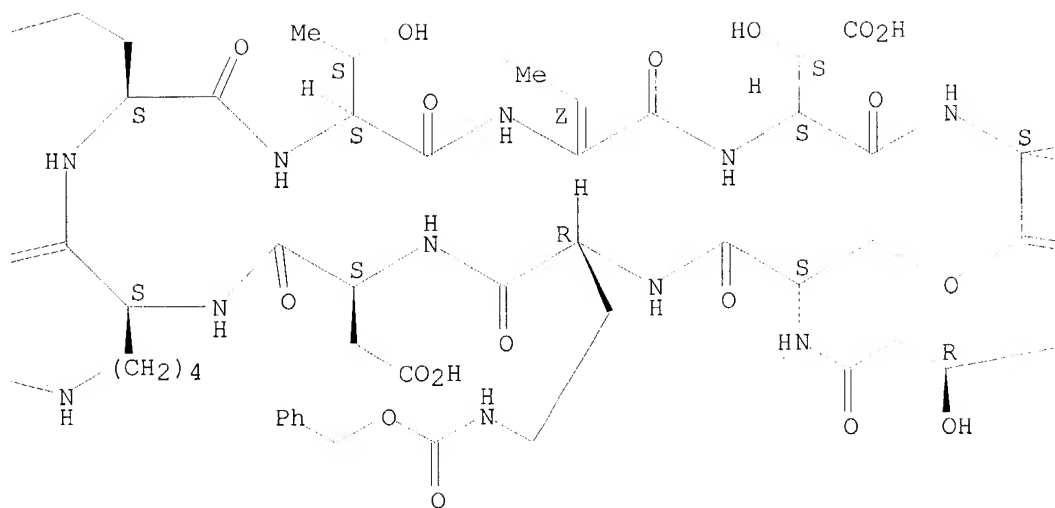
RN 277758-37-9 HCAPLUS
 CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[(phenylmethoxy)carbonyl]amino]butanoic acid]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

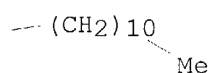
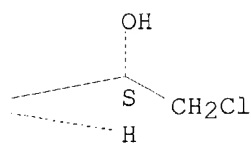
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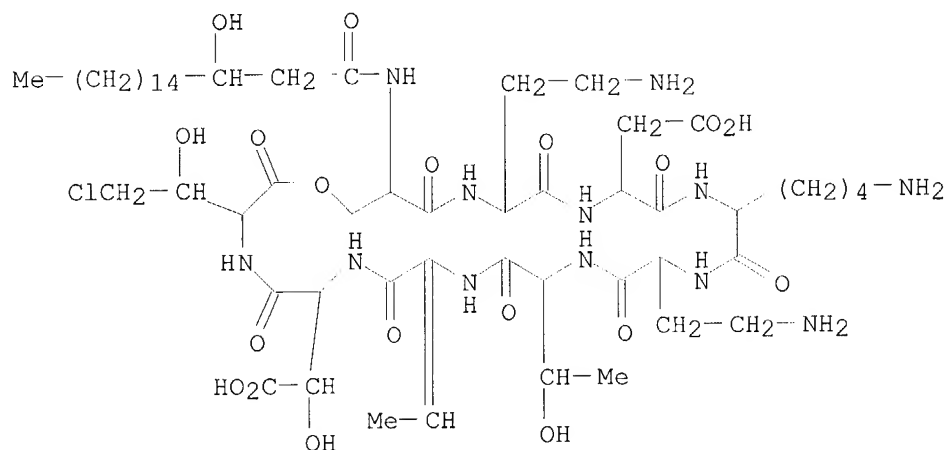
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PAGE 1-C

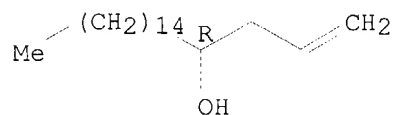


RN 307557-76-2 HCAPLUS
 CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]- (9CI) (CA INDEX NAME)



RN 307557-82-0 HCAPLUS
 CN 1-Nonadecen-4-ol, (4R)- (9CI) (CA INDEX NAME)

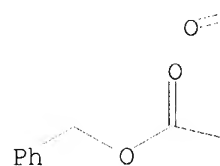
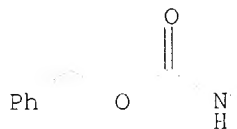
Absolute stereochemistry.



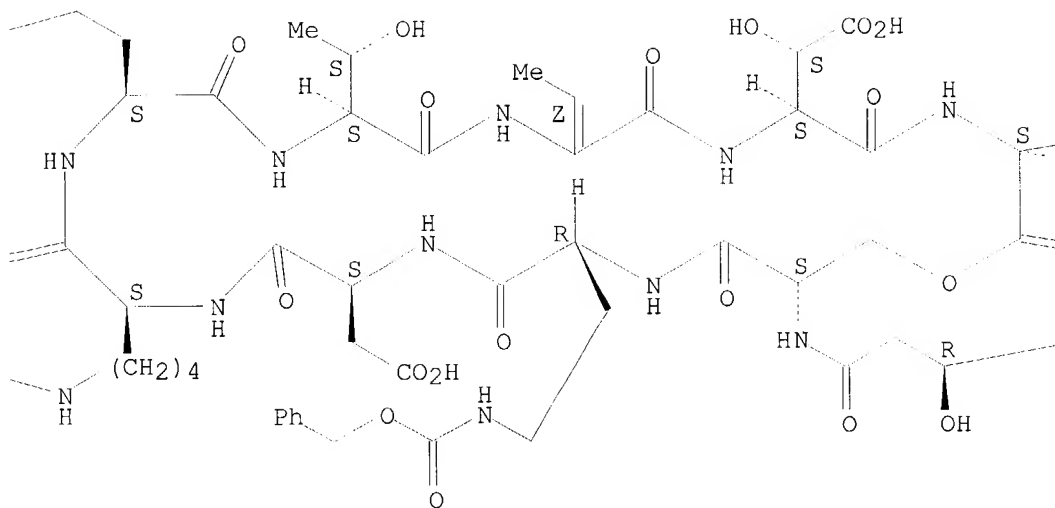
RN 307557-83-1 HCAPLUS
 CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

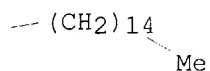
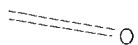
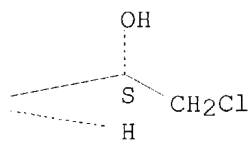
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PAGE 1-B

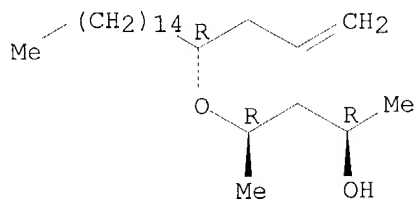


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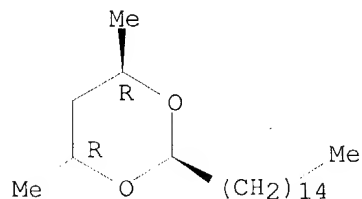
RN 307557-88-6 HCAPLUS
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Absolute stereochemistry.

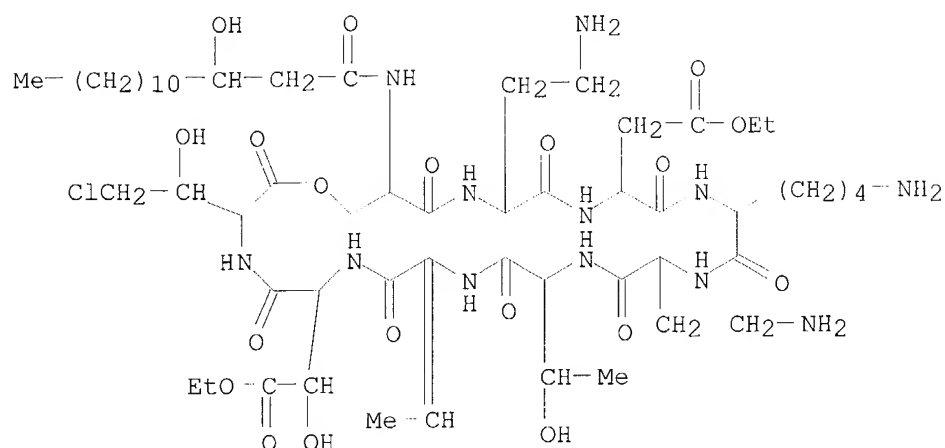


RN 308110-75-0 HCAPLUS
 CN 1,3-Dioxane, 4,6-dimethyl-2-pentadecyl-, (4R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



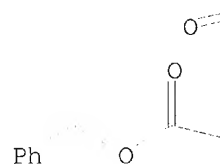
RN 319497-03-5 HCAPLUS
 CN Pseudomycin B, diethyl ester (9CI) (CA INDEX NAME)



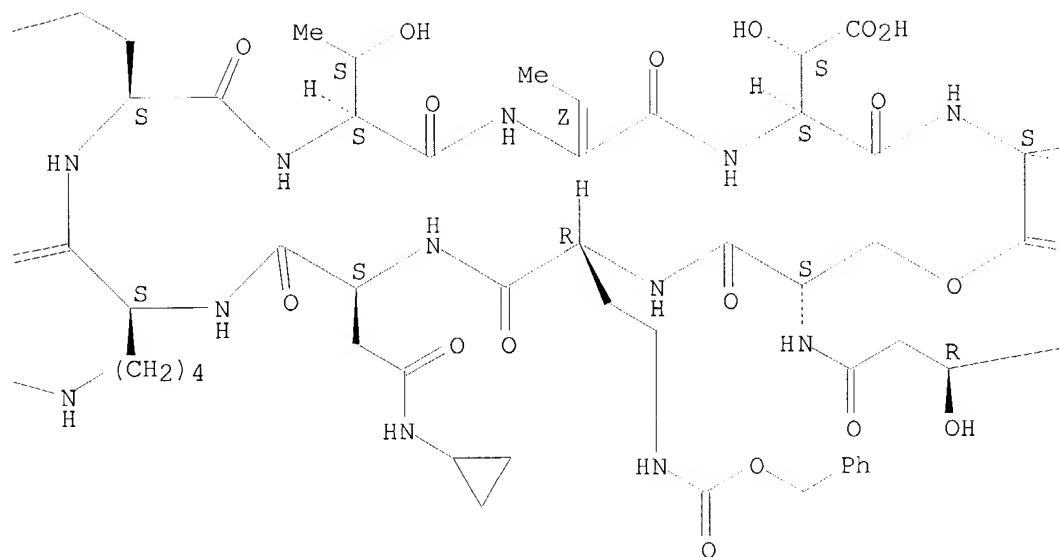
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-(N-cyclopropyl-L-asparagine)-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

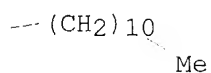
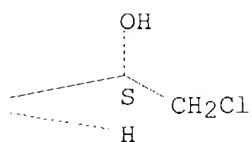
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PAGE 1-B

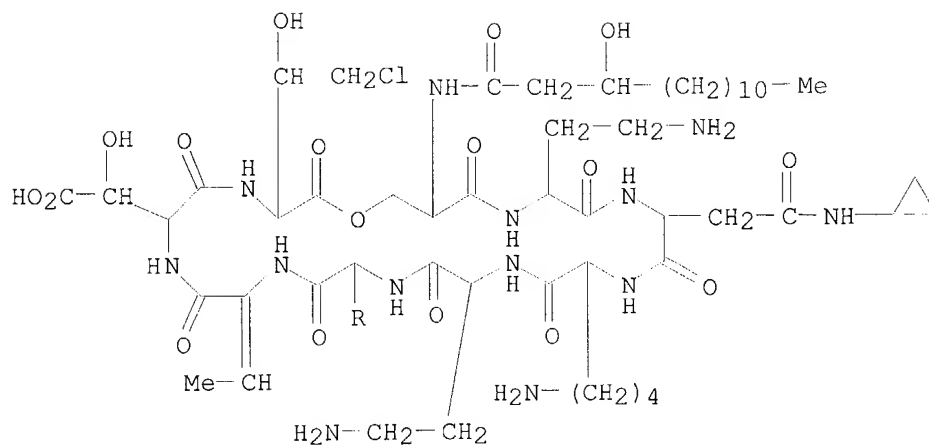


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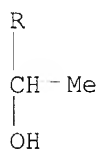


RN 319497-10-4 HCAPLUS
 CN Pseudomycin B, 3-(N-cyclopropyl-L-asparagine)- (9CI) (CA INDEX NAME)

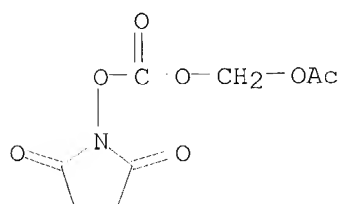
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PAGE 2-A

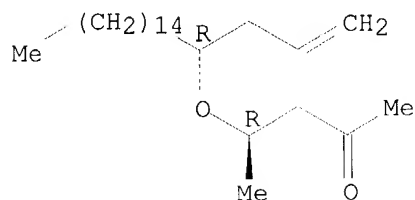


RN 321156-52-9 HCAPLUS
 CN 2,5-Pyrrolidinedione, 1-[[[(acetyloxy)methoxy]carbonyl]oxy]- (9CI) (CA
 INDEX NAME)



RN 321156-53-0 HCAPLUS
 CN 2-Pentanone, 4-[[[(1R)-1-(2-propenyl)hexadecyl]oxy]-, (4R)- (9CI) (CA
 INDEX NAME)

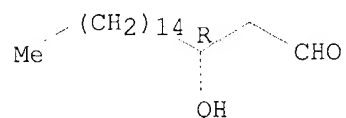
Absolute stereochemistry.



RN 321156-54-1 HCAPLUS

CN Octadecanal, 3-hydroxy-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Mayer 10/009,654

26/05/2004

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=> d ibib abs hitstr 159 1-26

L59 ANSWER 1 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:720782 HCAPLUS

DOCUMENT NUMBER: 138:280577

TITLE: N-Acyl **prodrugs** and 3-amido pseudomycin
analogs are effective and safe agents against systemic
fungal infections

AUTHOR(S): Chen, Shu-Hui; Current, Williams; Rodriguez, Michael

CORPORATE SOURCE: Lilly Research Laboratories, Lilly Corporate Center, A
Division of Eli Lilly and Company, Indianapolis, IN,
46285, USASOURCE: Frontiers of Biotechnology & Pharmaceuticals (2002),
3, 243-269

CODEN: FBPRBL

PUBLISHER: Science Press New York Ltd.

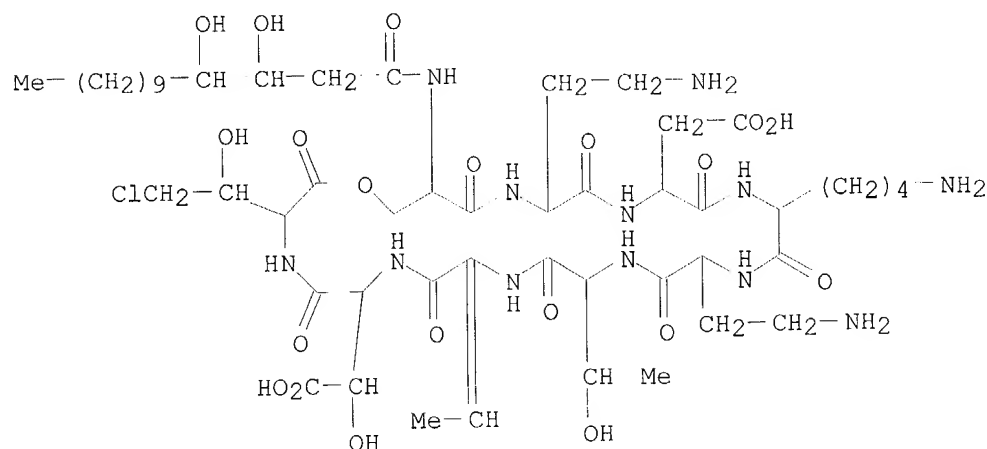
DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. Despite the promising antifungal activity demonstrated by
pseudomycins, the potential clin. utility of these agents is compromised
by the undesirable irritation occurring at the injection site. To
circumvent the side effect mentioned herein, the authors decided to prepare
novel pseudomycin analogs and **prodrugs**. In this review, the
authors report the progress achieved along these lines.IT **139203-13-7D**, Pseudomycin A, analogs **139203-14-8D**,
Pseudomycin B, analogs **139203-15-9D**, Pseudomycin C, analogs
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological
activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological
study); USES (Uses)(N-acyl **prodrugs** and 3-amido pseudomycin analogs are
effective and safe agents against systemic fungal infections)

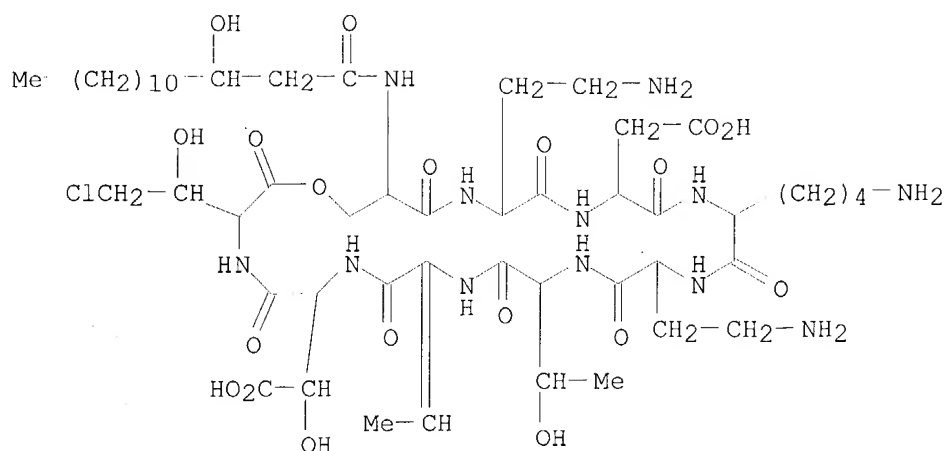
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CN Pseudomycin A (9CI) (CA INDEX NAME)

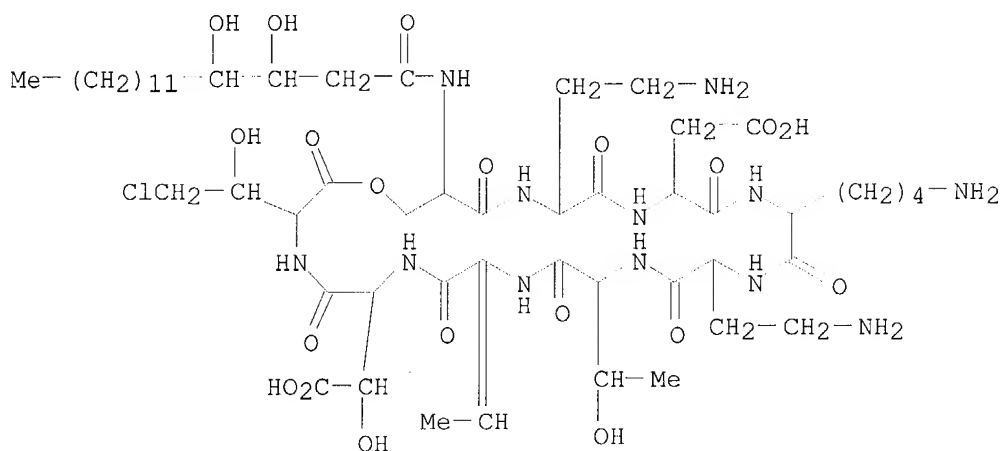


RN 139203-14-8 HCAPLUS

CN Pseudomycin B (9CI) (CA INDEX NAME)



RN 139203-15-9 HCAPLUS
 CN Pseudomycin C (9CI) (CA INDEX NAME)



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 2 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:157491 HCAPLUS
 DOCUMENT NUMBER: 136:195631
 TITLE: Fungicidal use of pseudomycins against plant diseases
 INVENTOR(S): Stobel, Gary A.; Rodriguez, Michael J.
 PATENT ASSIGNEE(S): Research and Development Institute, Inc., USA; Eli Lilly and Company
 SOURCE: PCT Int. Appl., 18 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002015696 A2 20020228 WO 2001-US25724 20010817
 WO 2002015696 A3 20020704
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
 HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU,
 LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU,
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 AU 2001083420 A5 20020304 AU 2001-83420 20010817
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 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 NO 2003000745 A 20030410 NO 2003-745 20030217
 US 2004029797 A1 20040212 US 2003-343199 20030818
 PRIORITY APPLN. INFO.: US 2000-226010P P 20000818
 WO 2001-US25724 W 20010817

AB Plants and crops subject to attack by fungal related diseases, especially caused

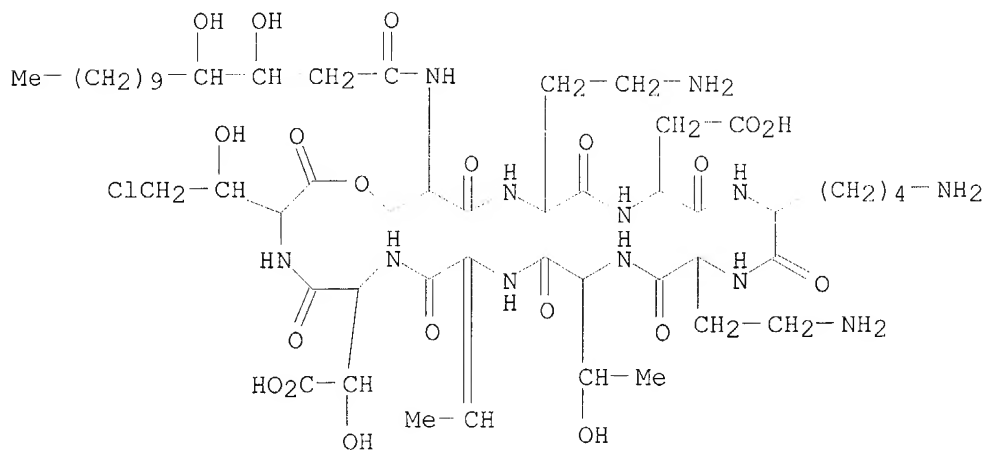
by Mycosphaerella spp., are protected or treated by the application of pseudomycin compns. which were originally isolated from Pseudomonas syringae.

IT 139203-13-7, Pseudomycin A 139203-14-8, Pseudomycin B
 139203-15-9, Pseudomycin C 162443-73-4, Pseudomycin C'
 301533-14-2, Pseudomycin A' 301533-15-3, Pseudomycin B'

RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
 (fungicidal use against plant diseases)

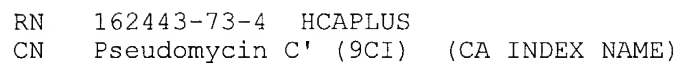
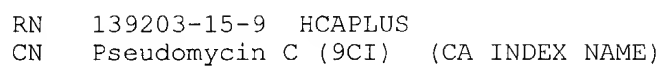
RN 139203-13-7 HCAPLUS

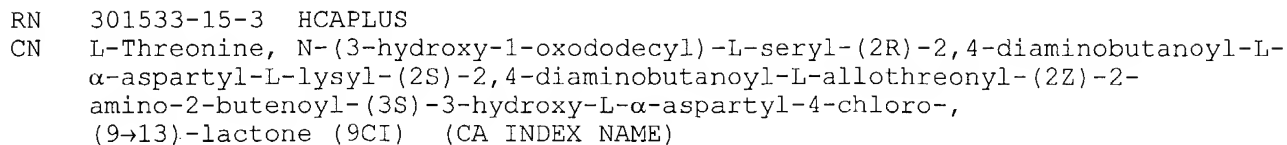
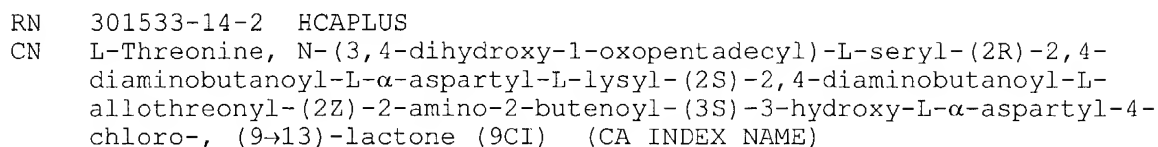
CN Pseudomycin A (9CI) (CA INDEX NAME)

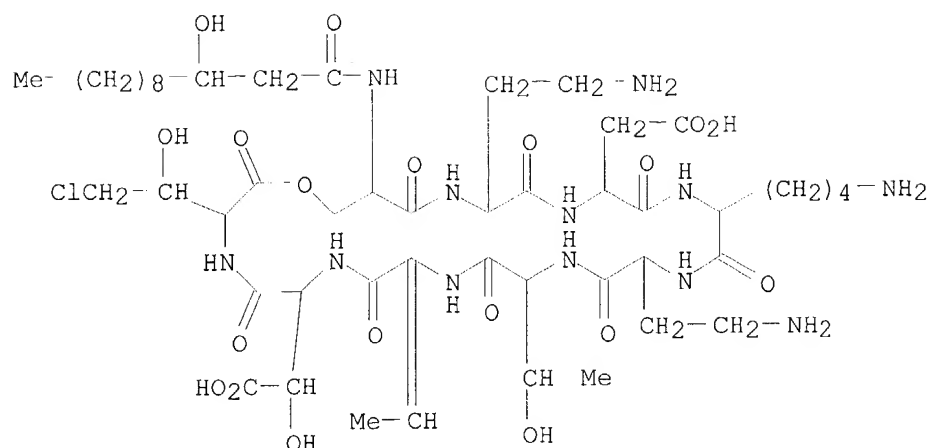


RN 139203-14-8 HCAPLUS

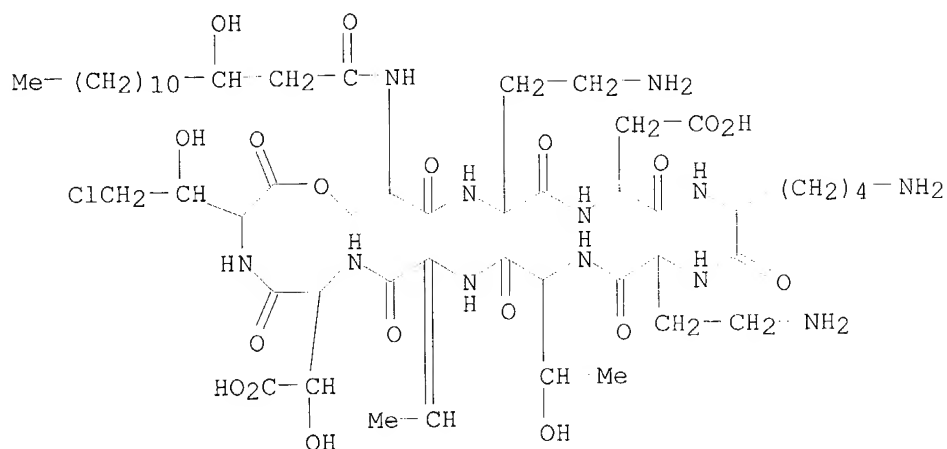
CN Pseudomycin B (9CI) (CA INDEX NAME)





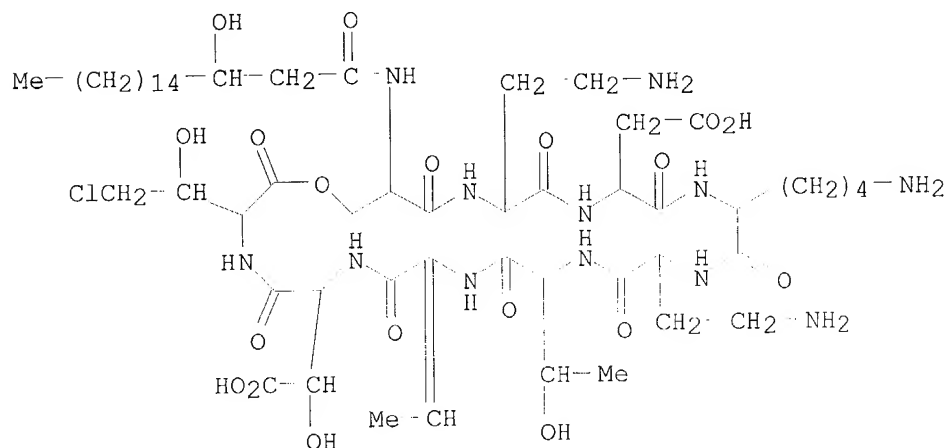


CA	159265	11	9	1000-100
CN	Pseudomycin B (9CI) (CA INDEX NAME)			



RN 307557-78-4 HCAPLUS

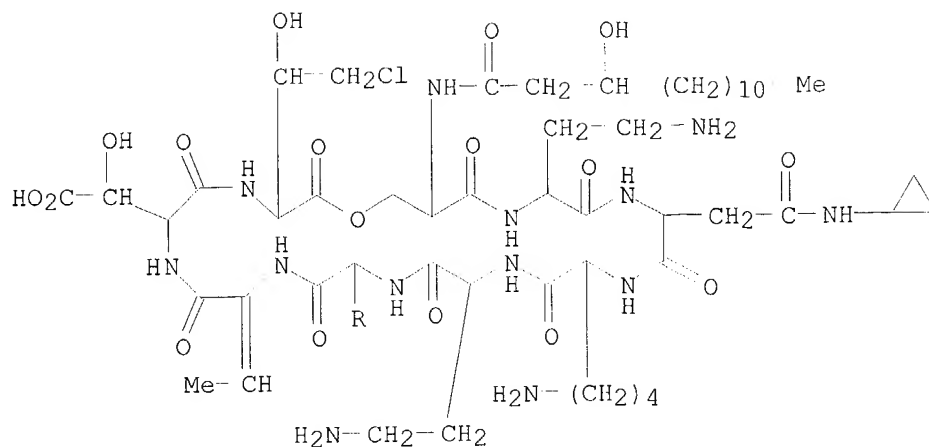
CN Pseudomycin A, 1-[N-(3-hydroxy-1-oxooctadecyl)-L-serine]-(9CI) (CA INDEX NAME)



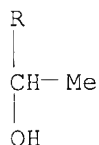
RN 319497-10-4 HCAPLUS

CN Pseudomycin B, 3-(N-cyclopropyl-L-asparagine)-(9CI) (CA INDEX NAME)

PAGE 1-A



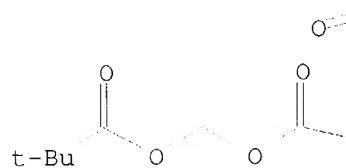
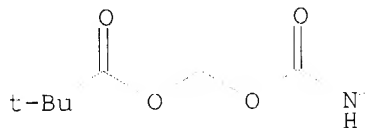
PAGE 2-A



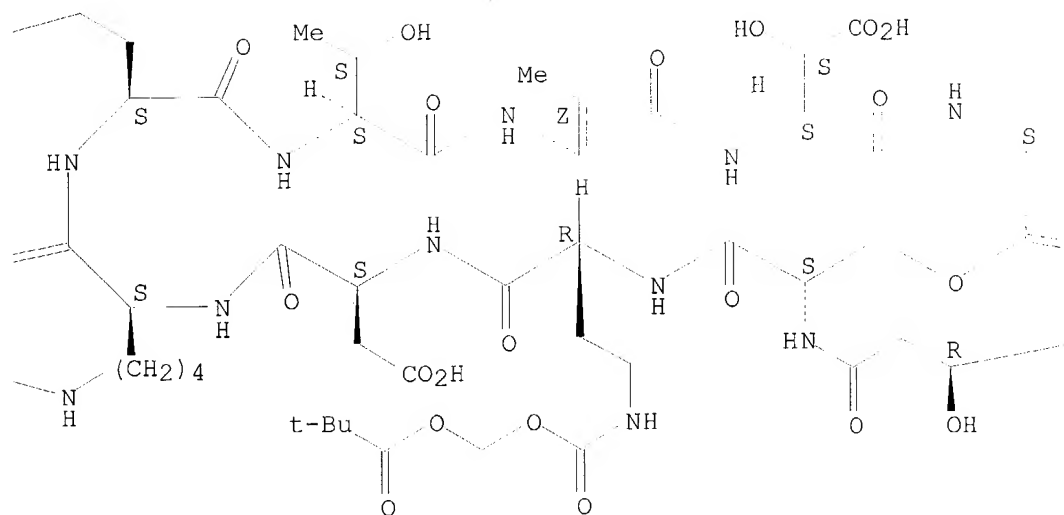
RN 321156-59-6 HCAPLUS
 CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

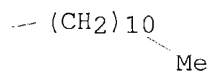
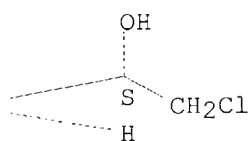
PAGE 1-A



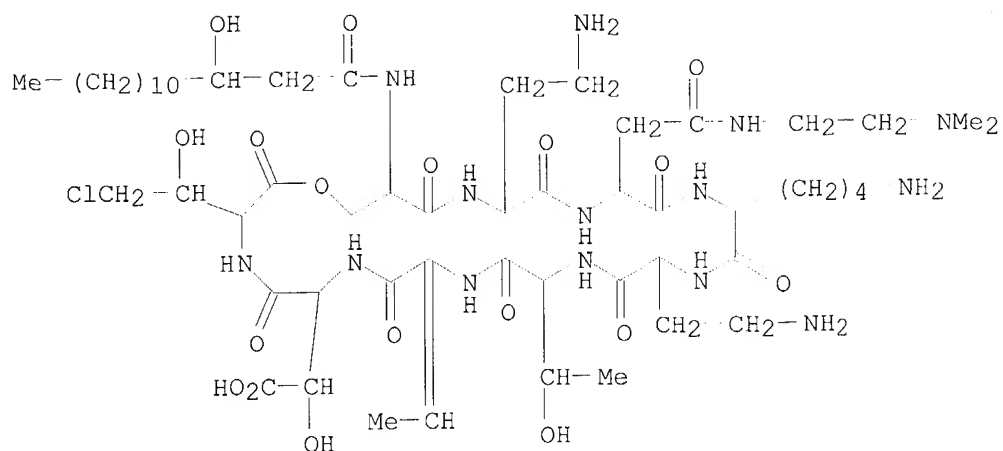
PAGE 1-B



PAGE 1-C



RN 344776-66-5 HCAPLUS
 CN Pseudomycin B, 3-[N-[2-(dimethylamino)ethyl]-L-asparagine]- (9CI) (CA
 INDEX NAME)

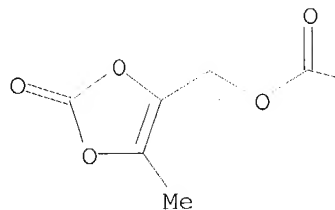


RN 358365-69-2 HCAPLUS

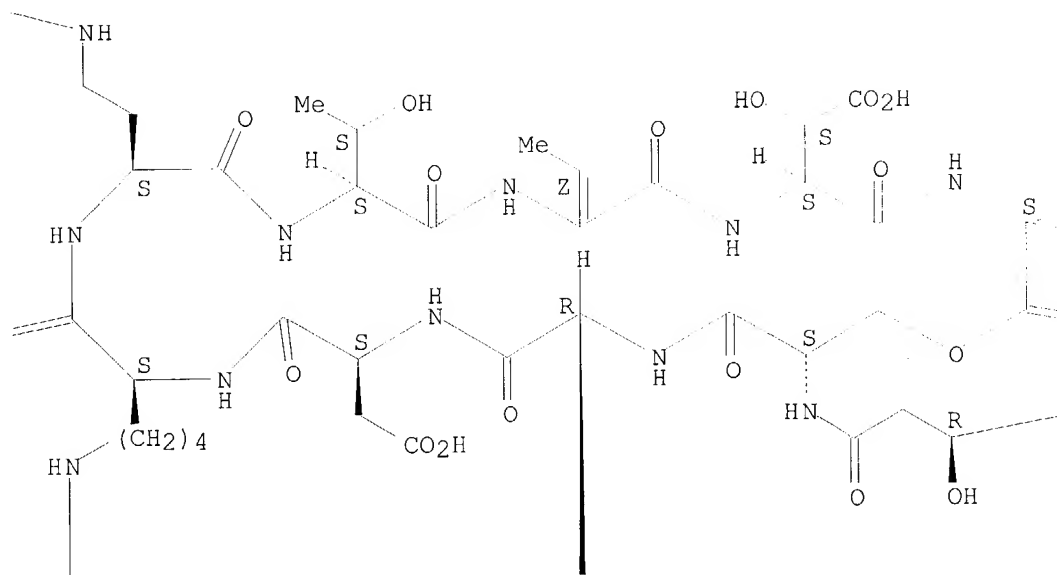
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

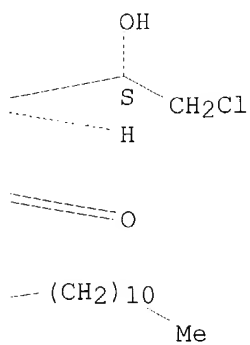
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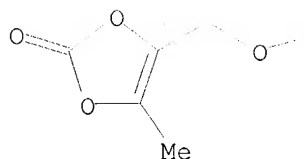
PAGE 1-B



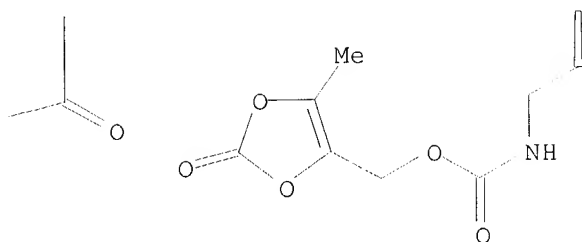
PAGE 1-C



PAGE 2-A



PAGE 2-B

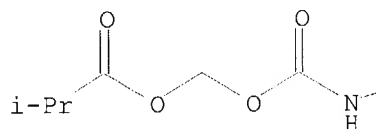


RN 372983-25-0 HCAPLUS

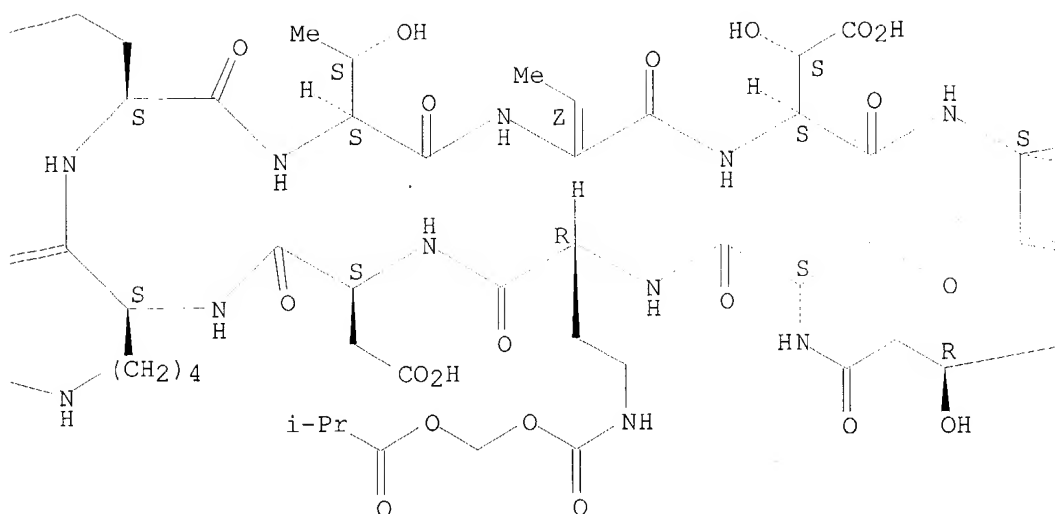
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

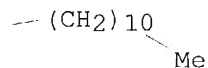
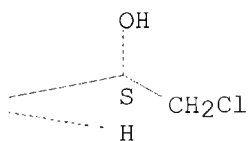
PAGE 1-A



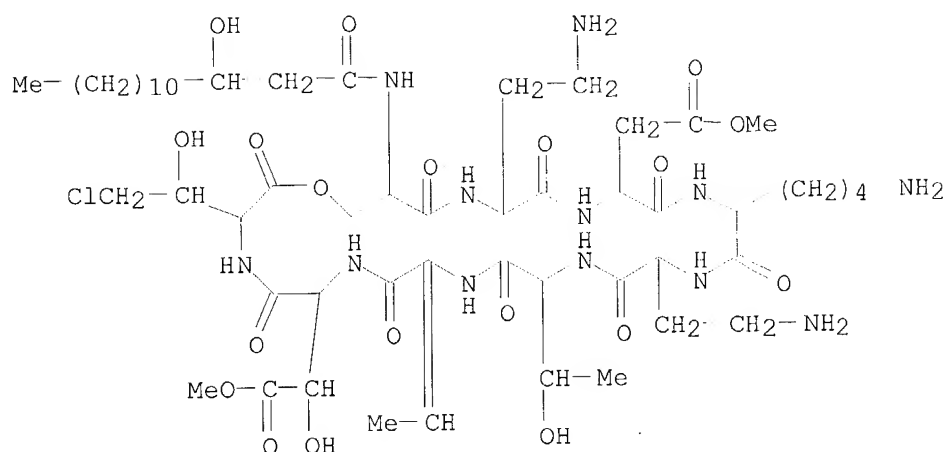
PAGE 1-B



PAGE 1-C



RN 403656-42-8 HCAPLUS
 CN Pseudomycin B, dimethyl ester (9CI) (CA INDEX NAME)



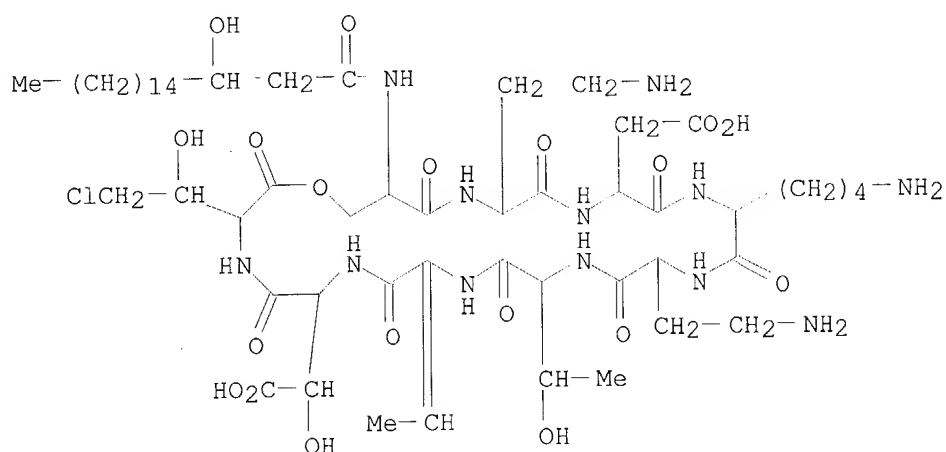
IT 307557-76-2

RL: PAC (Pharmacological activity); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)

(preparation and antifungal activity of pseudomycin side-chain analogs with reduced toxicity effects)

RN 307557-76-2 HCAPLUS

CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]- (9CI) (CA INDEX NAME)



IT 403656-28-0P 403656-29-1P 403656-30-4P

403656-33-7P 403656-35-9P 403656-37-1P

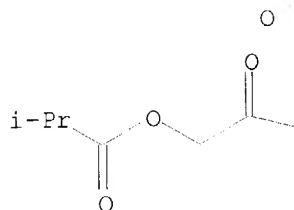
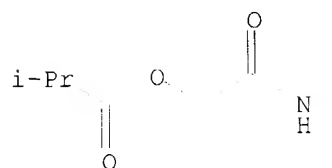
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antifungal activity of pseudomycin side-chain analogs with reduced toxicity effects)

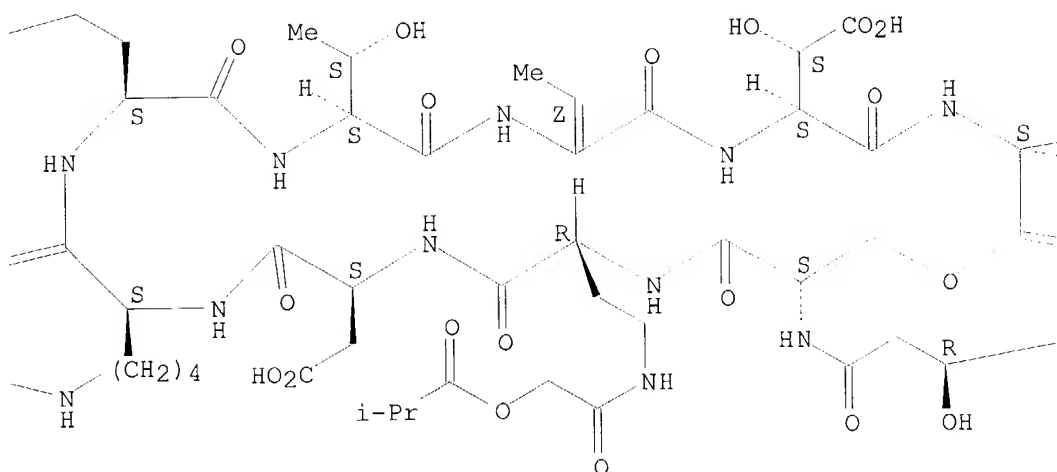
RN 403656-28-0 HCAPLUS

CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[[(2-methyl-1-oxopropoxy)acetyl]amino]butanoic acid]-4-[N6-[(2-methyl-1-oxopropoxy)acetyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2-methyl-1-oxopropoxy)acetyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

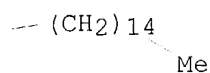
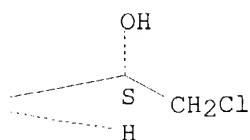
PAGE 1-A



PAGE 1-B



PAGE 1-C

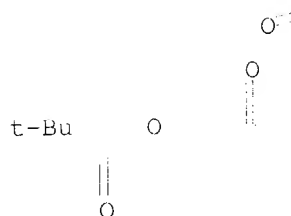
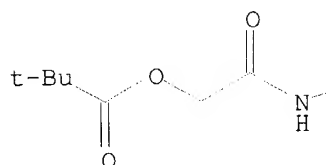


RN 403656-29-1 HCAPLUS

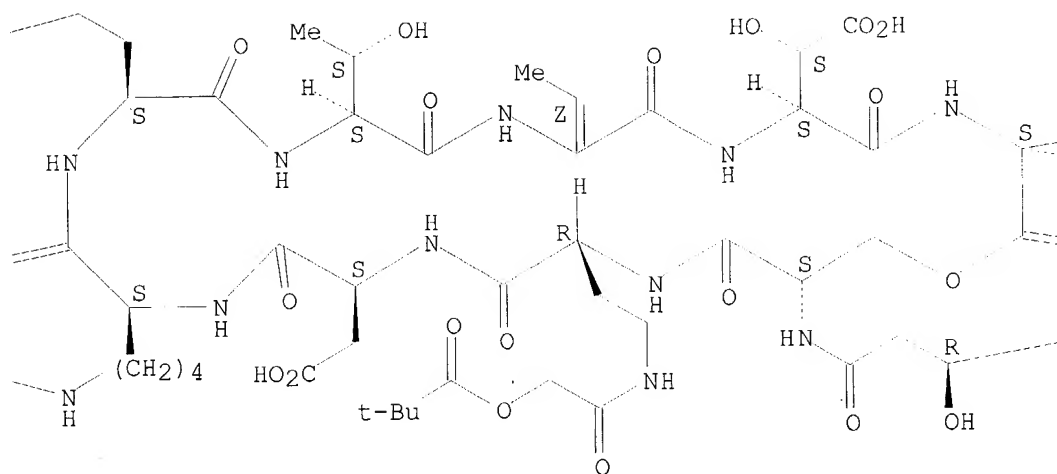
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(2,2-dimethyl-1-oxopropoxy) acetyl] amino]butanoic acid]-4-[N6-[(2,2-dimethyl-1-oxopropoxy) acetyl]-L-lysine]-5-[(2S)-2-amino-4-[[(2,2-dimethyl-1-oxopropoxy) acetyl] amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

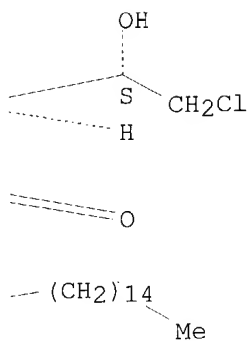
PAGE 1-A



PAGE 1-B



PAGE 1-C

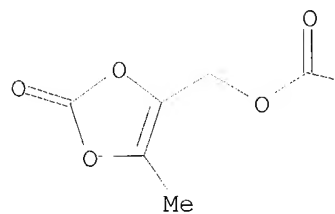


RN 403656-30-4 HCAPLUS

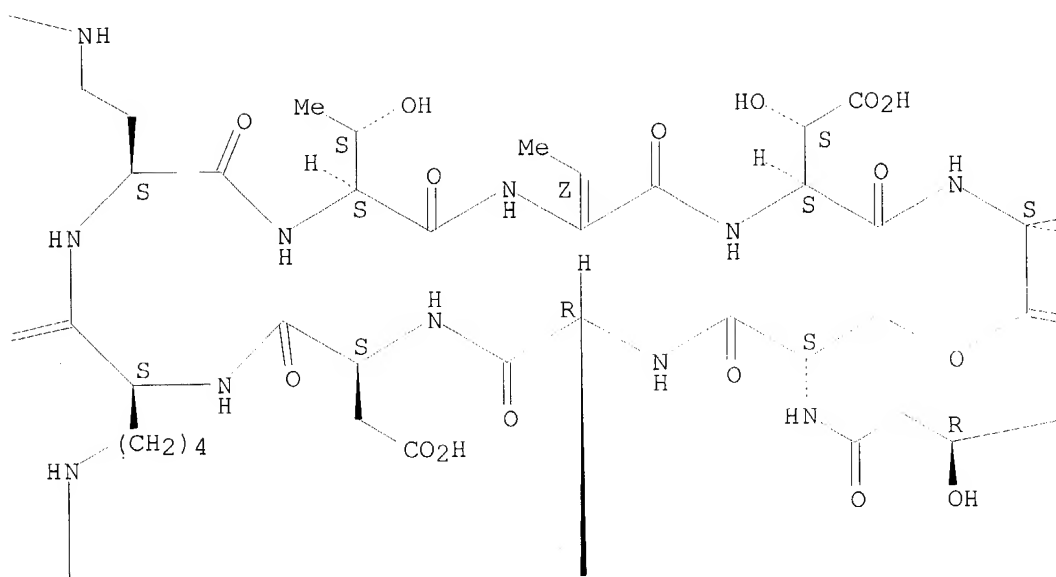
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

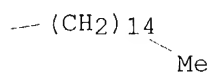
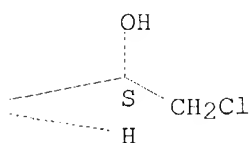
PAGE 1-A



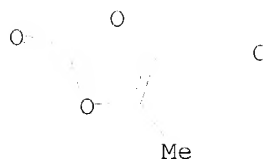
PAGE 1-B



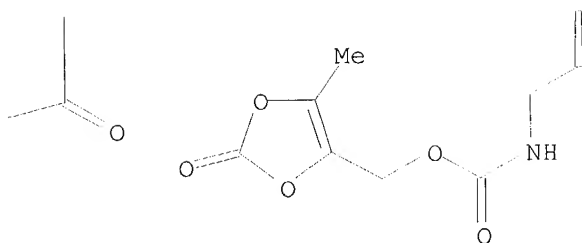
PAGE 1-C



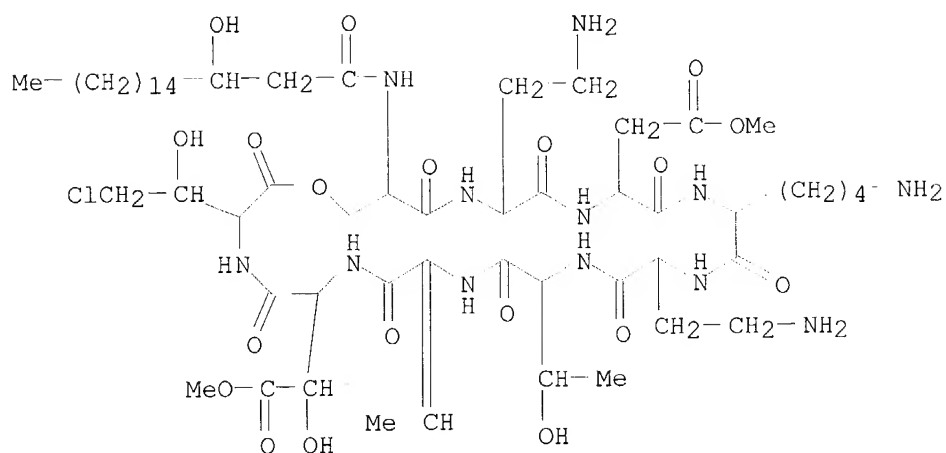
PAGE 2-A



PAGE 2-B



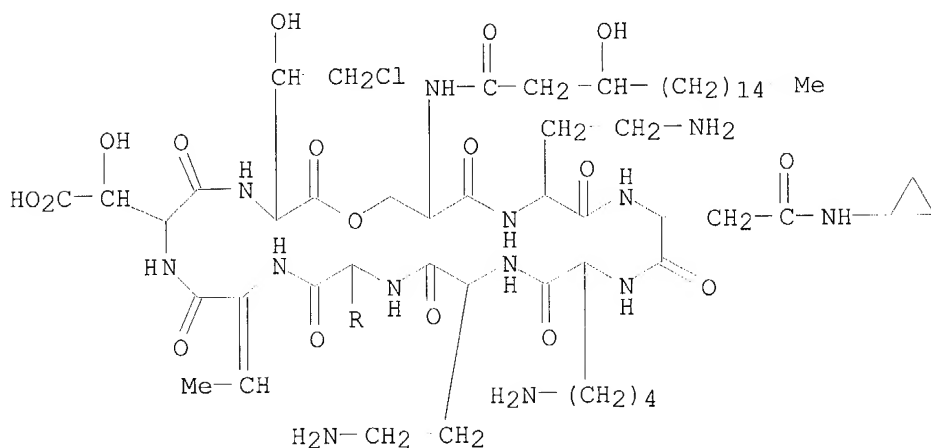
RN 403656-33-7 HCAPLUS
 CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-, dimethyl
 ester (9CI) (CA INDEX NAME)



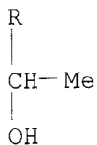
RN 403656-35-9 HCAPLUS

CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-3-(N-cyclopropyl-L-asparagine)-(9CI) (CA INDEX NAME)

PAGE 1-A



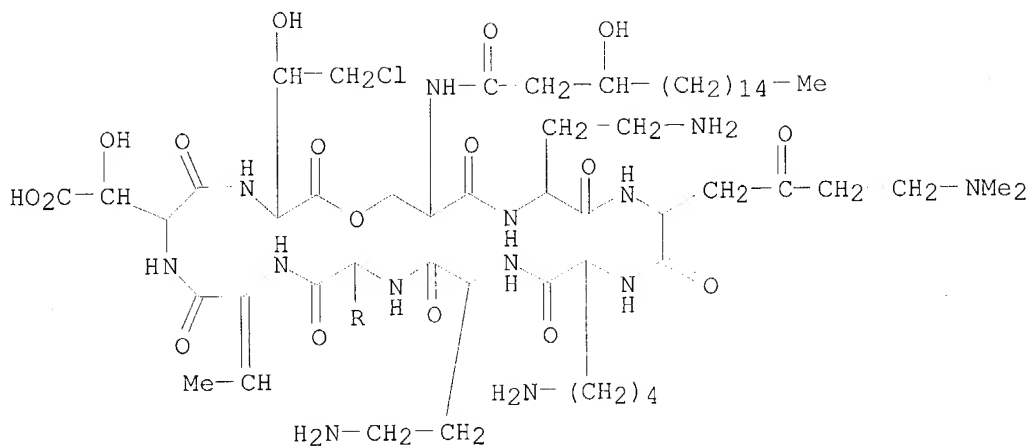
PAGE 2-A



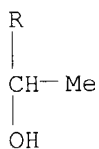
RN 403656-37-1 HCAPLUS

CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-3-(N6,N6-dimethyl-4-oxo-L-lysine)-(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



IT 307557-83-1

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation and antifungal activity of pseudomycin side-chain analogs with reduced toxicity effects)

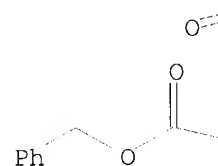
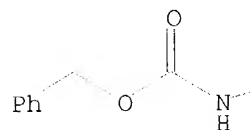
RN 307557-83-1 HCAPLUS

CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-4-[N6-[[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

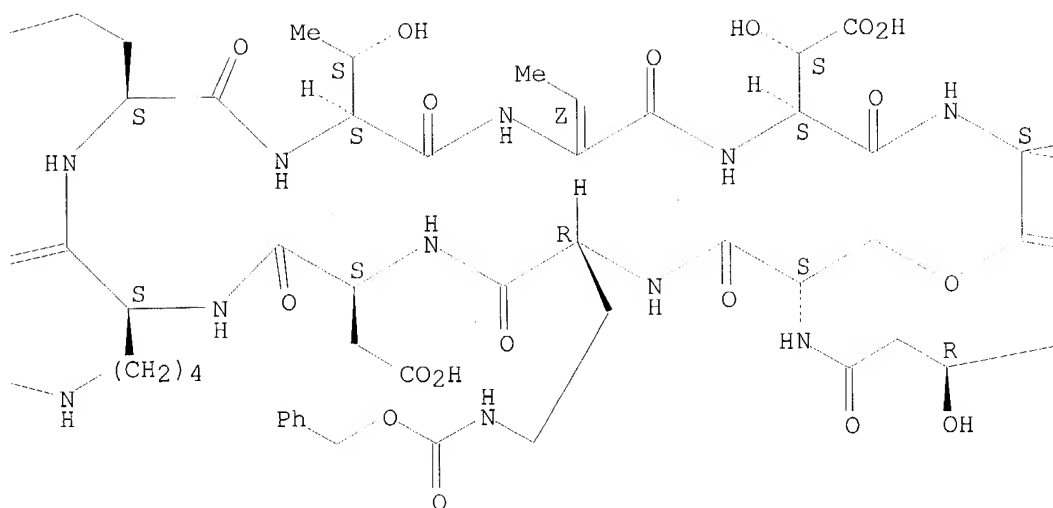
Absolute stereochemistry.

Double bond geometry as shown.

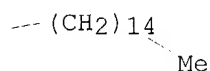
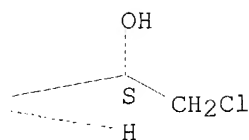
PAGE 1-A



PAGE 1-B



PAGE 1-C



IT 403656-32-6P 403656-34-8P 403656-36-0P

403656-41-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

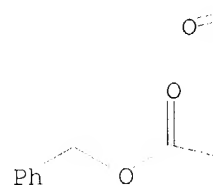
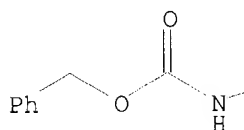
(preparation and antifungal activity of pseudomycin side-chain analogs with reduced toxicity effects)

RN 403656-32-6 HCAPLUS

CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-4-[N6-[[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-, dimethyl ester (9CI) (CA INDEX NAME)

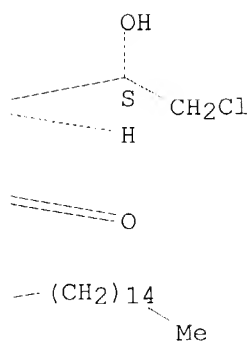
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



The chemical structure shows a complex cyclic peptide derivative. It features a central ring with several side chains. Key components include a (CH₂)₄ group, a phenyl group (Ph), a hydroxyl group (OH), a methoxy group (OMe), and a side chain with a double bond (Z). The structure is highly detailed, showing various functional groups and their connectivity within the cyclic framework.

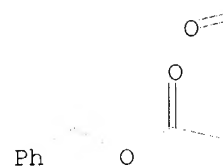
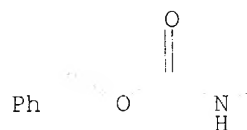
PAGE 1-C



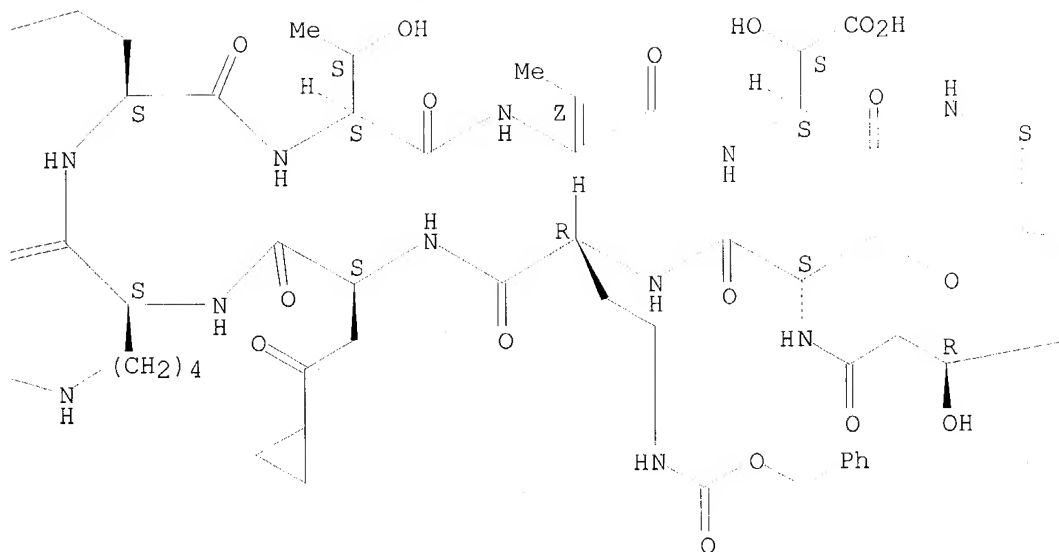
RN	403656-34-8	HCAPLUS
CN	Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3-[(αS)-α-amino-γ-oxocyclopropanebutanoic acid]-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]- (9CI) (CA INDEX NAME)	

Absolute stereochemistry.
Double bond geometry as shown.

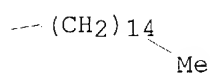
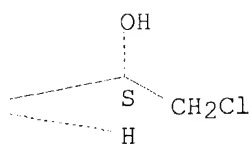
PAGE 1-A



PAGE 1-B



PAGE 1-C

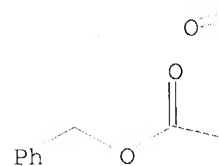
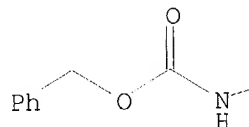


RN 403656-36-0 HCAPLUS

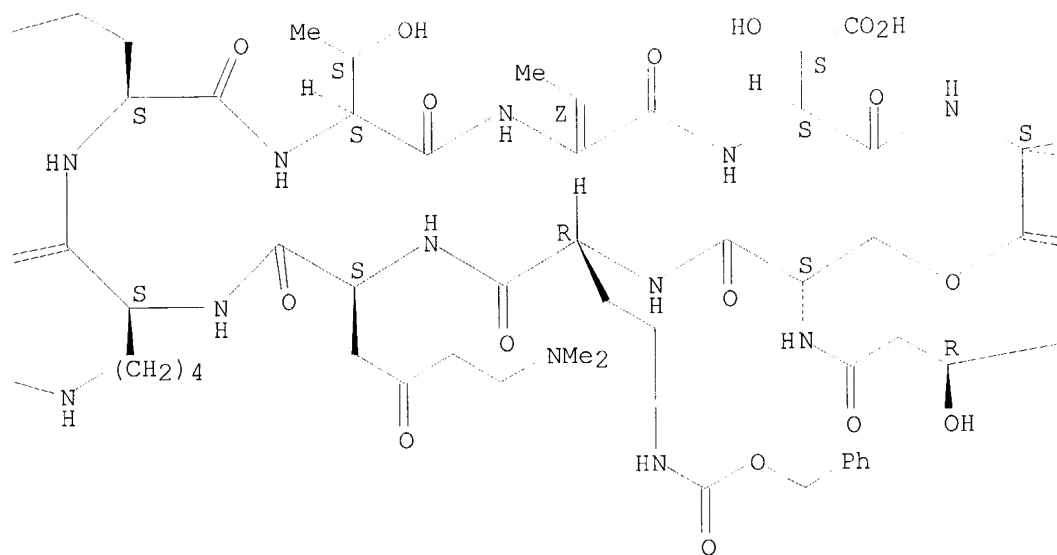
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3-(N6,N6-dimethyl-4-oxo-L-lysine)-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

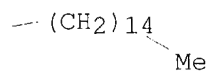
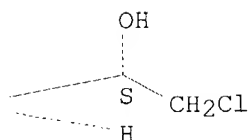
PAGE 1-A



PAGE 1-B



PAGE 1-C

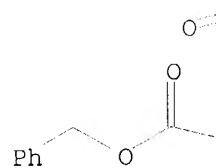
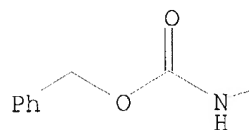


RN 403656-41-7 HCAPLUS

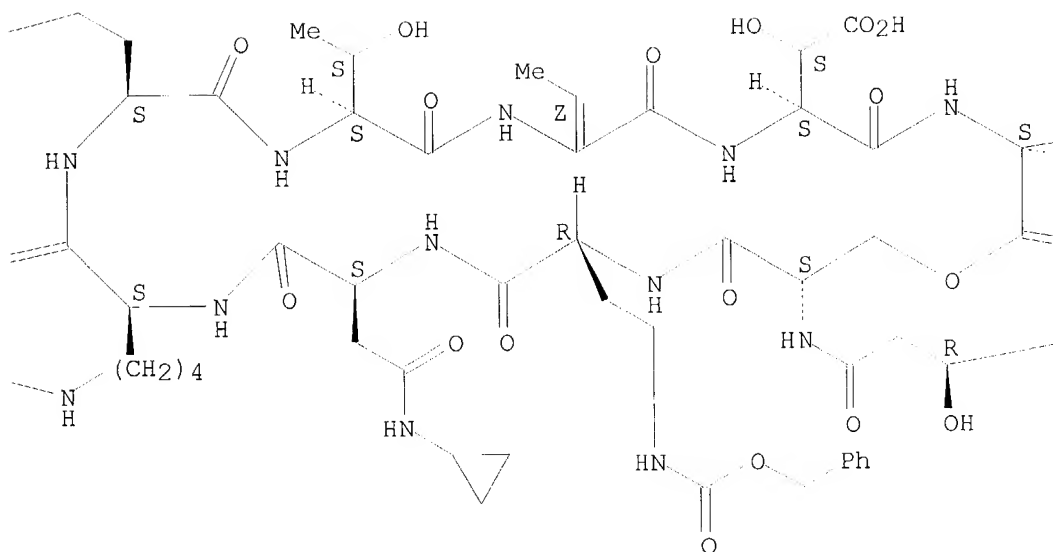
CN Pseudomycin A, 1-[N-[(3R)-3-hydroxy-1-oxooctadecyl]-L-serine]-2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3-(N-cyclopropyl-L-asparagine)-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

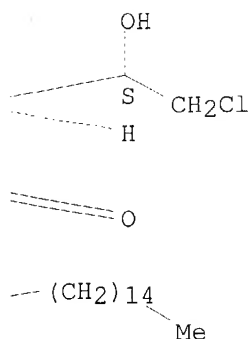
PAGE 1-A



PAGE 1-B



PAGE 1-C



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 4 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:518617 HCAPLUS

DOCUMENT NUMBER: 135:352328

TITLE: **Prodrugs** of 3-amido bearing pseudomycin analogues: novel antifungal agents

AUTHOR(S): Sun, X.; Zeckner, D.; Zhang, Y.; Sachs, R. K.; Current, W.; Rodriguez, M.; Chen, S.-H.

CORPORATE SOURCE: Lilly Research Laboratory, A Division of Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(14), 1881-1884

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB With the aim of identifying safer pseudomycin derivs., we synthesized and evaluated a number of N-acyloxymethyl carbamate linked **prodrugs** of 3-amido pseudomycin analogs. To our satisfaction, all of the **prodrug**-amide combinations prepared exhibited good in vivo efficacy against murine Candidiasis. When evaluated in a dose elevation study, all of the newly synthesized combinations (e.g., 4A, 6A, 8A, and 8B) demonstrated improved toxicity profiles in comparison to their corresponding 3-amides as well as the parent pseudomycin B.

IT 319497-07-9P 319497-10-4P 321156-60-9P

344620-68-4P 344620-74-2P 344620-82-2P

344776-66-5P 372983-26-1P 372983-27-2P

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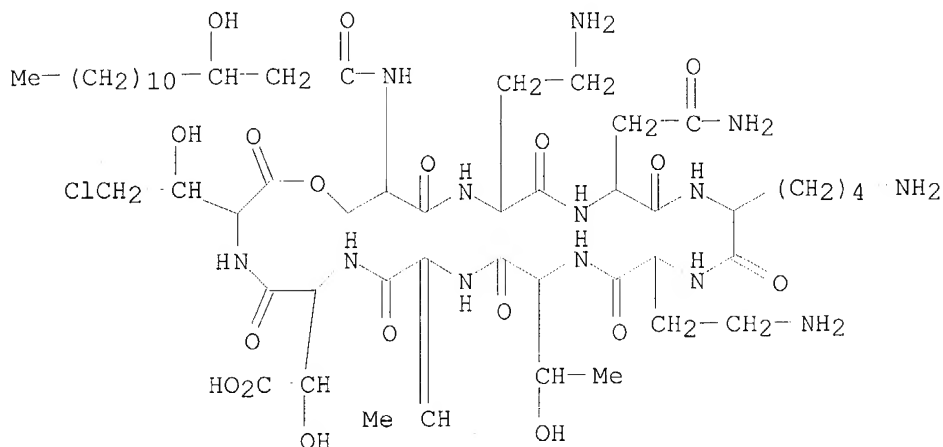
372983-31-8P 372983-32-9P 372983-33-0P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of **prodrugs** of 3-amido bearing pseudomycin analogs as novel antifungal agents)

RN 319497-07-9 HCAPLUS

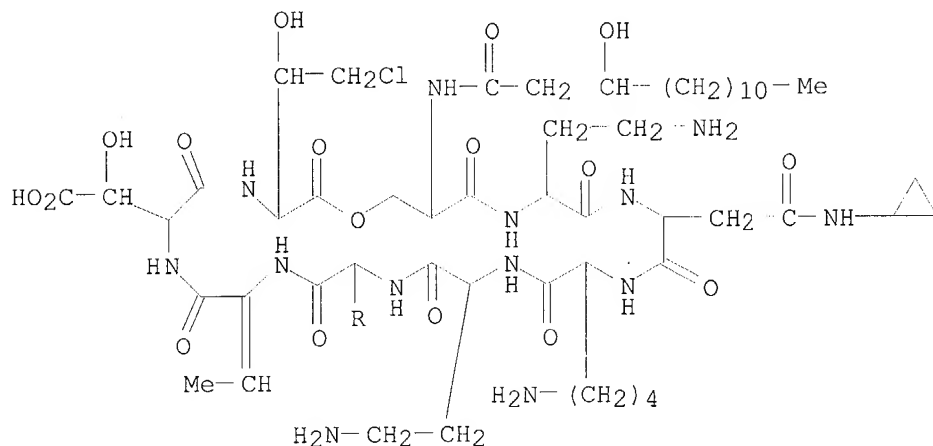
CN Pseudomycin B, 3-L-asparagine- (9CI) (CA INDEX NAME)



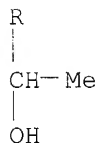
RN 319497-10-4 HCAPLUS

CN Pseudomycin B, 3-(N-cyclopropyl-L-asparagine)- (9CI) (CA INDEX NAME)

PAGE 1-A



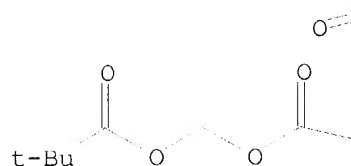
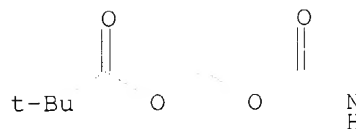
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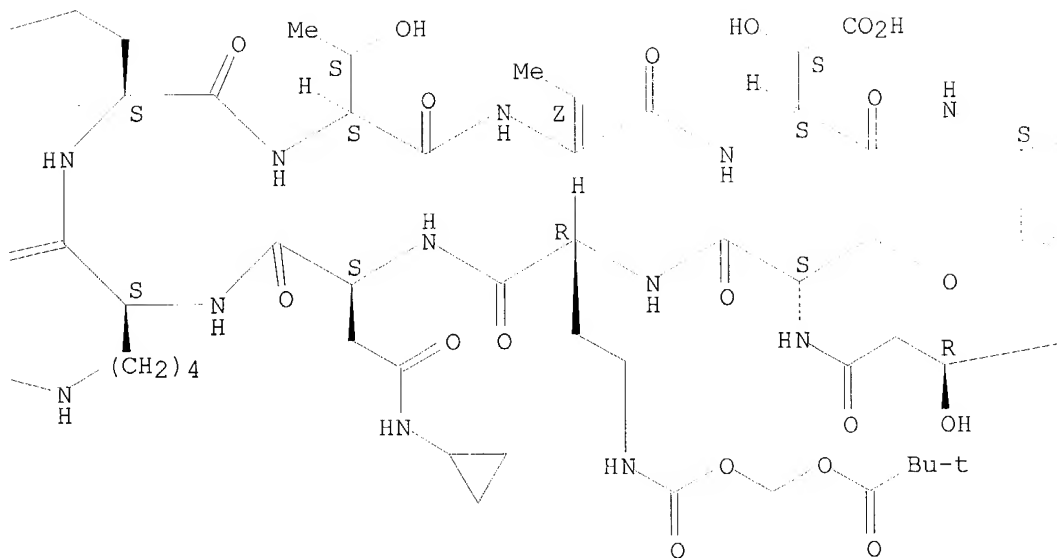
RN 321156-60-9 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-(N-cyclopropyl-L-asparagine)-4-[N6-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

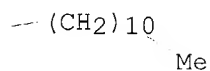
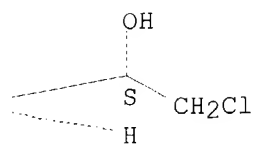
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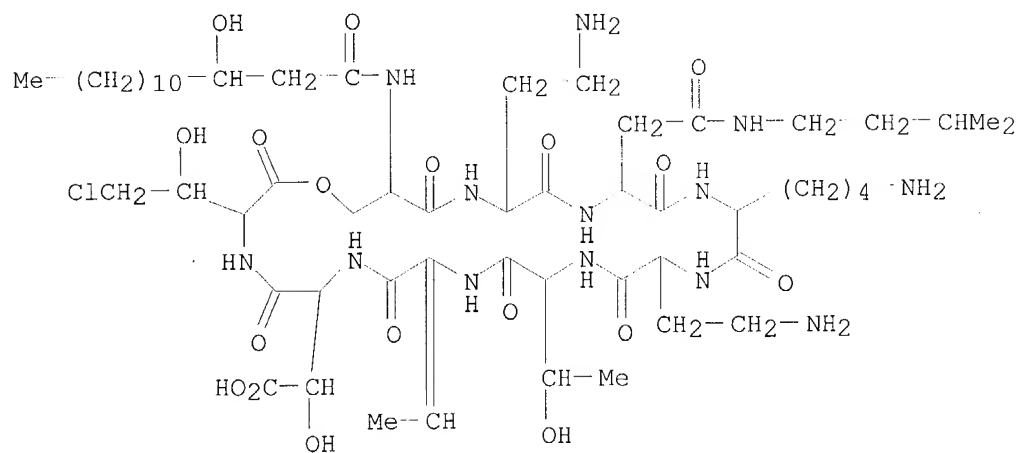
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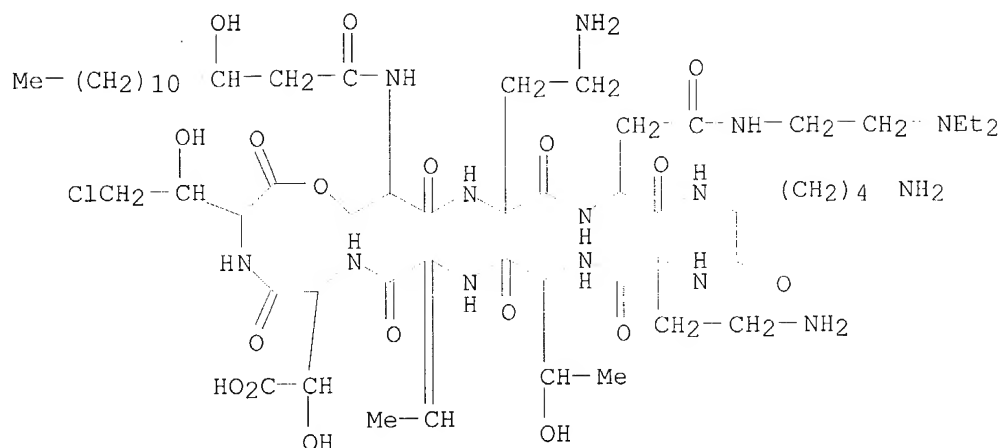
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RN 344620-68-4 HCAPLUS
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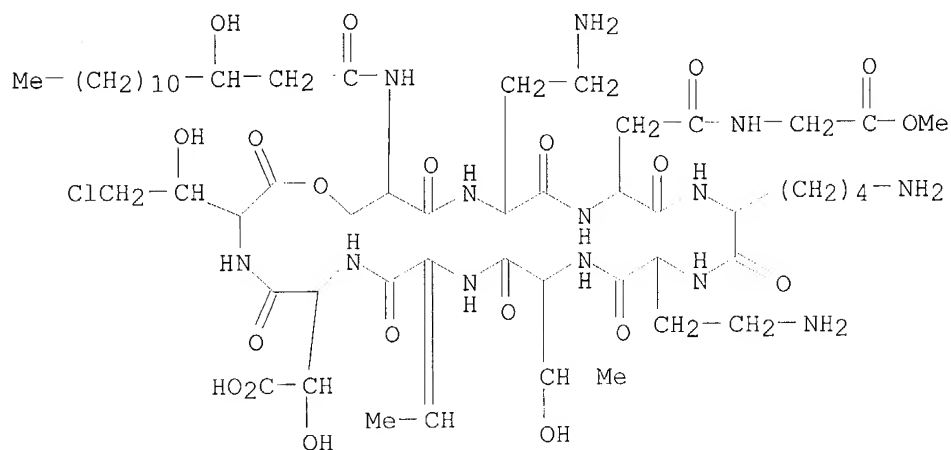


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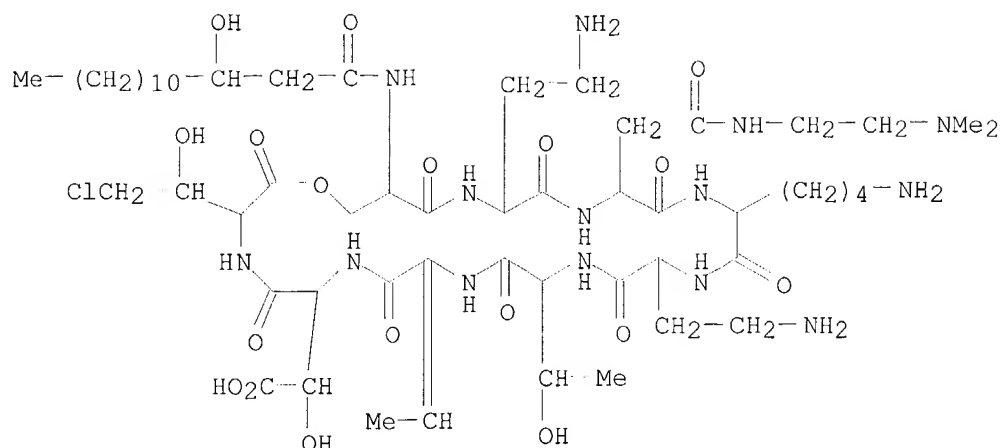
RN 344620-82-2 HCAPLUS

CN Pseudomycin B, 3-[N-(2-methoxy-2-oxoethyl)-L-asparagine]-(9CI) (CA INDEX NAME)



RN 344776-66-5 HCAPLUS

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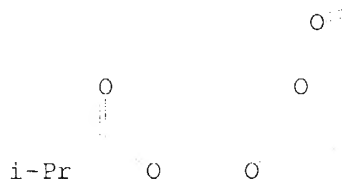
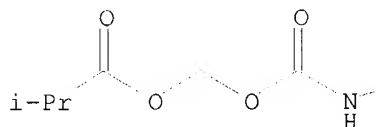


RN 372983-26-1 HCAPLUS

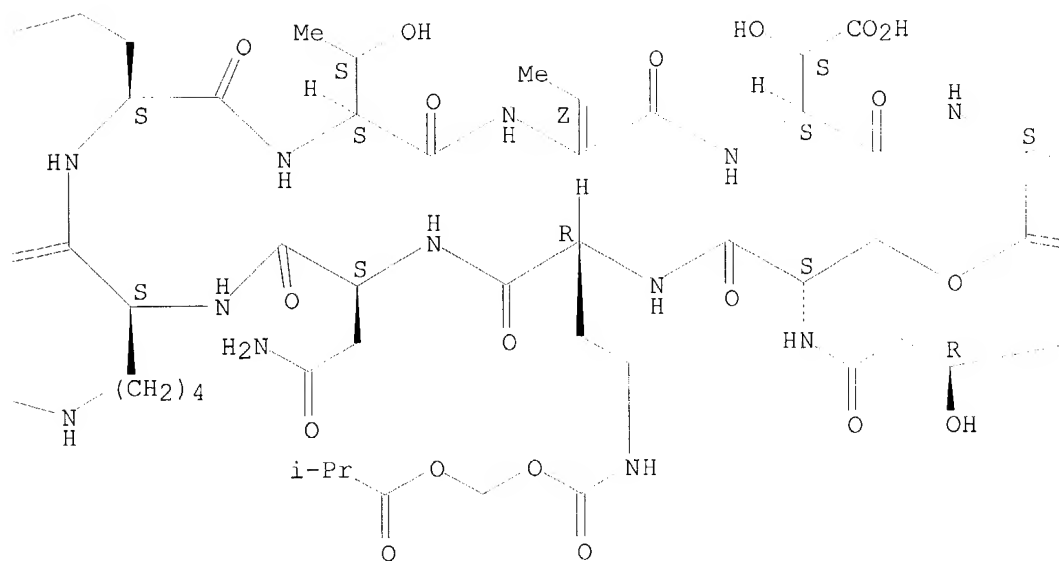
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-L-asparagine-4-[N6-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

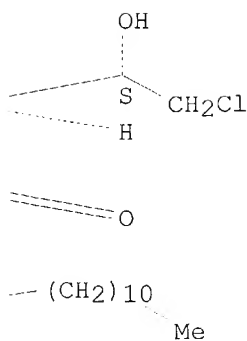
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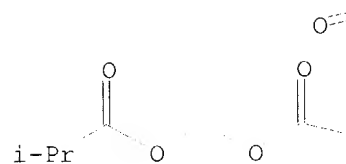


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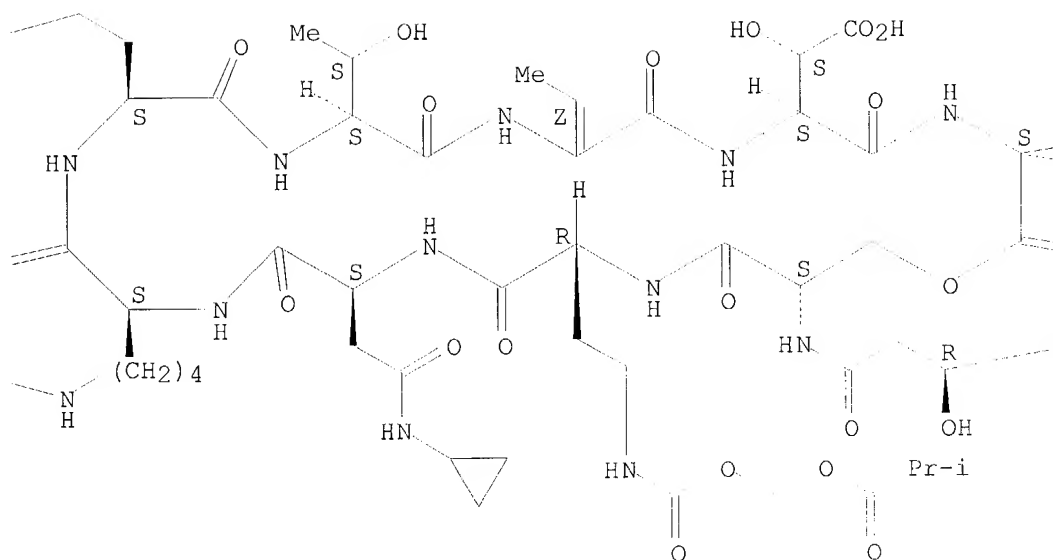


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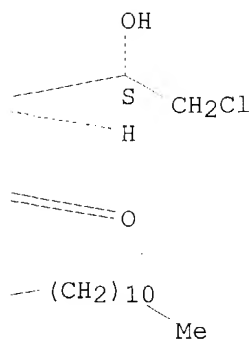
Absolute stereochemistry.
 Double bond geometry as shown.

CCOC(=O)OCCOC(=O)N

PAGE 1-B



PAGE 1-C

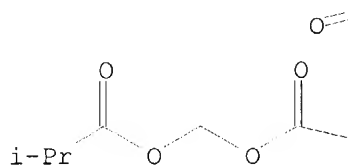
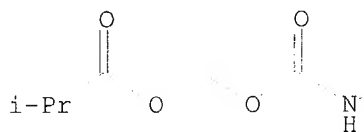


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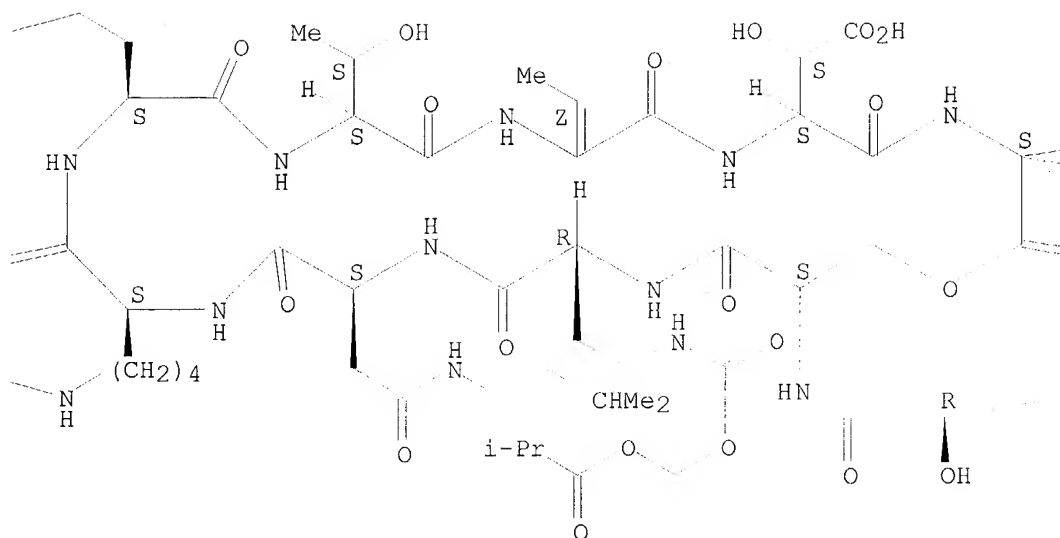
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Absolute stereochemistry.
Double bond geometry as shown.

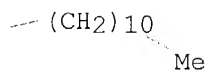
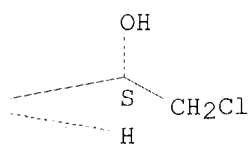
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PAGE 1-B



PAGE 1-C

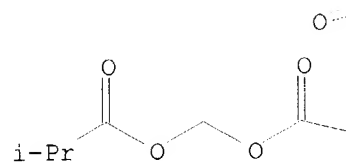
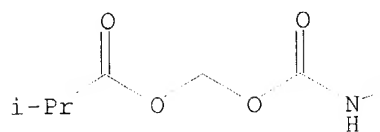


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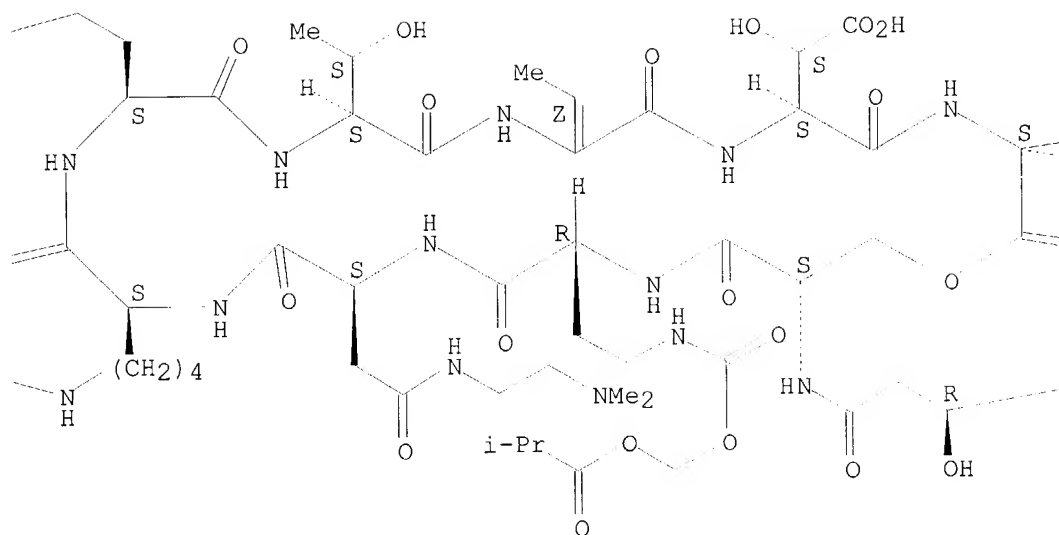
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-[N-[2-(dimethylamino)ethyl]-L-asparagine]-4-[N6-[(2-methyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

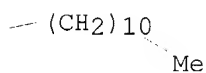
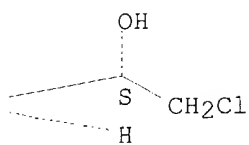
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PAGE 1-B



PAGE 1-C

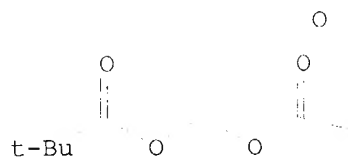
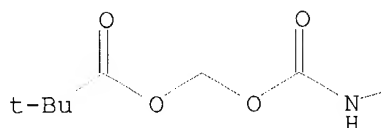


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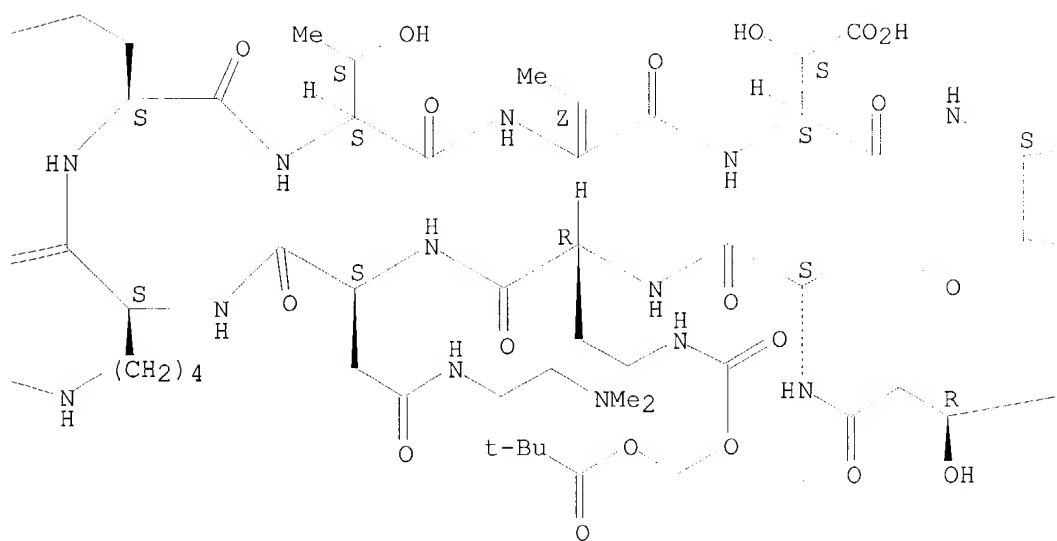
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-[N-(2-(dimethylamino)ethyl)-L-asparagine]-4-[N6-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

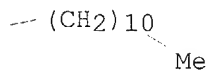
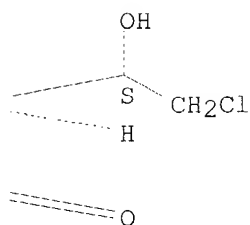
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PAGE 1-B



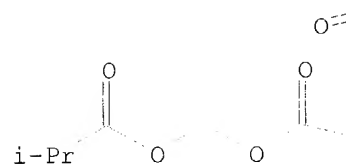
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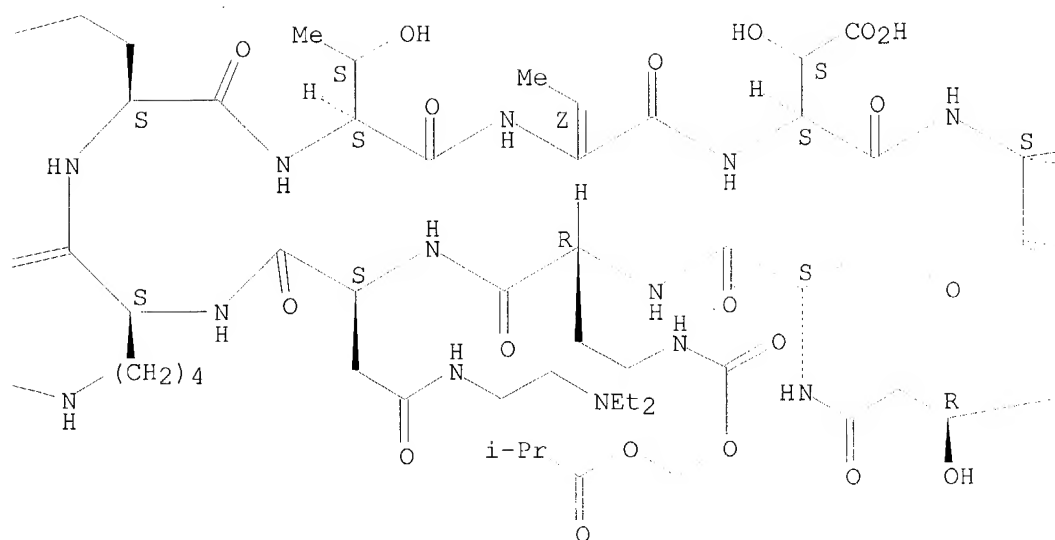
RN 372983-31-8 HCAPLUS

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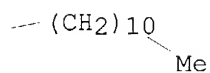
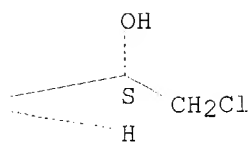
Absolute stereochemistry.
Double bond geometry as shown.

CCOC(=O)OCC(=O)N

PAGE 1-B



PAGE 1-C

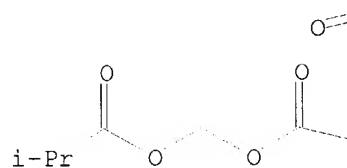
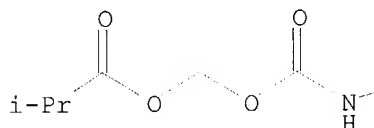


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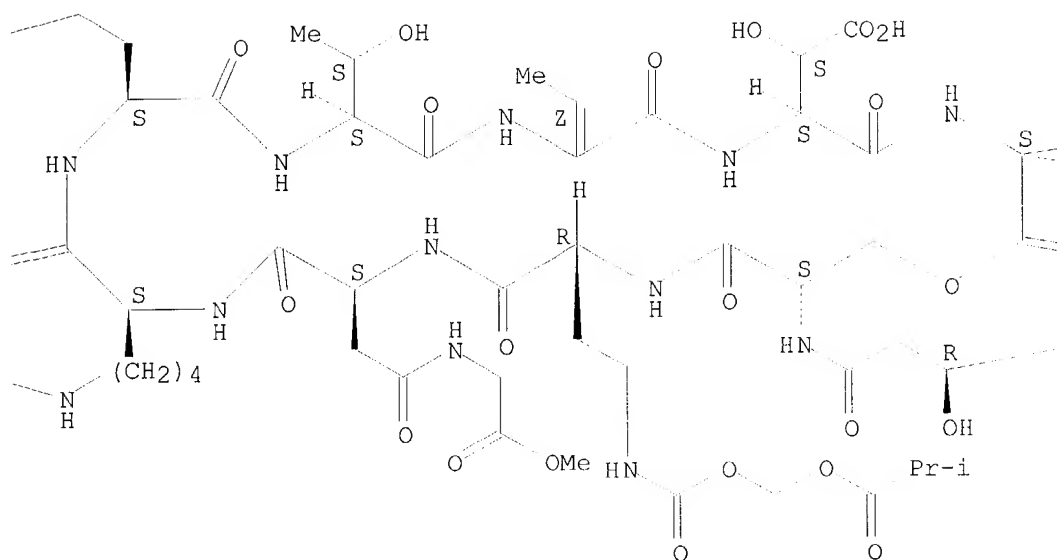
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-3-[N-(2-methoxy-2-oxoethyl)-L-asparagine]-4-[N6-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

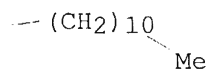
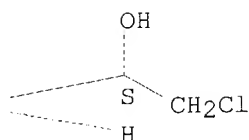
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PAGE 1-B



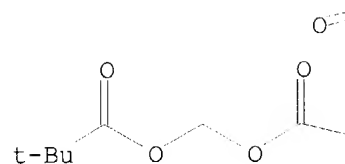
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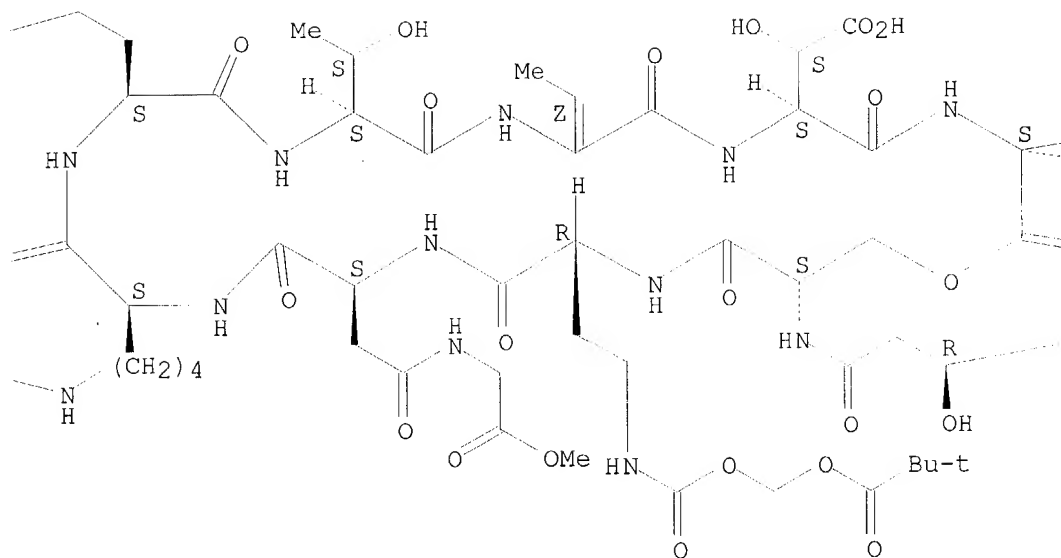
RN 372983-33-0 HCAPLUS

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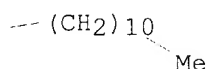
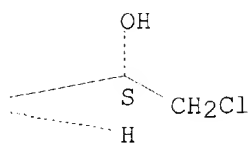
Absolute stereochemistry.
Double bond geometry as shown.

CC(C)(C)C(=O)OCC(=O)N

PAGE 1-B



PAGE 1-C

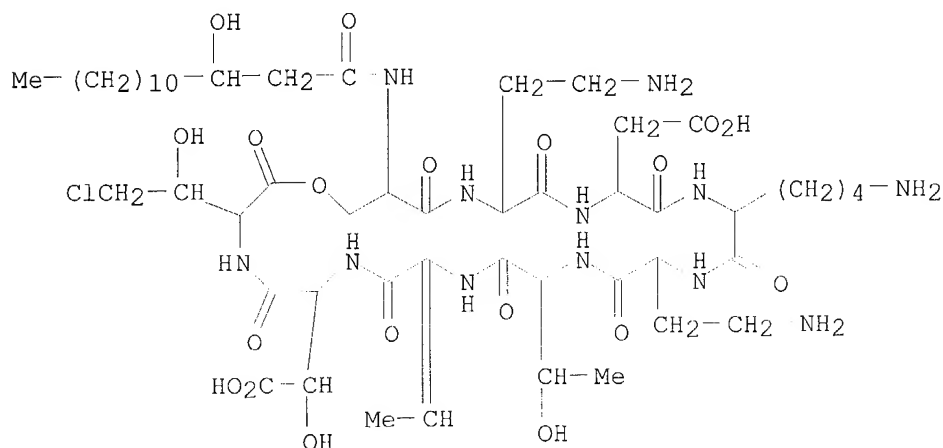


IT **139203-14-8**, Pseudomycin B
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

(preparation of **prodrugs** of 3-amido bearing pseudomycin analogs as novel antifungal agents)

RN 139203-14-8 HCAPLUS

CN Pseudomycin B (9CI) (CA INDEX NAME)



IT **321156-59-6P 372983-25-0P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

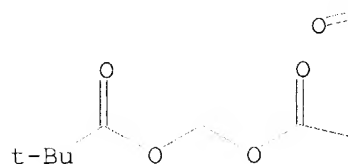
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RN 321156-59-6 HCAPLUS

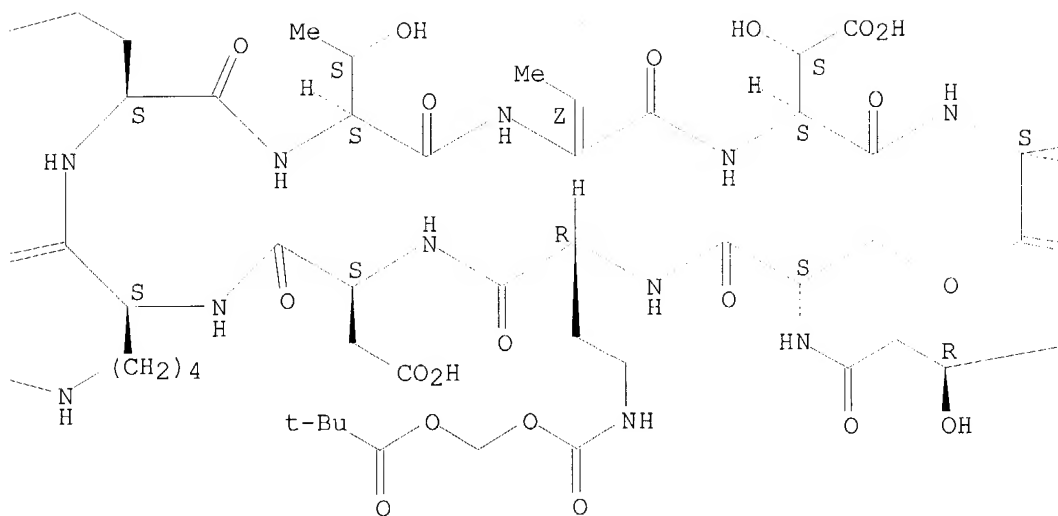
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

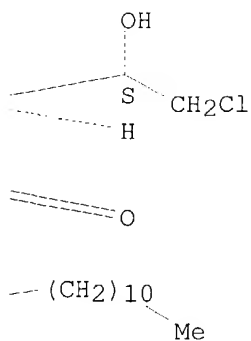
PAGE 1-A



PAGE 1-B



PAGE 1-C



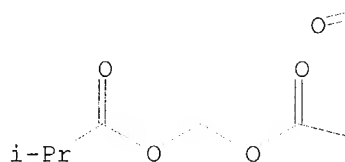
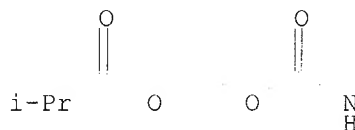
RN 372983-25-0 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2-methyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

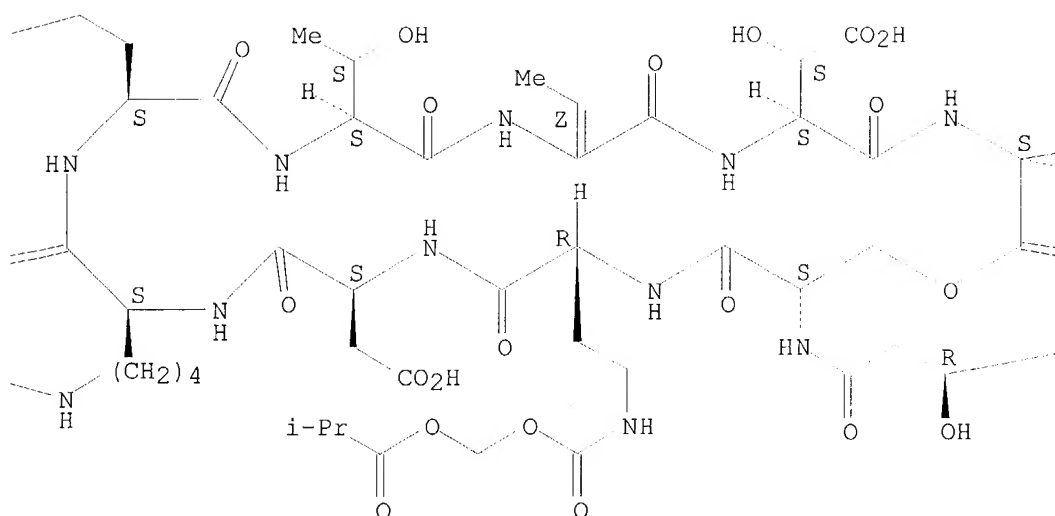
Absolute stereochemistry.

Double bond geometry as shown.

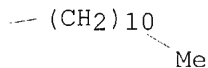
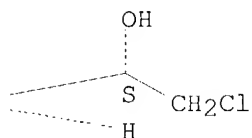
PAGE 1-A



PAGE 1-B



PAGE 1-C



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 5 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:518616 HCAPLUS

DOCUMENT NUMBER: 135:257454

TITLE: N-Acyloxymethyl carbamate linked **prodrugs** of pseudomycins are novel antifungal agents

AUTHOR(S): Sun, Xicheng; Zeckner, Douglas J.; Current, William L.; Boyer, Robert; McMillian, Carl; Yumibe, Nathan; Chen, Shu-Hui

CORPORATE SOURCE: Lilly Research Laboratories, A Division of Eli Lilly

SOURCE: and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA
 Bioorganic & Medicinal Chemistry Letters (2001), 11(14), 1875-1879
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 135:257454

AB We describe herein the synthesis, bioconversion, antifungal activity, and preliminary toxicol. evaluation of a series of N-acyloxymethyl carbamate linked **triprodrugs** of pseudomycins. The syntheses of these **prodrugs** were achieved via simple N-acylation of PSB or PSC' with various **prodrug** linkers. As expected, upon incubation with mouse and/or human plasma, many of these **prodrugs** were converted to the parent compound within a few hours. Of particular significance, two pseudomycin **triprodrugs** showed excellent in vivo efficacy against systemic Candidiasis without tail vein irritation being observed

IT 139203-14-8, Pseudomycin B

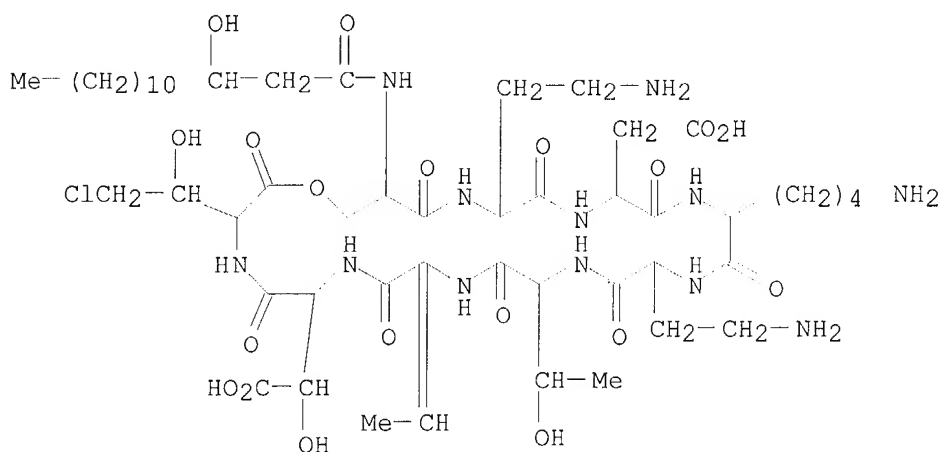
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)

(preparation of macrocyclic peptides containing N-acyloxymethyl carbamate groups

as pseudomycin analogs for use as antifungal agents)

RN 139203-14-8 HCAPLUS

CN Pseudomycin B (9CI) (CA INDEX NAME)



IT 321156-58-5P 321156-59-6P 362055-01-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of macrocyclic peptides containing N-acyloxymethyl carbamate groups

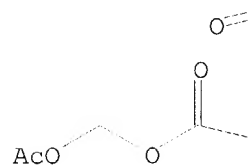
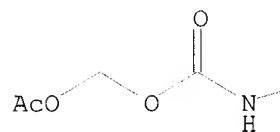
as pseudomycin analogs for use as antifungal agents)

RN 321156-58-5 HCAPLUS

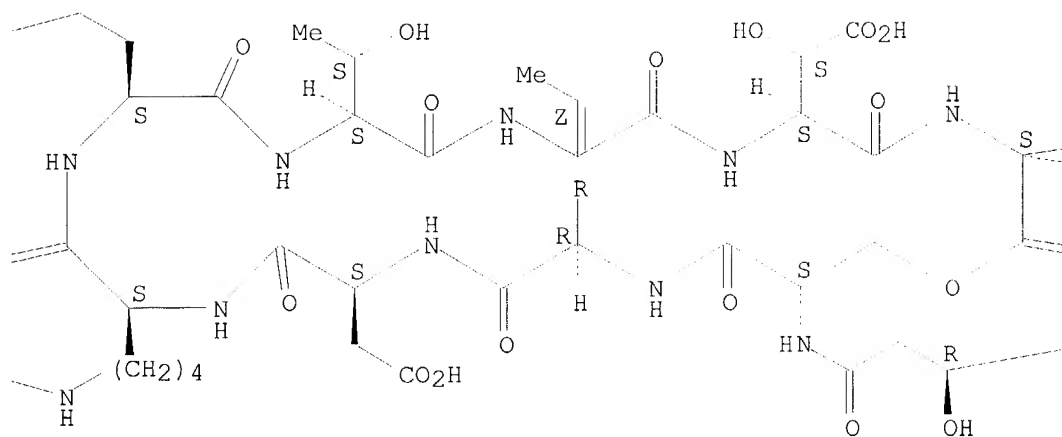
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(acetyloxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[(acetyloxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(acetyloxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

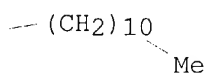
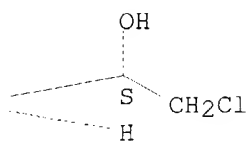
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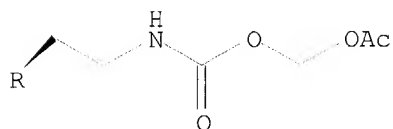
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PAGE 1-C



PAGE 2-A

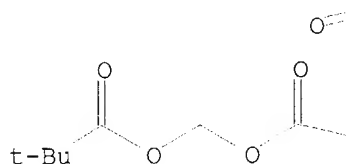


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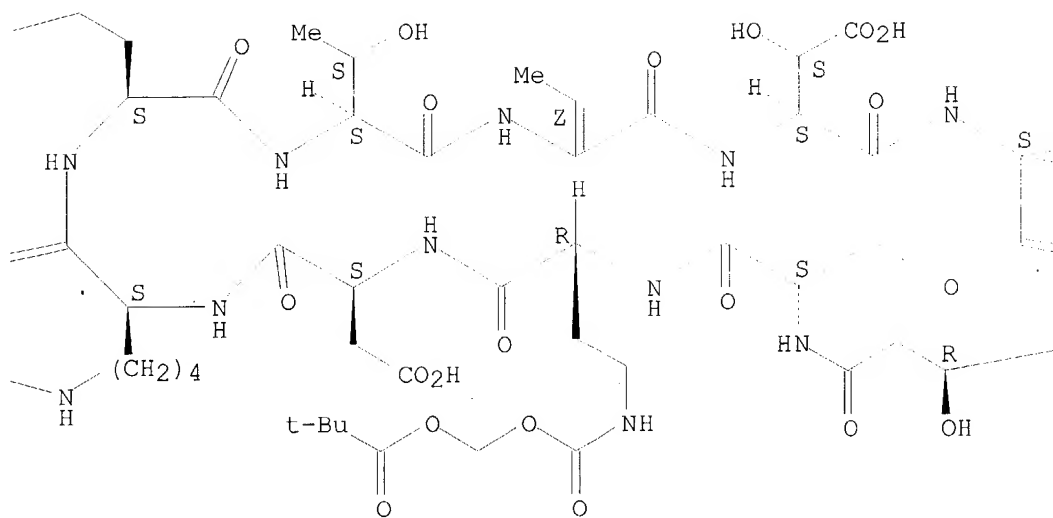
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

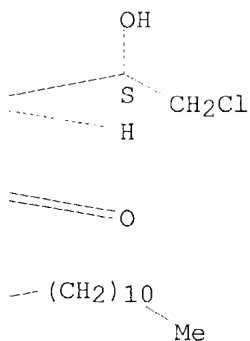
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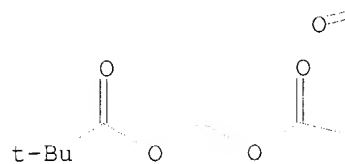
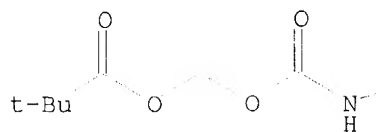
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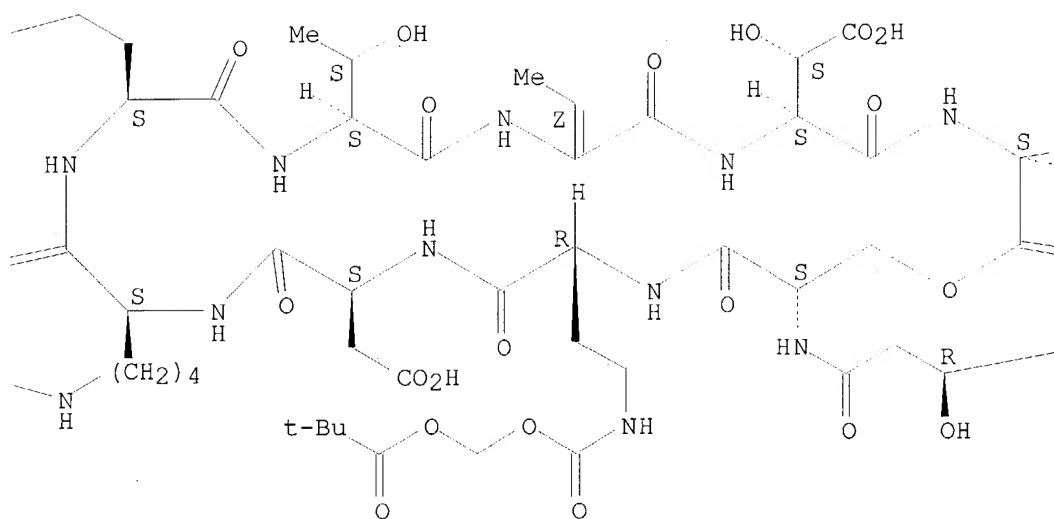
RN 362055-01-4 HCAPLUS
 CN Pseudomycin B, 1-[N-[(3R)-3-hydroxy-1-oxohexadecyl]-L-serine]-2-[(2R)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(2,2-dimethyl-1-oxopropoxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

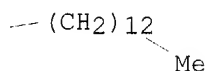
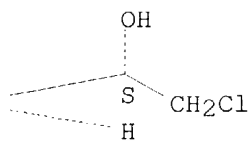
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PAGE 1-C



IT 162443-73-4, Pseudomycin C' 362512-32-1

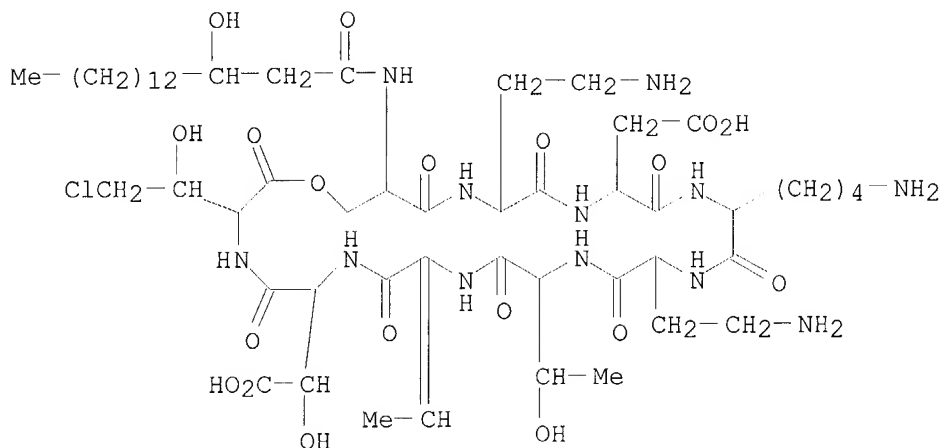
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of macrocyclic peptides containing N-acyloxymethyl carbamate groups

as pseudomycin analogs for use as antifungal agents)

RN 162443-73-4 HCAPLUS

CN Pseudomycin C' (9CI) (CA INDEX NAME)

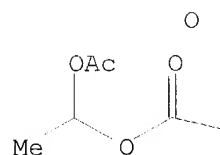
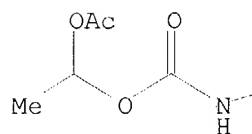


RN 362512-32-1 HCAPLUS

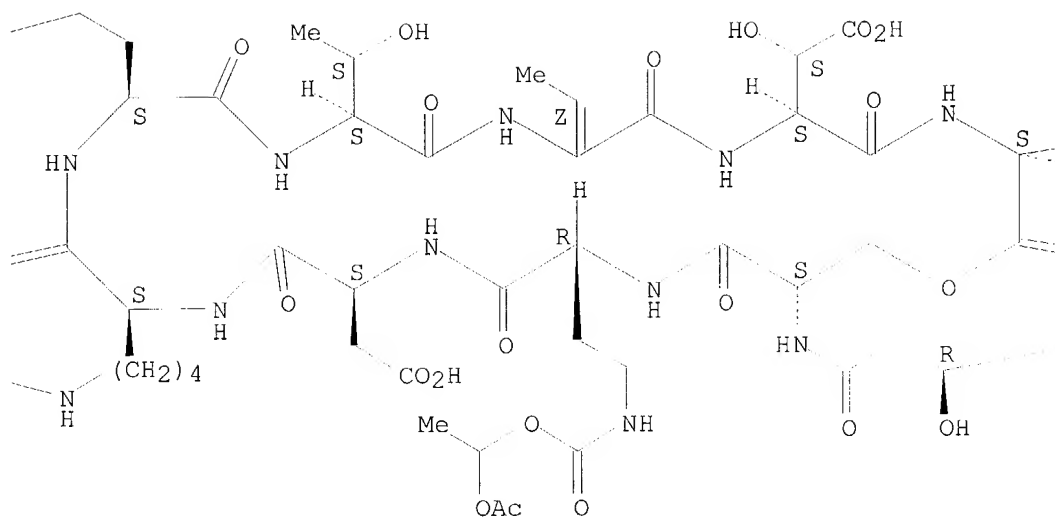
CN Pseudomycin B, 2-[(2R)-4-[[[1-(acetyloxy)ethoxy]carbonyl]amino]-2-aminobutanoic acid]-4-[N6-[[1-(acetyloxy)ethoxy]carbonyl]-L-lysine]-5-[(2S)-4-[[[1-(acetyloxy)ethoxy]carbonyl]amino]-2-aminobutanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

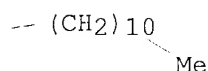
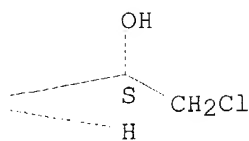
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PAGE 1-B



PAGE 1-C



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 6 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:474114 HCAPLUS

DOCUMENT NUMBER: 135:204988

TITLE: Synthesis and Evaluation of Oxodioxolenylmethyl Carbamate **Prodrugs** of Pseudomycins

AUTHOR(S): Sun, Xicheng; Rodriguez, Michael; Zeckner, Doug; Sachs, Bobbie; Current, William; Boyer, Robert; Paschal, Jonathan; McMillian, Carl; Chen, Shu-Hui
CORPORATE SOURCE: Lilly Research Laboratories A Division of Eli Lilly and Company, Indianapolis, IN, 46285, USA

SOURCE: Journal of Medicinal Chemistry (2001), 44(16), 2671-2674

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB With the aim of increasing therapeutic indexes of novel cyclic depsinonapeptide pseudomycins, we synthesized and evaluated a series of mono-, di-, and trioxodioxolenylmethyl carbamate **prodrugs** of pseudomycin B and pseudomycin C'. It is rather encouraging to note that several members of the newly synthesized **prodrugs** described herein exhibited comparable in vivo efficacy to that achieved by the parent compds., yet free of tail vein irritation and histamine induced toxicity in vivo.

IT 321156-55-2P 358365-67-0P 358365-68-1P

358365-69-2P 358365-70-5P 358365-71-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and evaluation of oxodioxolenylmethyl carbamate **prodrugs** of pseudomycins)

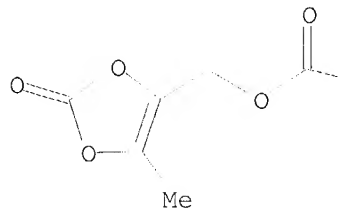
RN 321156-55-2 HCAPLUS

CN Pseudomycin C', 2-[(2R)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA

INDEX NAME)

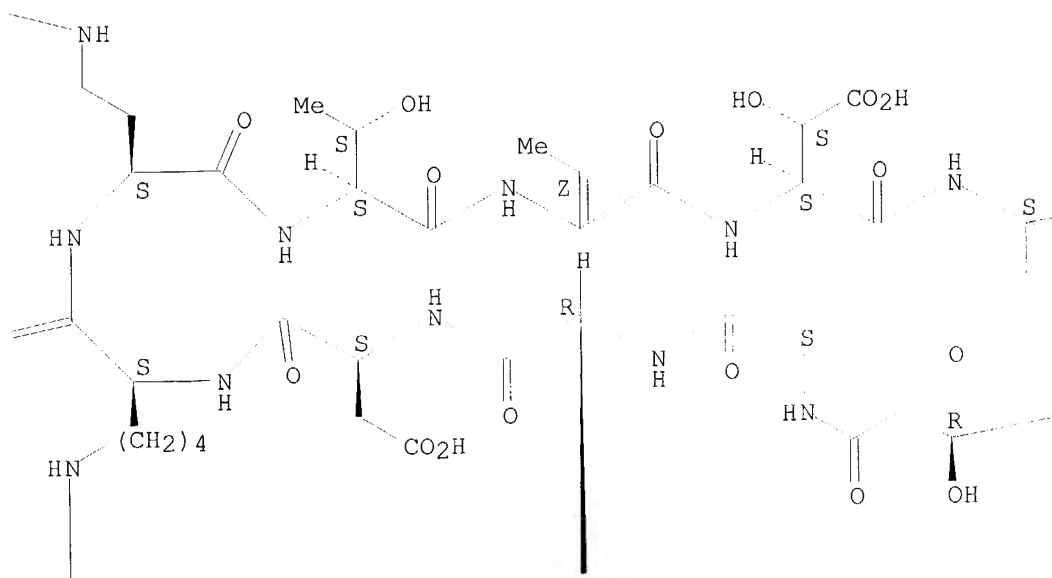
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

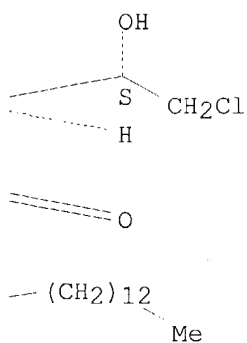


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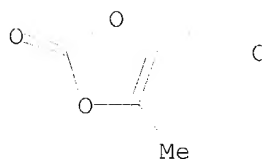
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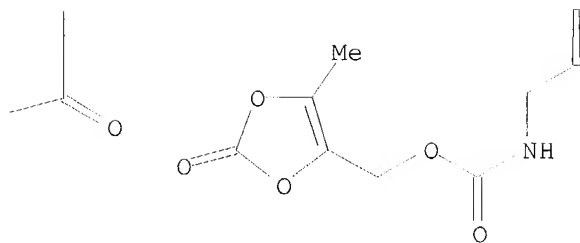
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PAGE 2-A



PAGE 2-B

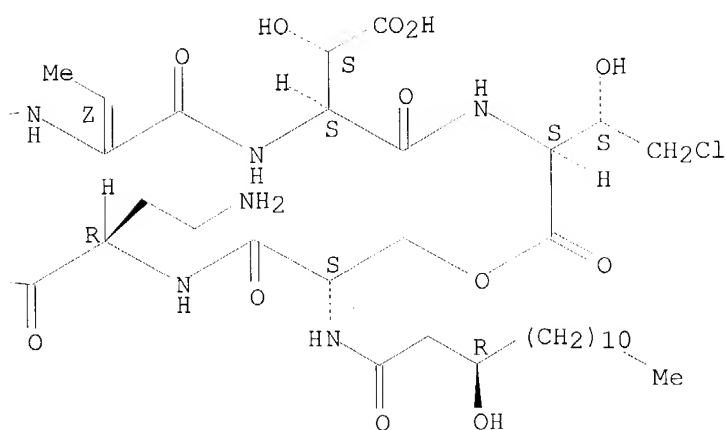


RN 358365-67-0 HCAPLUS

CN Pseudomycin B, 5-[(2S)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-C

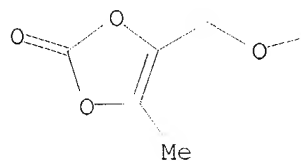


RN 358365-68-1 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]-L-lysine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

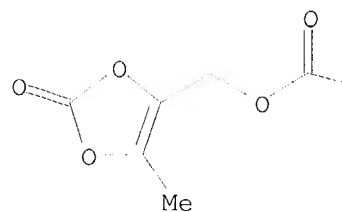
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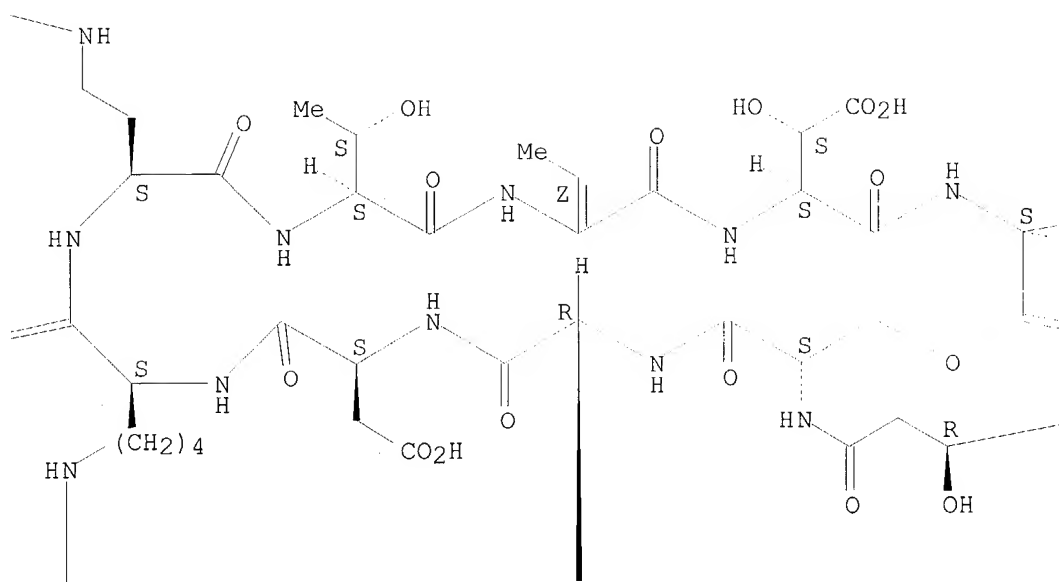
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Page 62

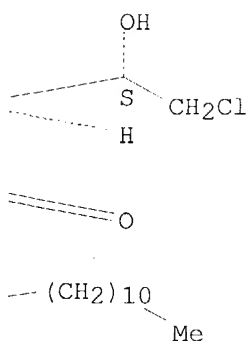
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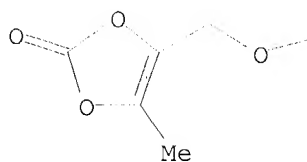
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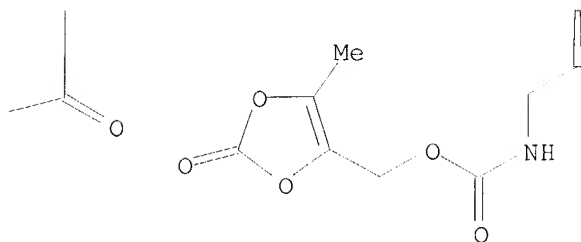
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PAGE 2-A



PAGE 2-B

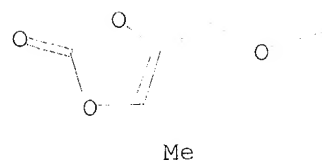


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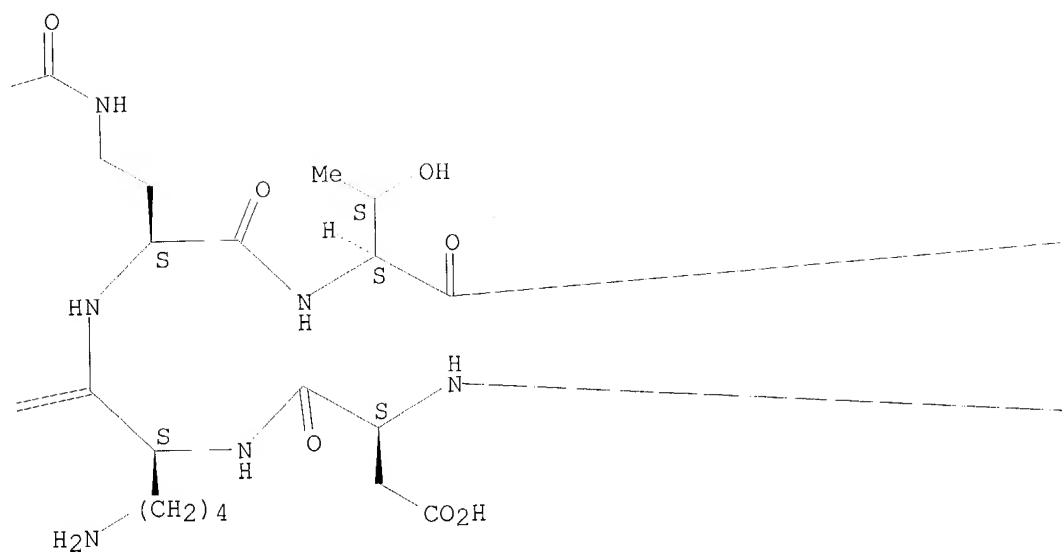
CN Pseudomycin C', 5-[(2S)-2-amino-4-[[[5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

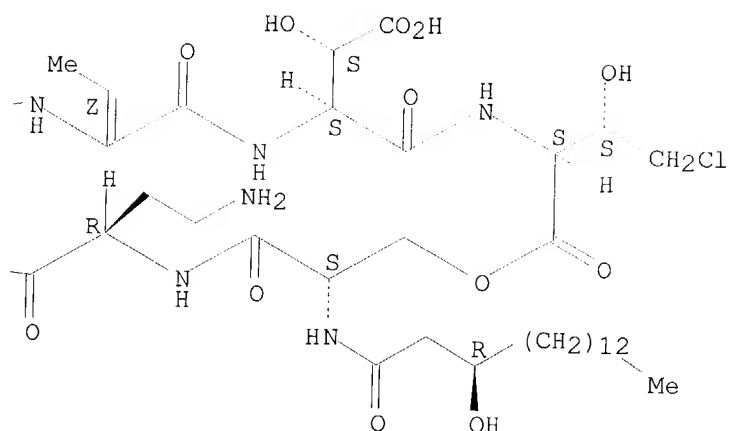
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PAGE 1-B



PAGE 1-C



RN 358365-71-6 HCAPLUS

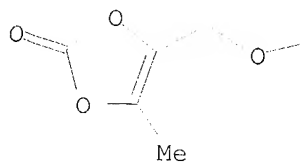
CN Pseudomycin C', 2-[(2R)-2-amino-4-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[(5-methyl-2-oxo-1,3-dioxol-4-yl)methoxy]carbonyl]-L-lysine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

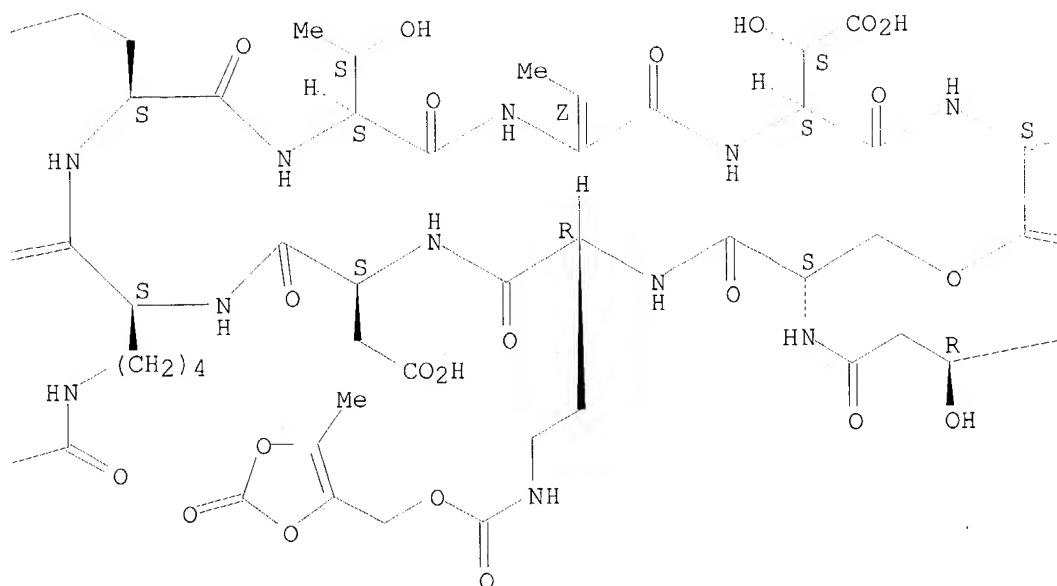
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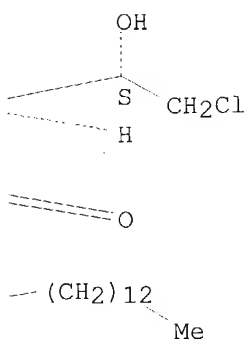
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PAGE 1-B



PAGE 1-C

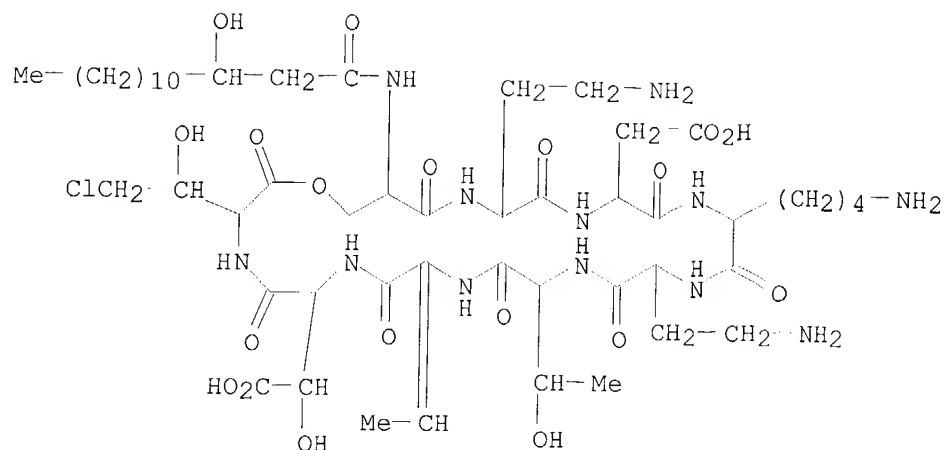


IT 139203-14-8, Pseudomycin B 162443-73-4, Pseudomycin C'
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

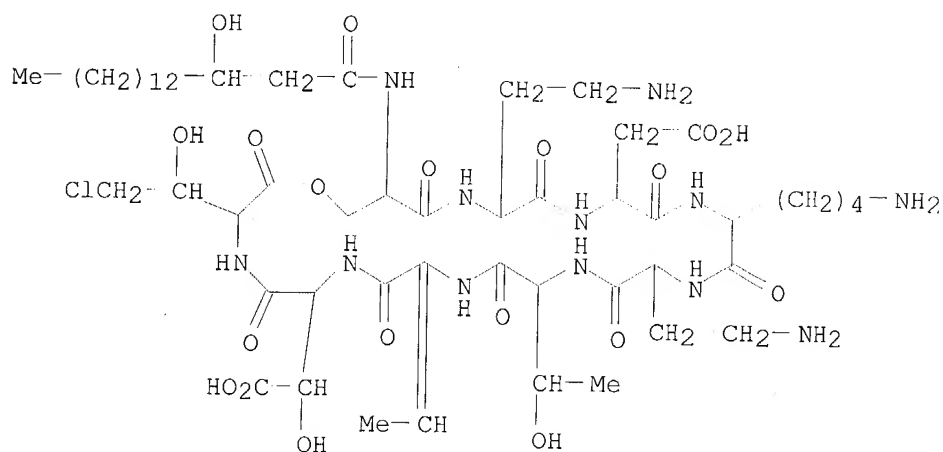
(synthesis and evaluation of oxodioxolenylmethyl carbamate
 prodrugs of pseudomycins)

RN 139203-14-8 HCAPLUS

CN Pseudomycin B (9CI) (CA INDEX NAME)



RN 162443-73-4 HCAPLUS
 CN Pseudomycin C' (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 7 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:434780 HCAPLUS
 DOCUMENT NUMBER: 135:19918
 TITLE: Preparation of pseudomycin phosphate **prodrugs**
 INVENTOR(S): Chen, Shu Hui; Sun, Xicheng David; Zhang, Yanzhi
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 58 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001041534	A2	20010614	WO 2000-US30167	20001129

WO 2001041534 A3 20011122

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

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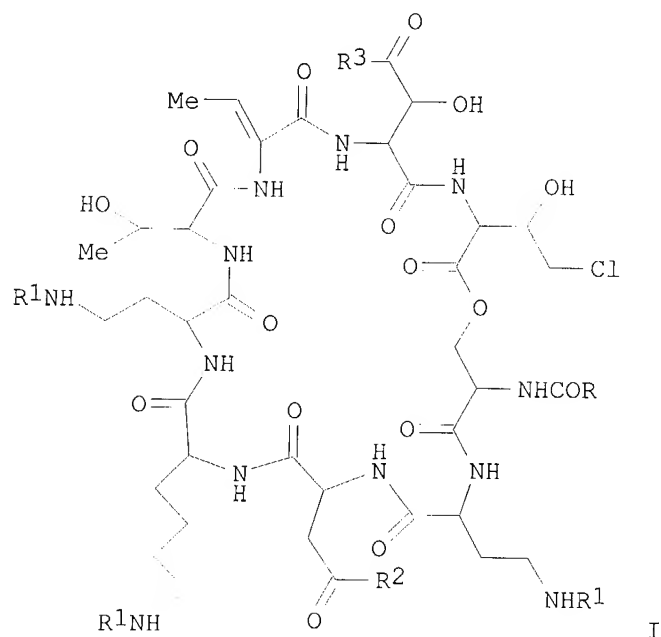
US 1999-170466P P 19991213

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OTHER SOURCE(S):

MARPAT 135:19918

GI



AB Pseudomycin **prodrugs** I [R is alkyl, acylaminomethyl, Ph, phenylhydroxyalkyl, 3-pyridyl, or alkylaminoalkyl radicals of defined structure; R1 = H, o- or p-CO2CH2C6H4[OP(O)(OR1a)2], or CO2CH2OP(O)(OR1a)(OR1b), where R1a = H, Cl-6 alkyl, benzyl, or CH2CH2SiMe3 and R1b = H or Cl-6 alkyl (at least one R1 ≠ H); R2, R3 = OH, alkoxy, cycloalkyloxy, an amino group or amino acid alkyl ester residue, etc.] and their pharmaceutically acceptable salts were prepared for use as antifungal agents. Thus, pseudomycin B was treated with p-O2NC6H4OCO2CH2-p-C6H4OP(O)(OCH2Ph)2 (p-O2NC6H4OR1) (preparation given) to yield the tri-substituted phosphate benzyloxycarbamate **prodrug** which was assayed for tail vein toxicity.

IT 342808-52-0P 342808-54-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pseudomycin phosphate **prodrugs**)

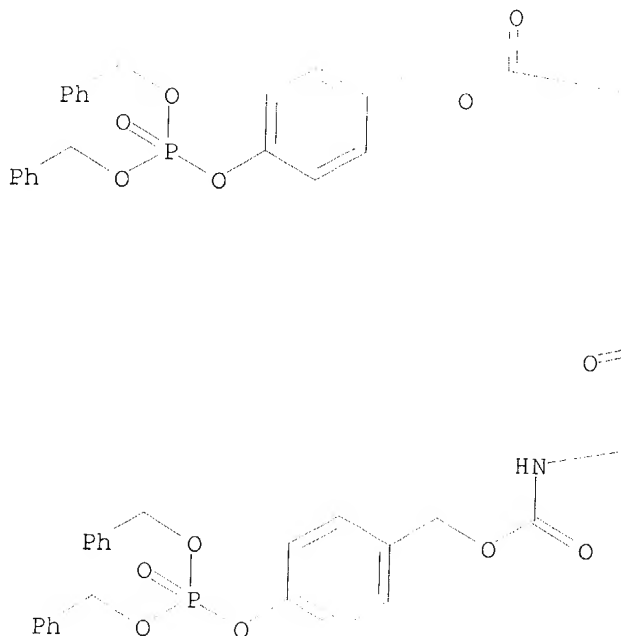
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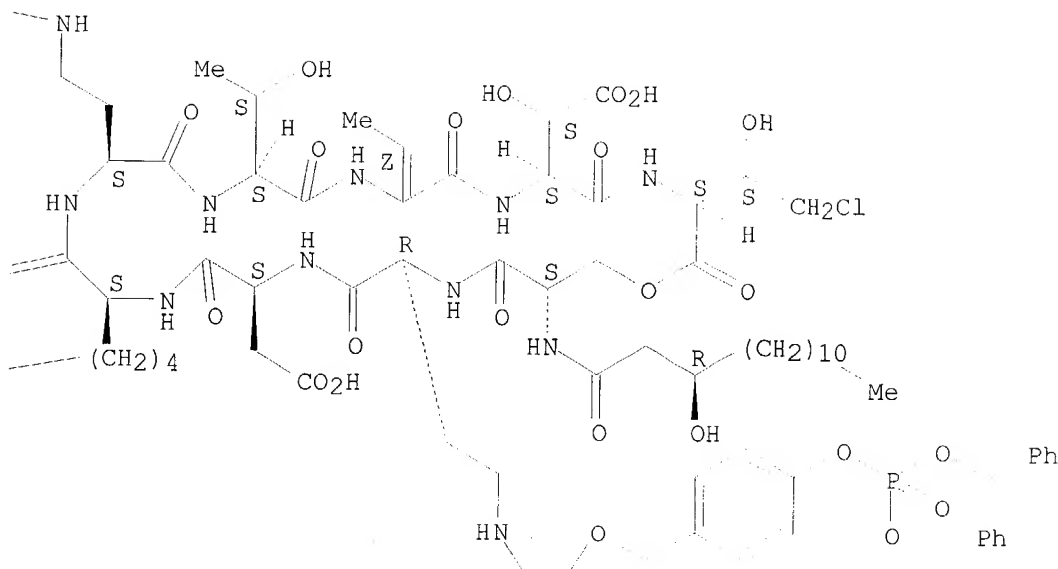
Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



PAGE 2-B

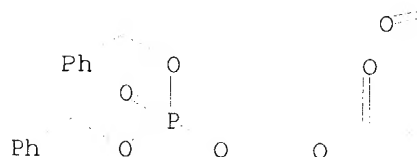
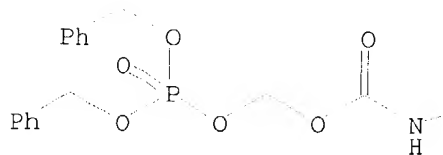


RN 342808-54-2 HCAPLUS

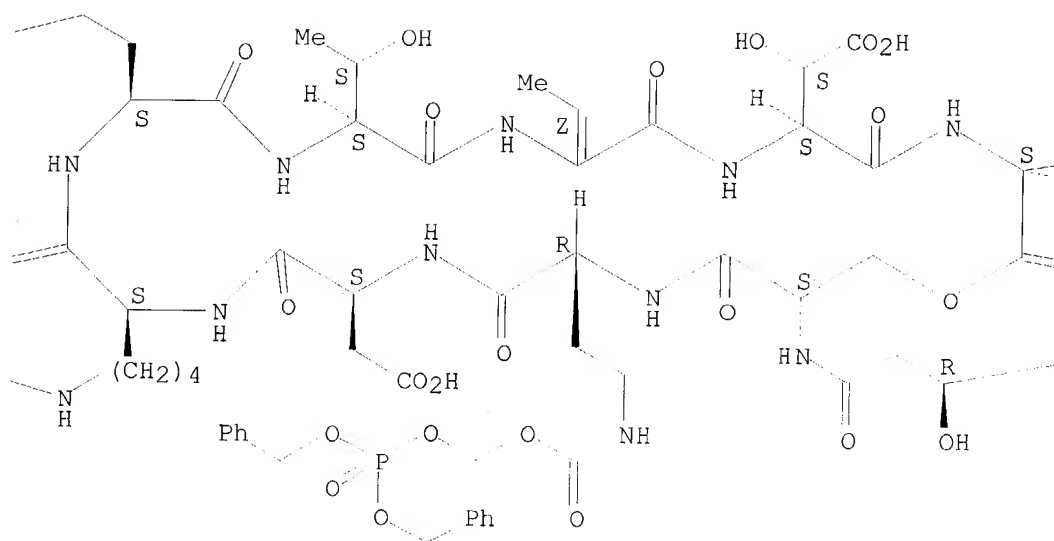
CN Pseudomycin B, 2-[[(2R)-2-amino-4-[[[[[bis(phenylmethoxy)phosphinyl]oxy]methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[[[bis(phenylmethoxy)phosphinyl]oxy]methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[[[bis(phenylmethoxy)phosphinyl]oxy]methoxy]carbonyl]amino]butanoic acid)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

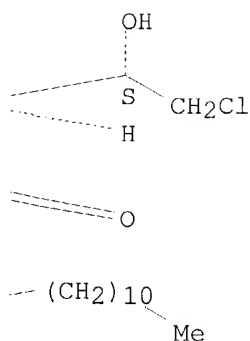
PAGE 1-A



PAGE 1-B



PAGE 1-C



IT 342808-53-1P 342808-55-3P

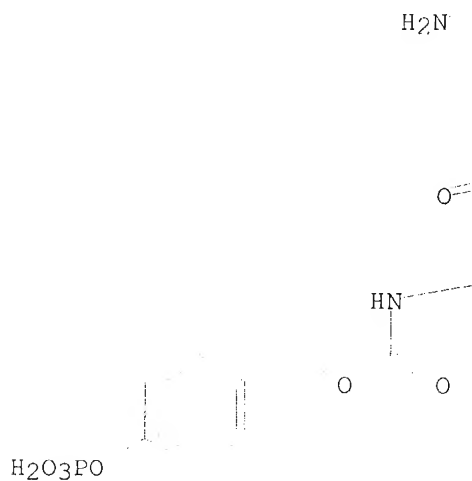
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pseudomycin phosphate **prodrugs**)

RN 342808-53-1 HCAPLUS

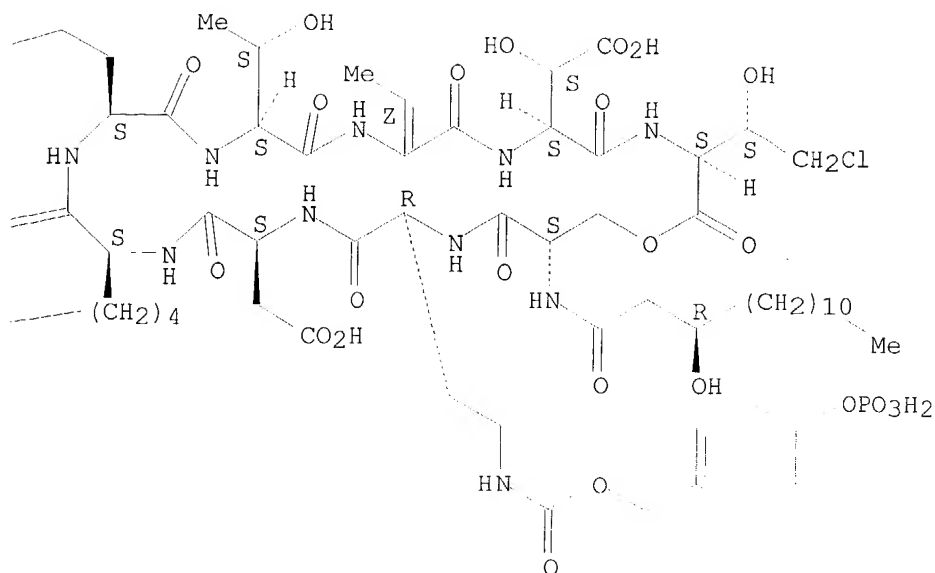
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Absolute stereochemistry.
 Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

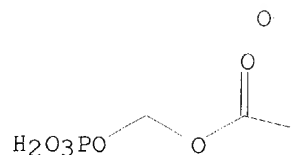
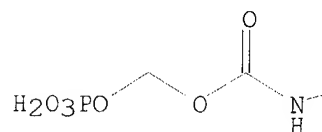


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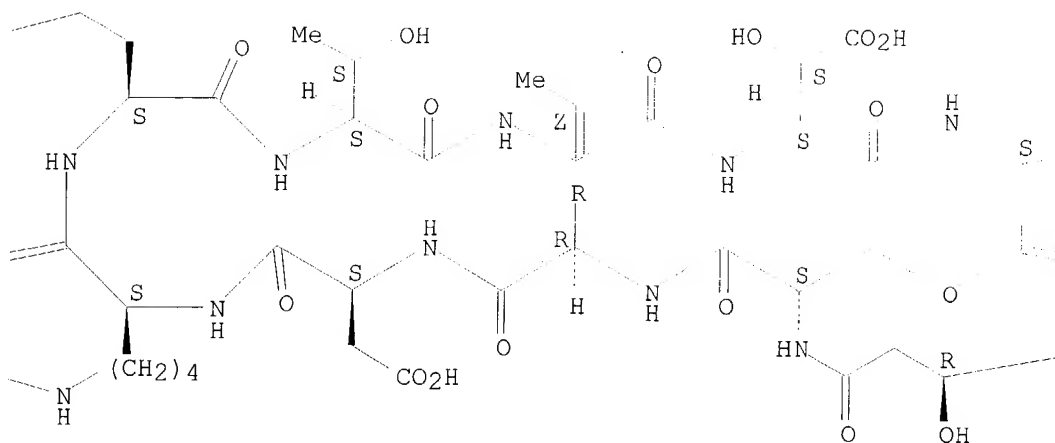
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(phosphonooxy)methoxy]carbonyl]amino]butanoic acid]-4-[N6-[[[(phosphonooxy)methoxy]carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(phosphonooxy)methoxy]carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

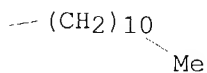
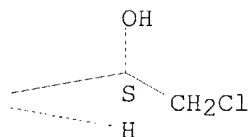
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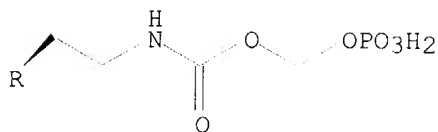
PAGE 1-B



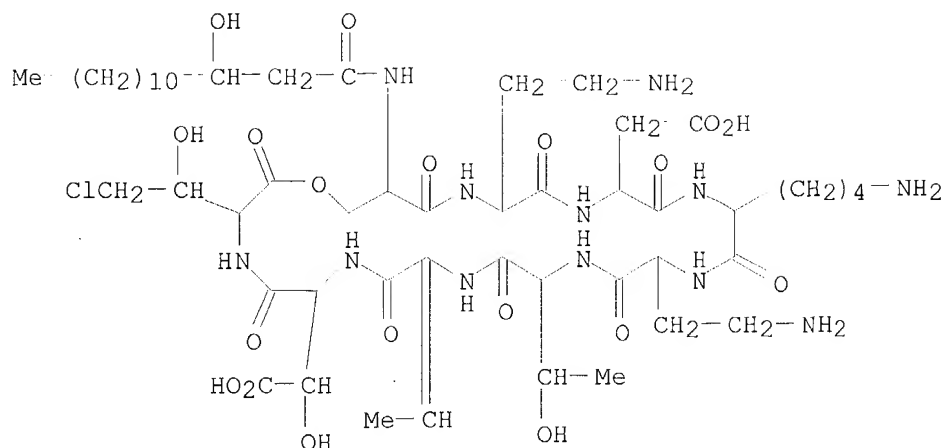
PAGE 1-C



PAGE 2-A



IT 139203-14-8, Pseudomycin b
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of pseudomycin phosphate **prodrugs**)
 RN 139203-14-8 HCAPLUS
 CN Pseudomycin B (9CI) (CA INDEX NAME)



L59 ANSWER 8 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:246317 HCAPLUS

DOCUMENT NUMBER: 135:46406

TITLE: Syntheses and antifungal activities of novel 3-amido bearing pseudomycin analogues

AUTHOR(S): Zhang, Y.-Z.; Sun, X.; Zeckner, D.; Sachs, R. K.; Current, W. L.; Gidda, J.; Rodriguez, M.; Chen, S.-H.

CORPORATE SOURCE: Lilly Research Laboratories, A Division of Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(7), 903-907

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB As a result of our core SAR effort, we discovered a large number of 3-amido (CONHR) pseudomycin B (PSB) analogs [e.g., R = cyclopropyl (LY448212) and R = (CH₂)₂NMe₂ (LY448731)] that retain good in vitro and in vivo (IP) activities against *Candida* and *Cryptococcus* without inherent tail vein irritation. Several dimethylamino termini bearing 3-amides (e.g., LY448731) also exhibited improved potency against *Aspergillus* in vitro. When evaluated in a two-week rat toxicol. study, it was found that all animals receiving LY448212 (up to 75 mg/kg) were found to be normal. On the basis of these observations, we are convinced that it is possible to broaden the antifungal spectrum and improve the safety profile of pseudomycin analogs at the same time.

IT 139203-14-8DP, Pseudomycin b, analogs 319497-07-9P

319497-10-4P, LY 448212 319497-19-3P

344620-63-9P 344620-64-0P 344620-65-1P

344620-66-2P 344620-67-3P 344620-68-4P

344620-69-5P 344620-70-8P 344620-71-9P

344620-72-0P 344620-73-1P 344620-74-2P

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344620-78-6P 344620-79-7P 344620-80-0P

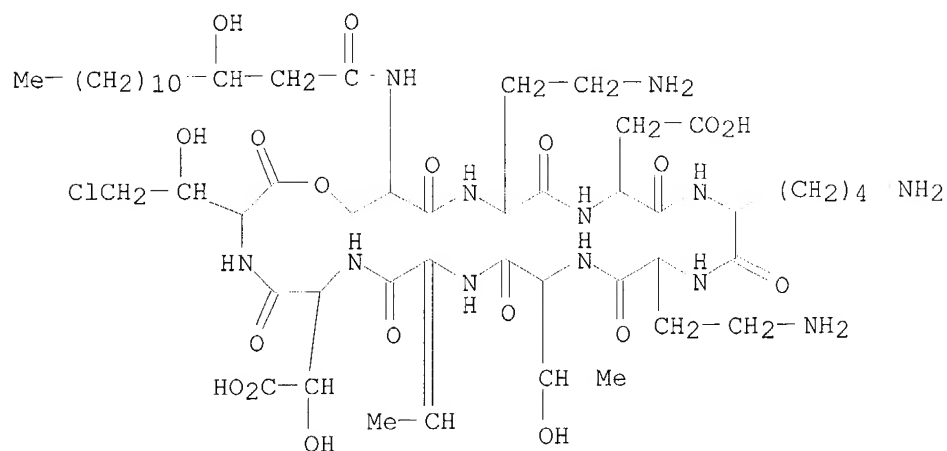
344620-81-1P 344620-82-2P 344620-83-3P

344620-84-4P 344620-85-5P 344620-86-6P

344776-66-5P, LY 448731

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

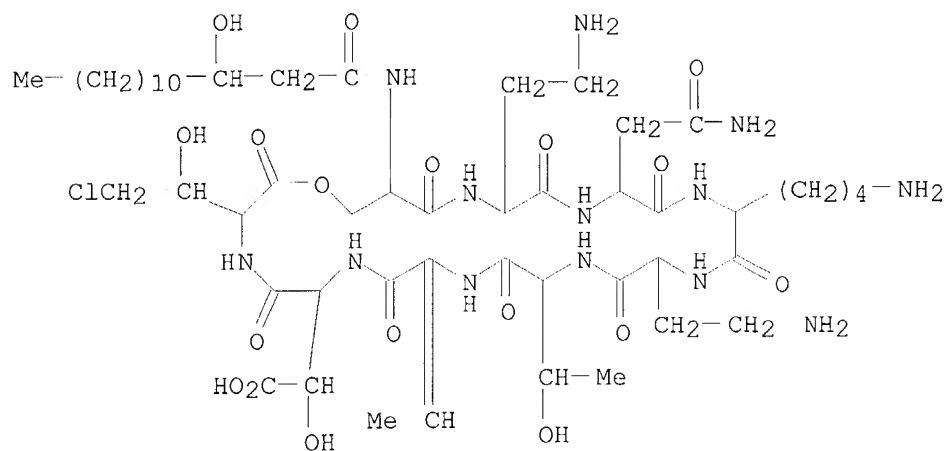
RN 139203-14-8 HCAPLUS
CN Pseudomycin B (9CI) (CA INDEX NAME)



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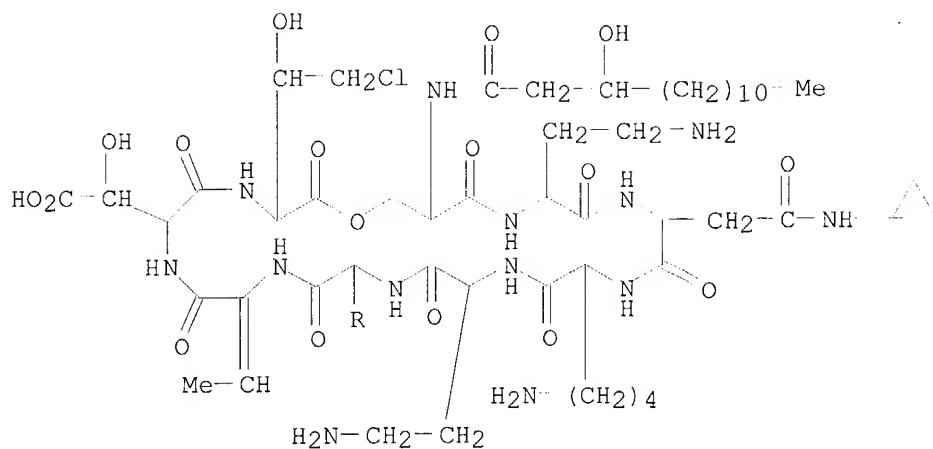
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CN      Pseudomycin B, 3-L-asparagine- (9CI)      (CA INDEX NAME)

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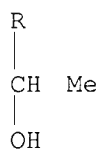


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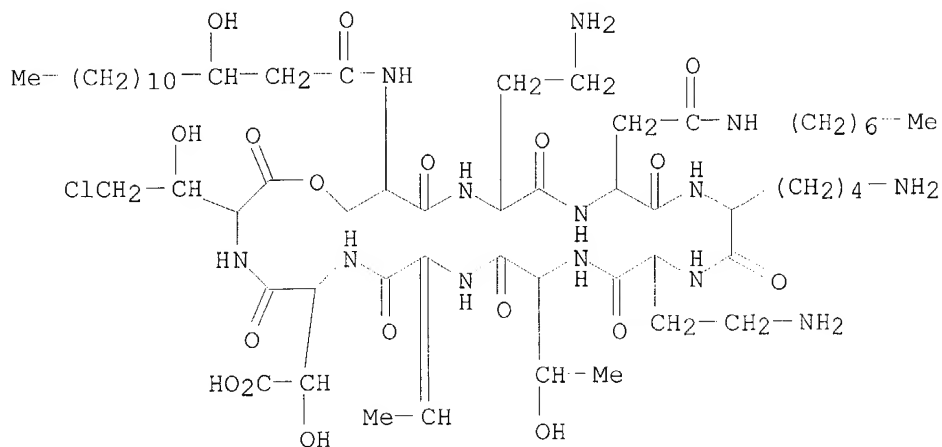
PAGE 1-A



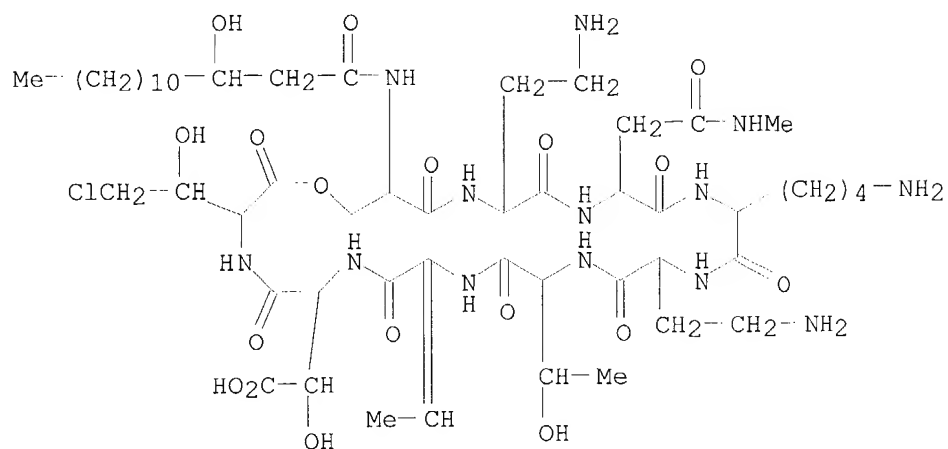
PAGE 2-A



RN 319497-19-3 HCAPLUS
 CN Pseudomycin B, 3-(N-heptyl-L-asparagine)-(9CI) (CA INDEX NAME)

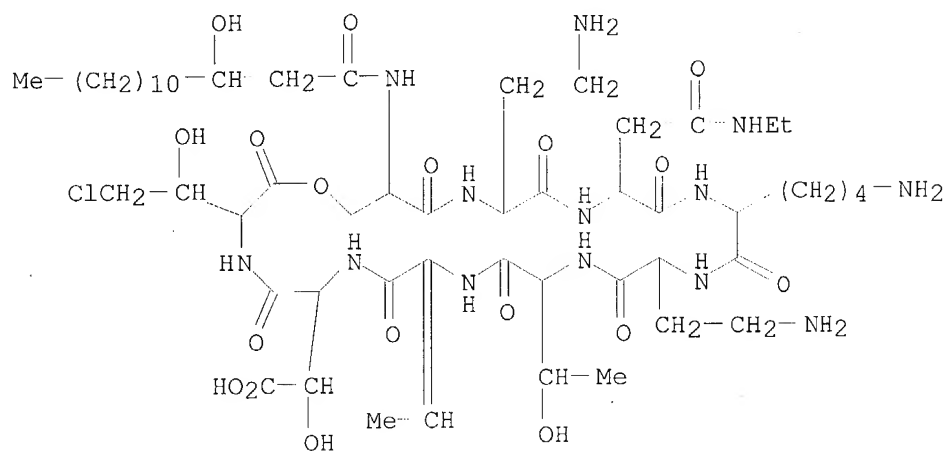


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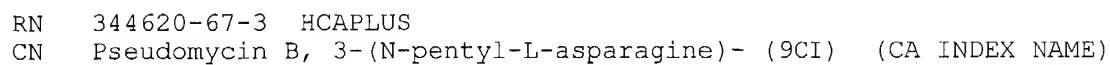
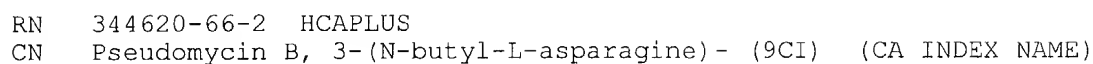
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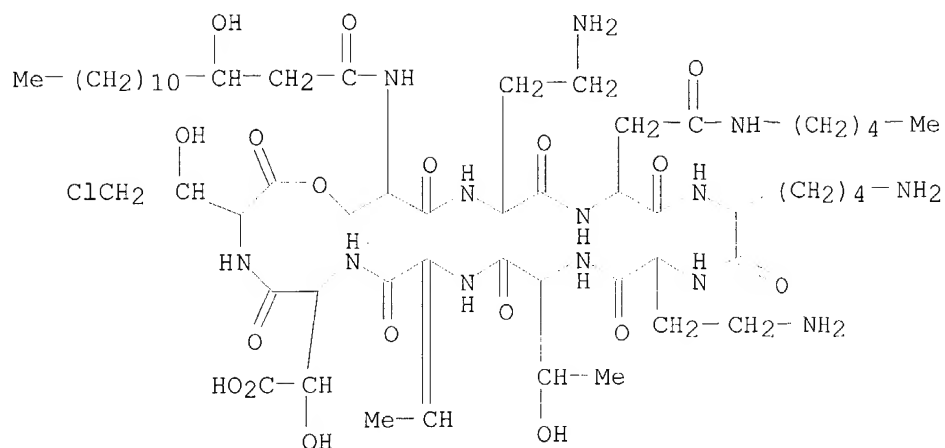
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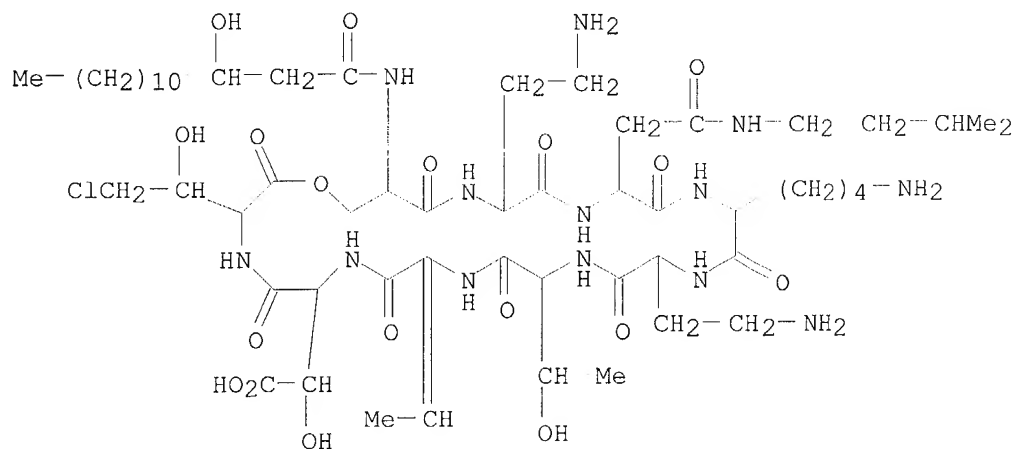
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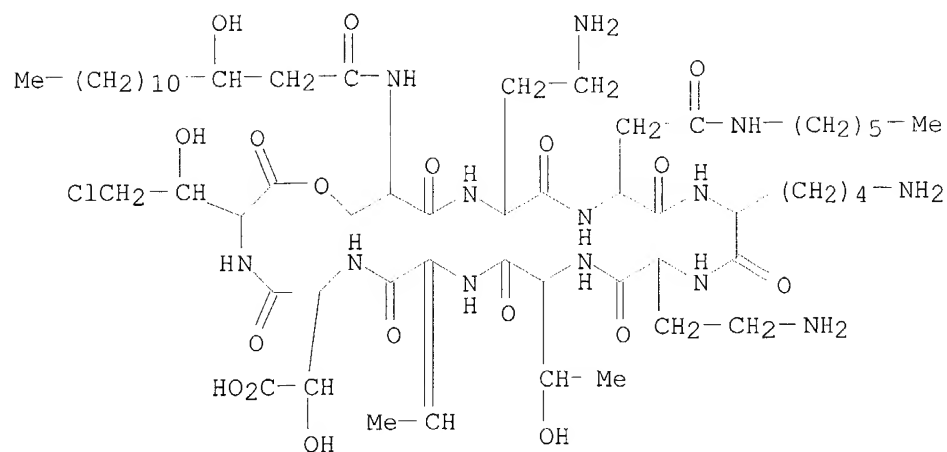
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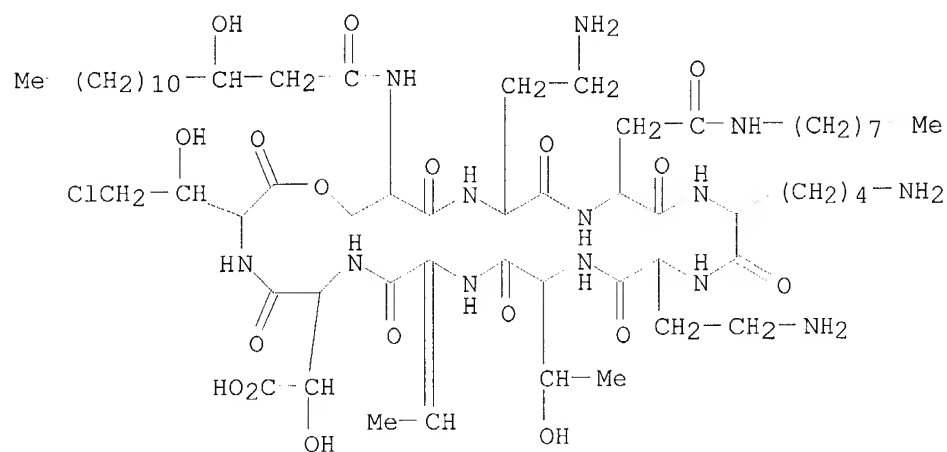
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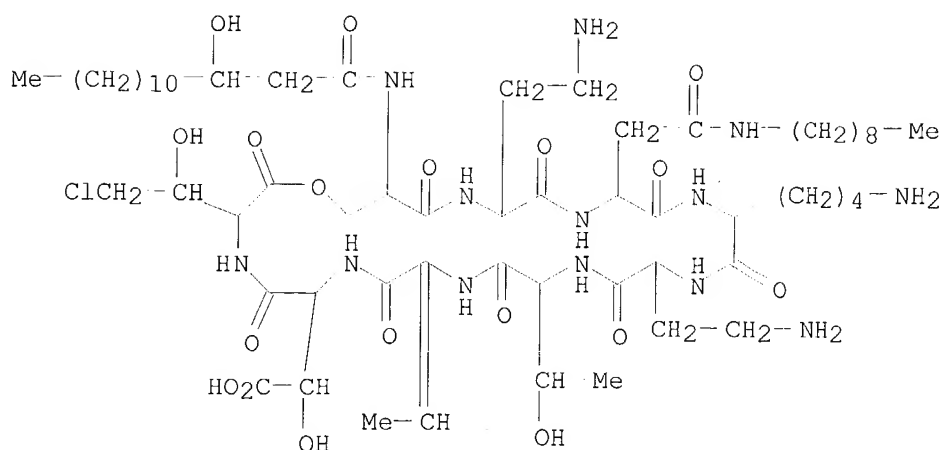
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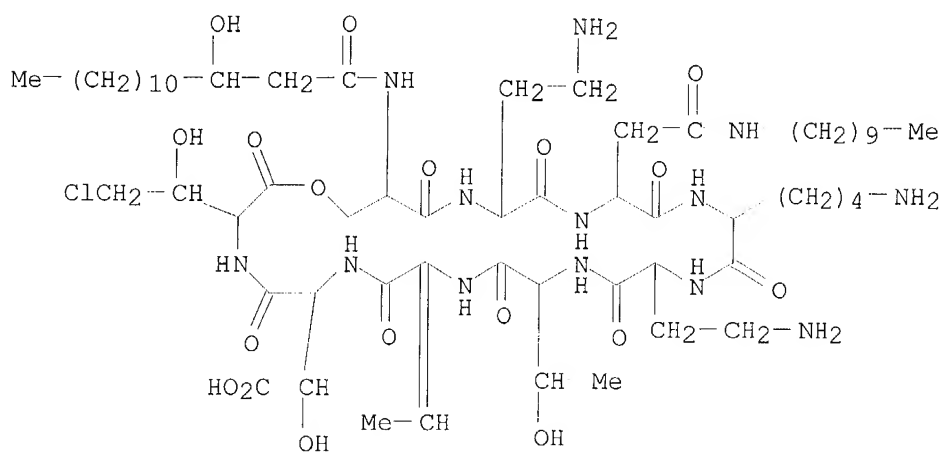
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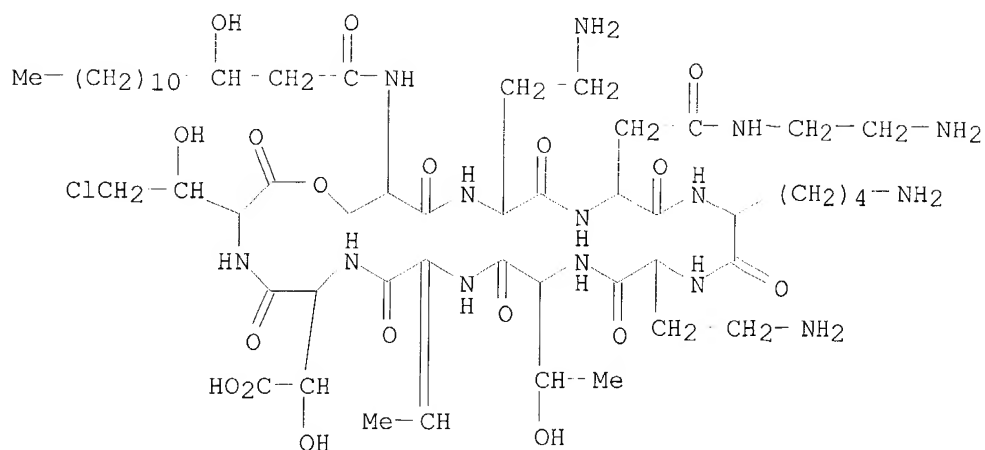
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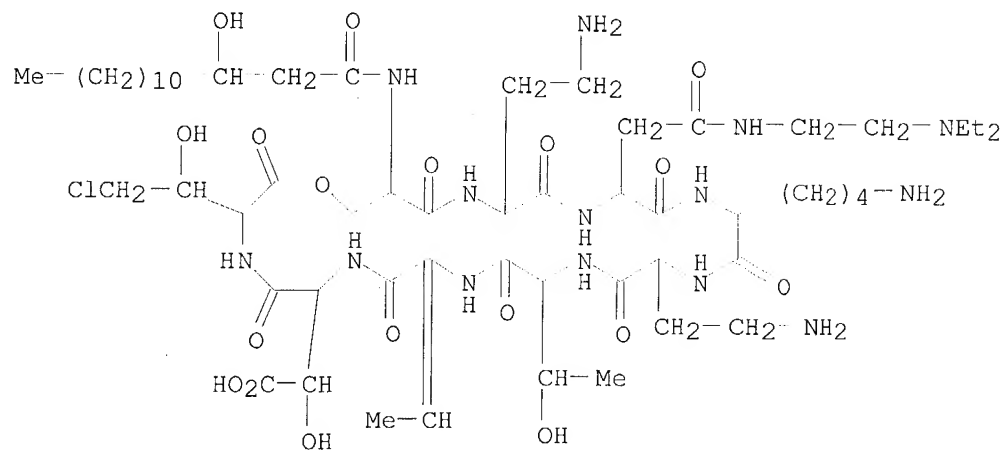


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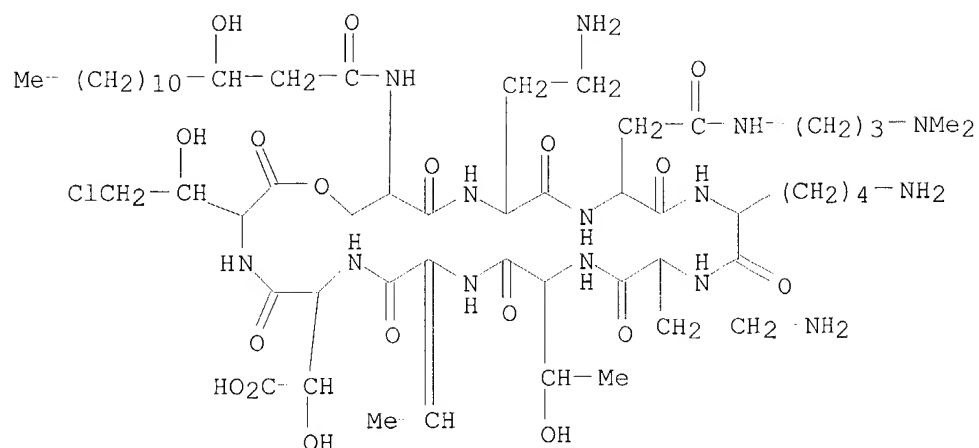


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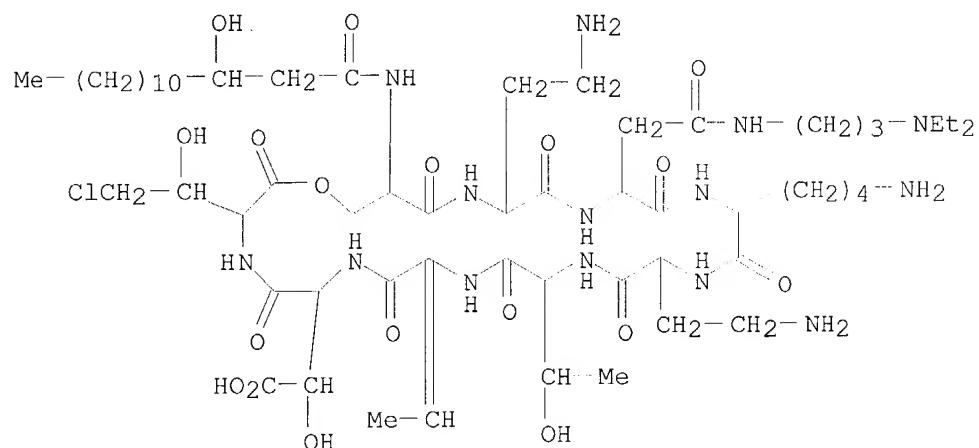
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INDEX NAME)

RN 344620-75-3 HCAPLUS

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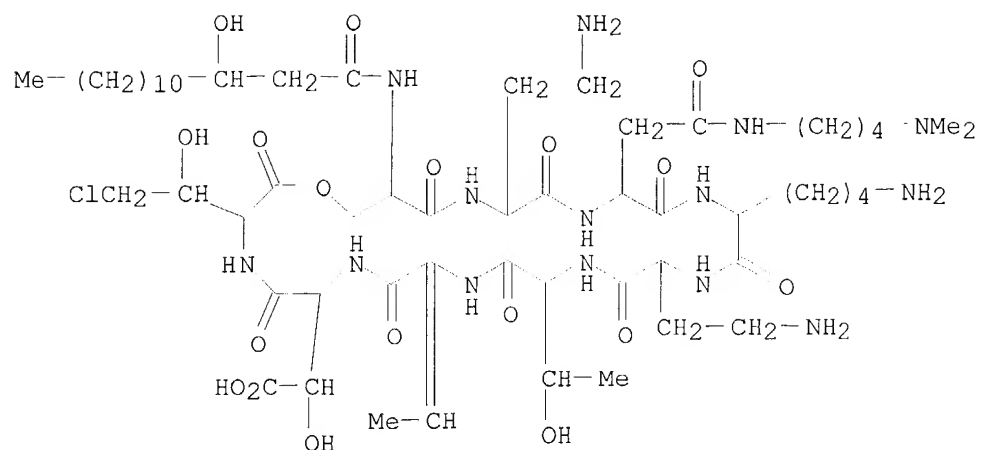


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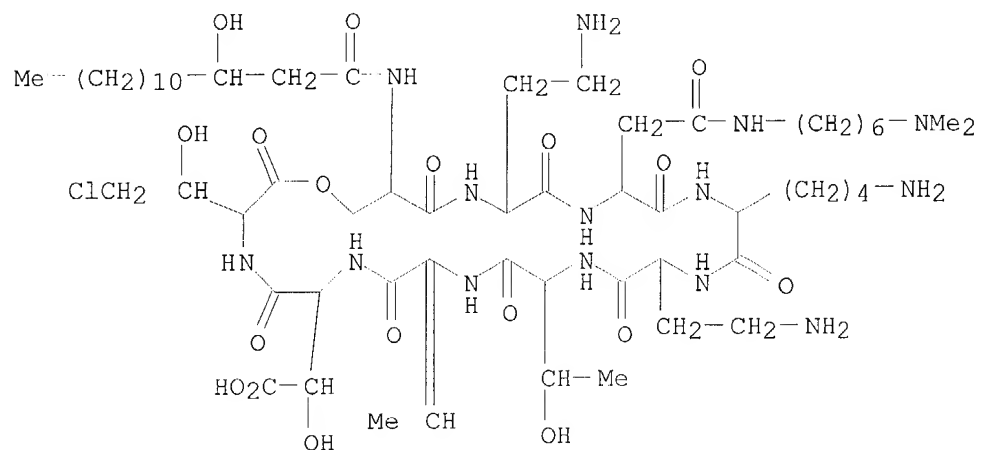
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INDEX NAME)

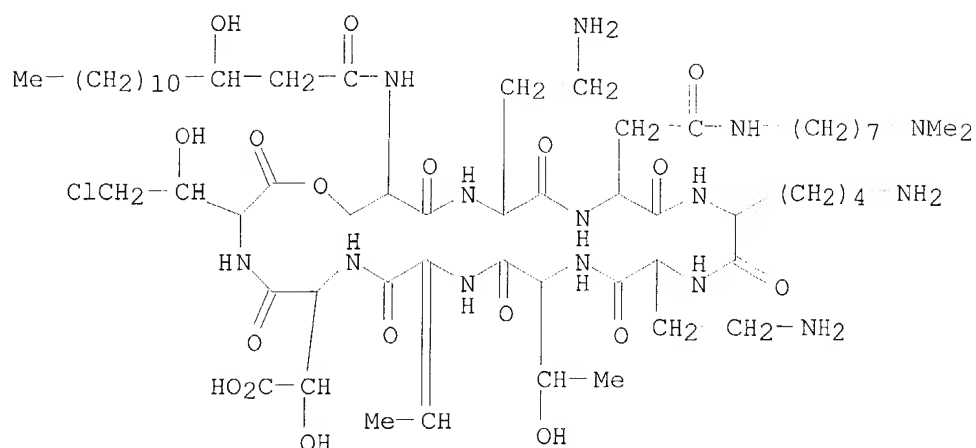


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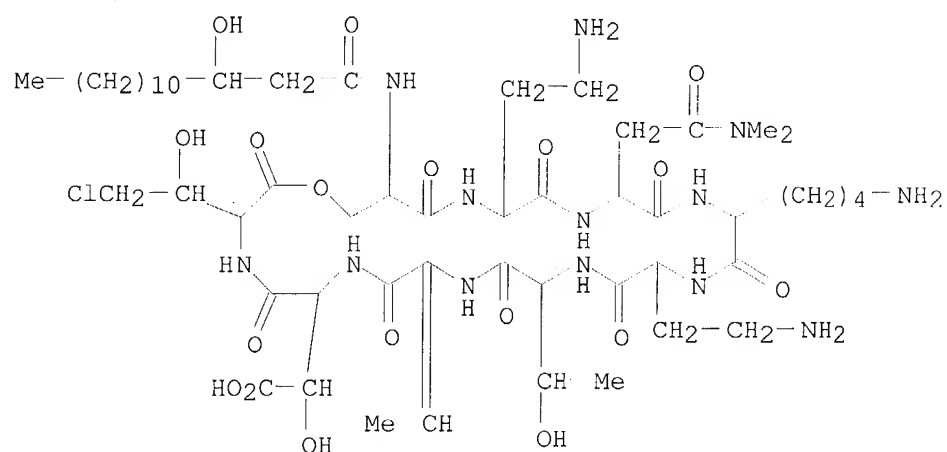
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INDEX NAME)



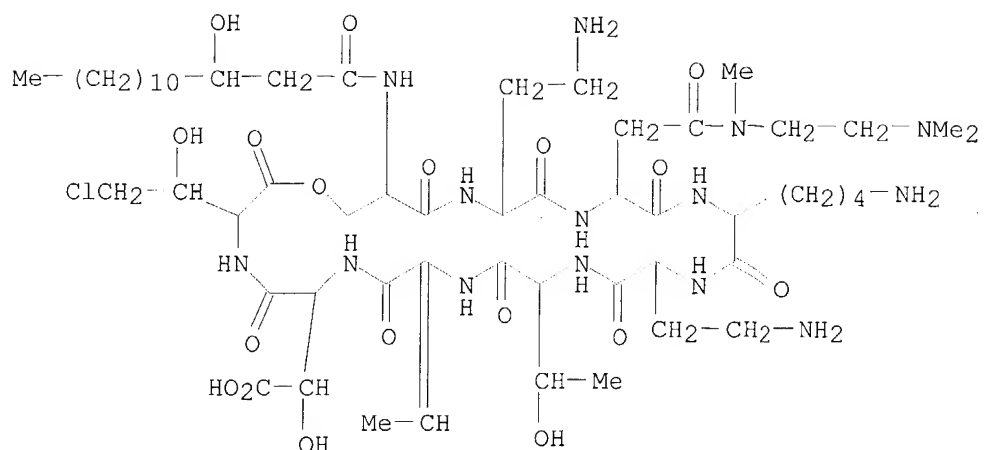
RN 344620-80-0 HCAPLUS

CN	Pseudomycin B, 3-(N,N-dimethyl-L-asparagine)- (9CI)	(CA INDEX NAME)
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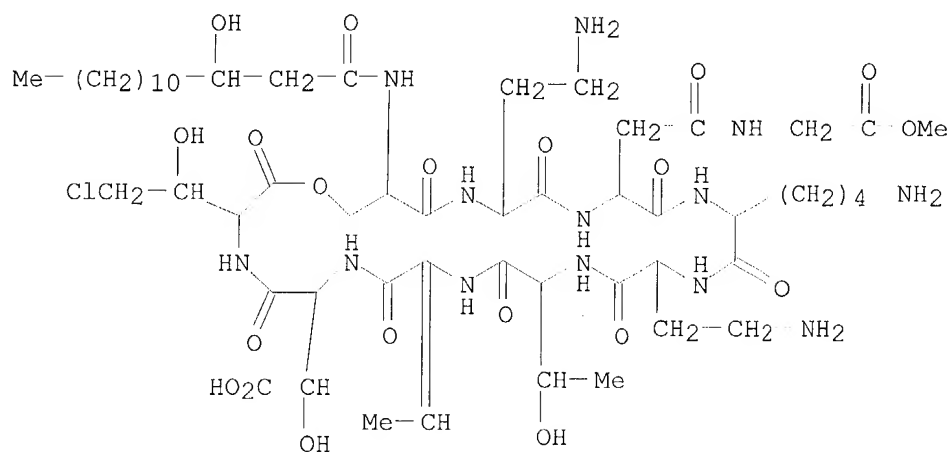
RN 344620-81-1 HCAPLUS

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	(CA INDEX NAME)



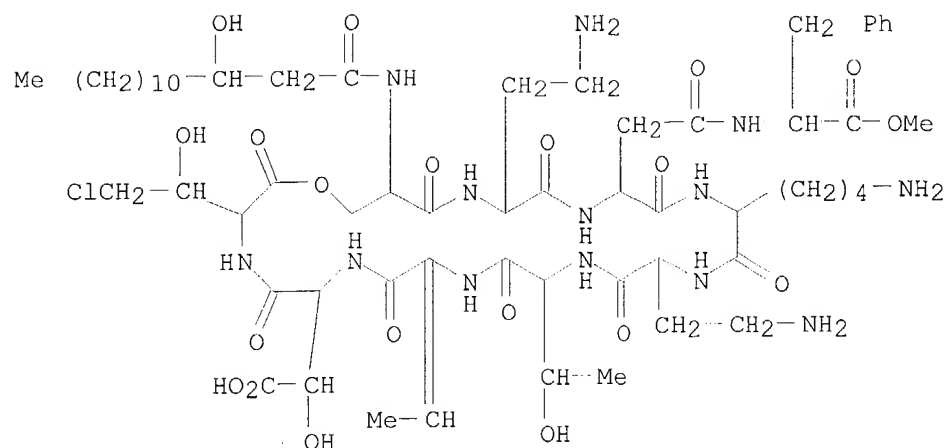
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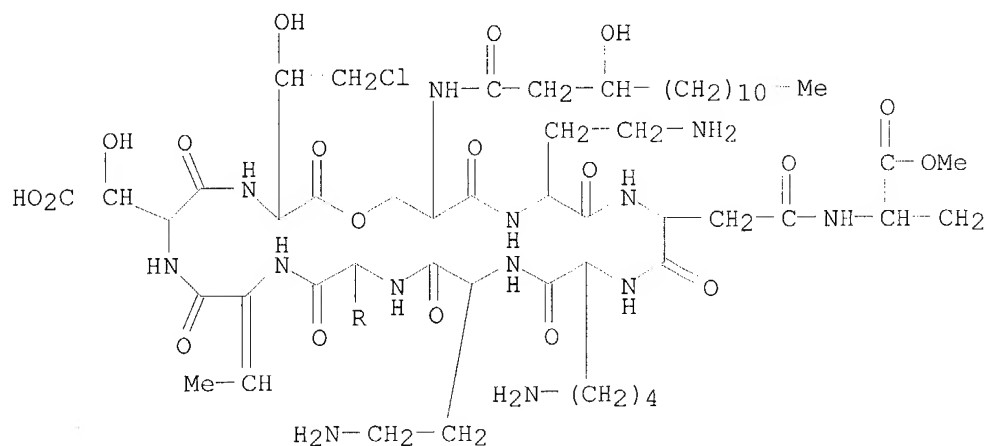
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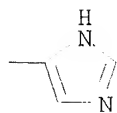


RN 344620-84-4 HCAPLUS
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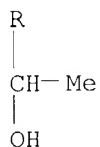
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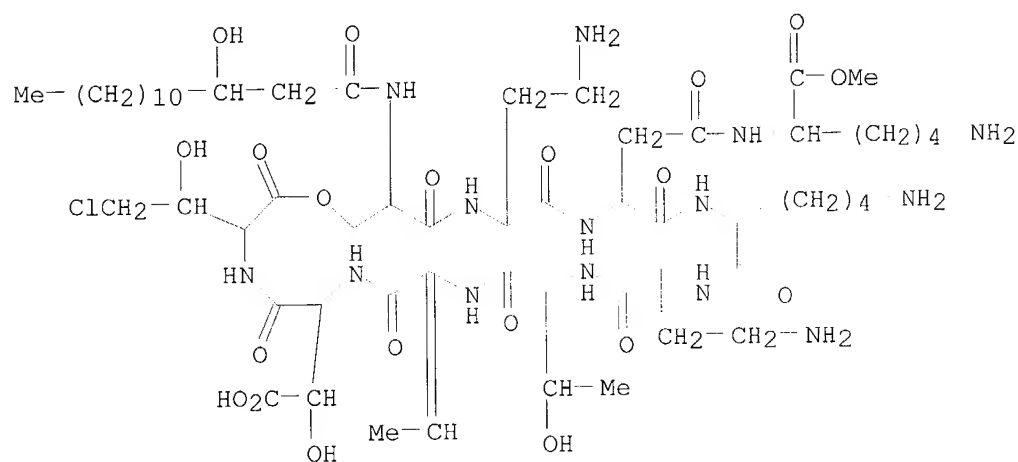
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PAGE 2-A

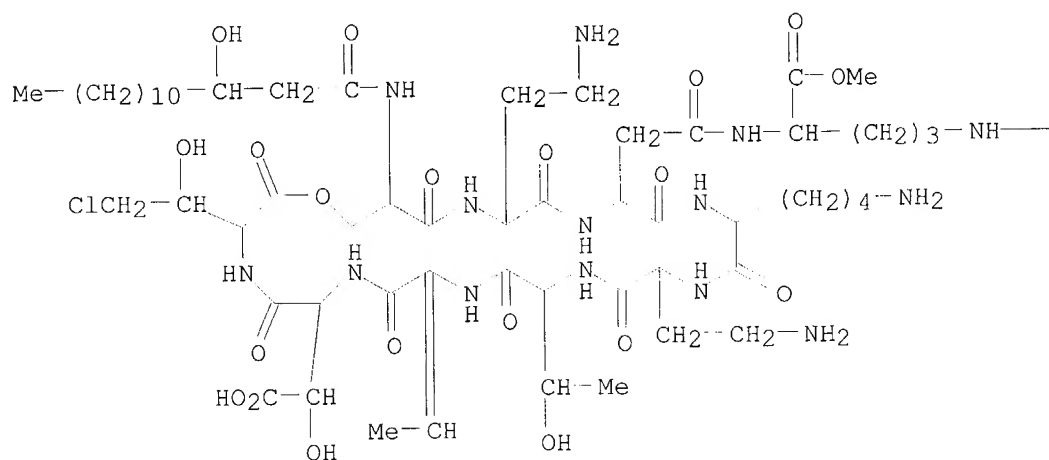


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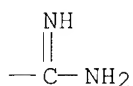


RN 344620-86-6 HCAPLUS
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PAGE 1-A

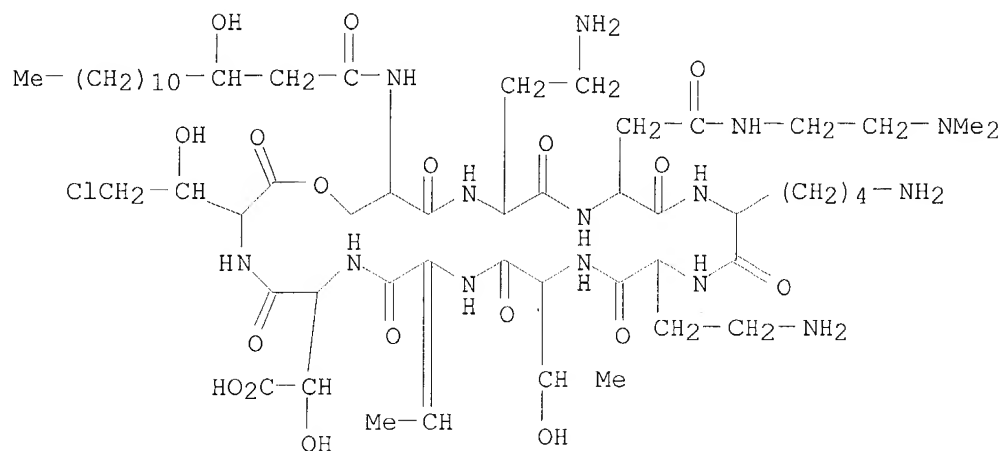


PAGE 1-B



RN 344776-66-5 HCAPLUS

CN Pseudomycin B, 3-[N-[2-(dimethylamino)ethyl]-L-asparagine]- (9CI) (CA INDEX NAME)



IT 277758-37-9

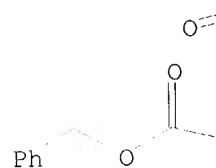
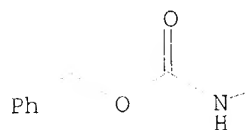
RL: RCT (Reactant); RACT (Reactant or reagent)
 (syntheses and antifungal activities of novel 3-amido bearing
 pseudomycin analogs)

RN 277758-37-9 HCAPLUS

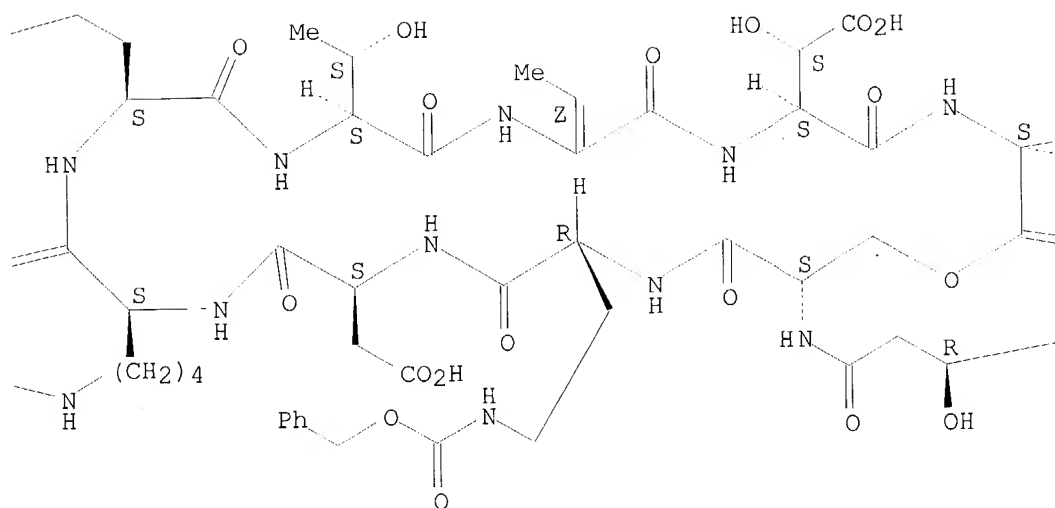
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Absolute stereochemistry.
 Double bond geometry as shown.

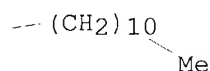
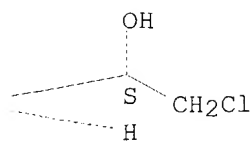
PAGE 1-A



PAGE 1-B



PAGE 1-C



IT 319497-08-0P 319497-09-1P 319497-14-8P
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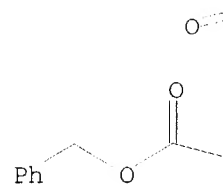
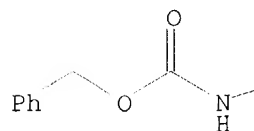
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (syntheses and antifungal activities of novel 3-amido bearing
 pseudomycin analogs)

RN 319497-08-0 HCAPLUS

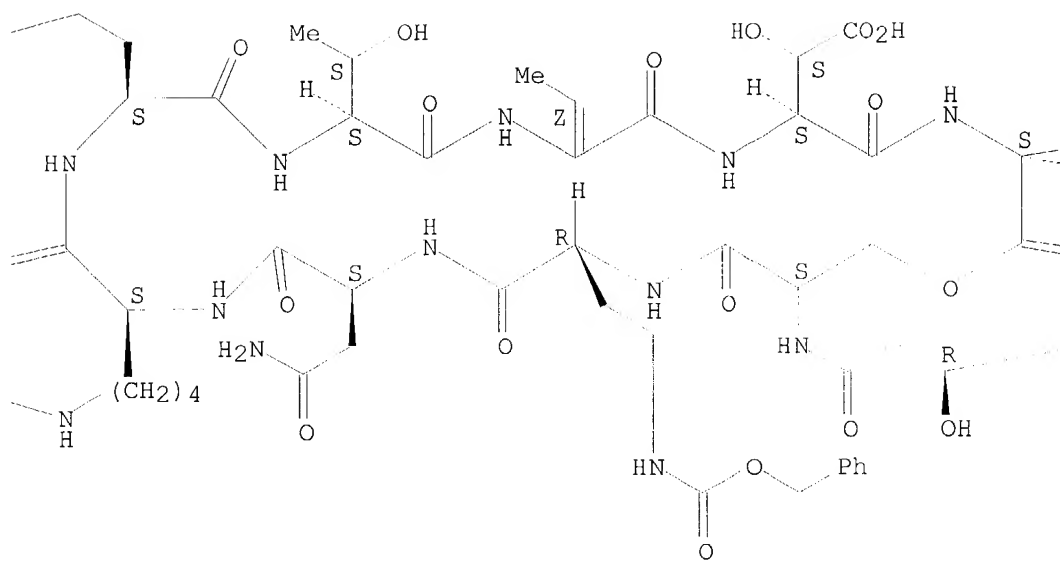
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic
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 NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

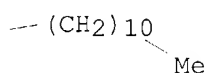
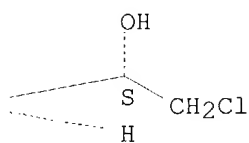
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PAGE 1-B



PAGE 1-C

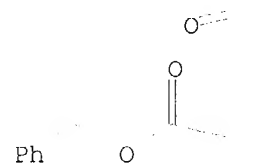
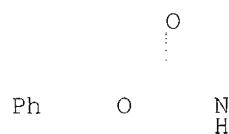


RN 319497-09-1 HCAPLUS

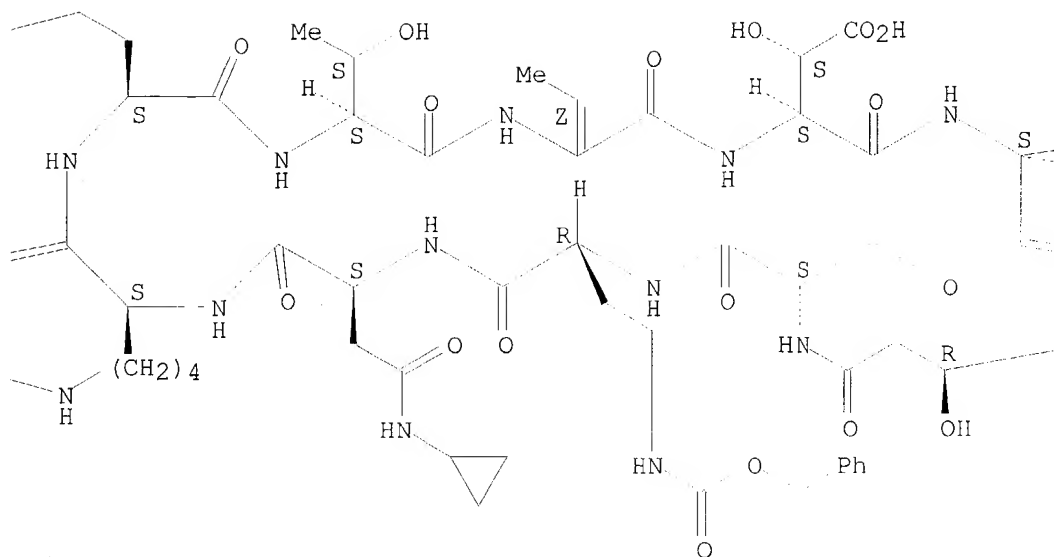
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Absolute stereochemistry.
Double bond geometry as shown.

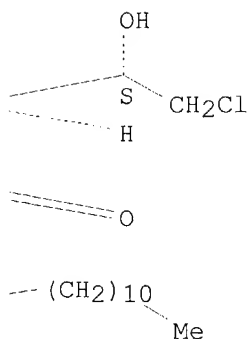
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PAGE 1-B

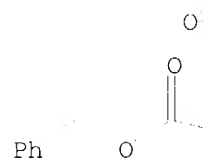


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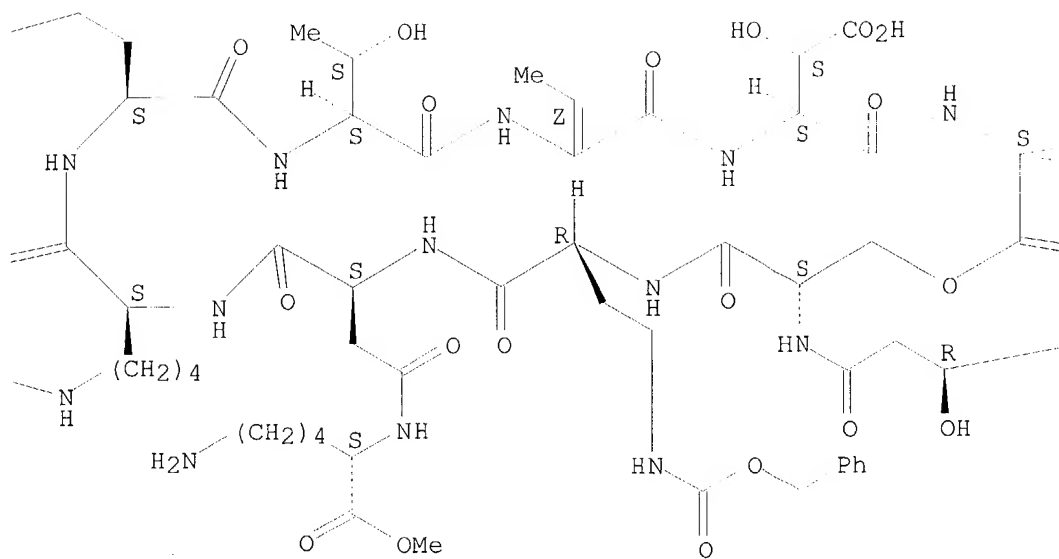


RN 319497-14-8 HCAPLUS
 CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-[(1S)-5-amino-1-(methoxycarbonyl)pentyl]-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

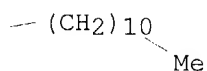
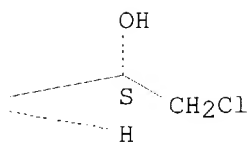
Absolute stereochemistry.
 Double bond geometry as shown.

$$\text{Ph} \quad \text{O} \quad \text{N} \quad \text{H}$$


PAGE 1-B



PAGE 1-C

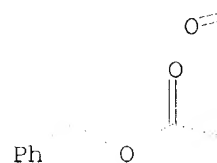
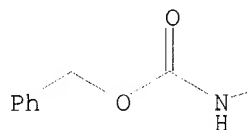


RN 344620-87-7 HCAPLUS

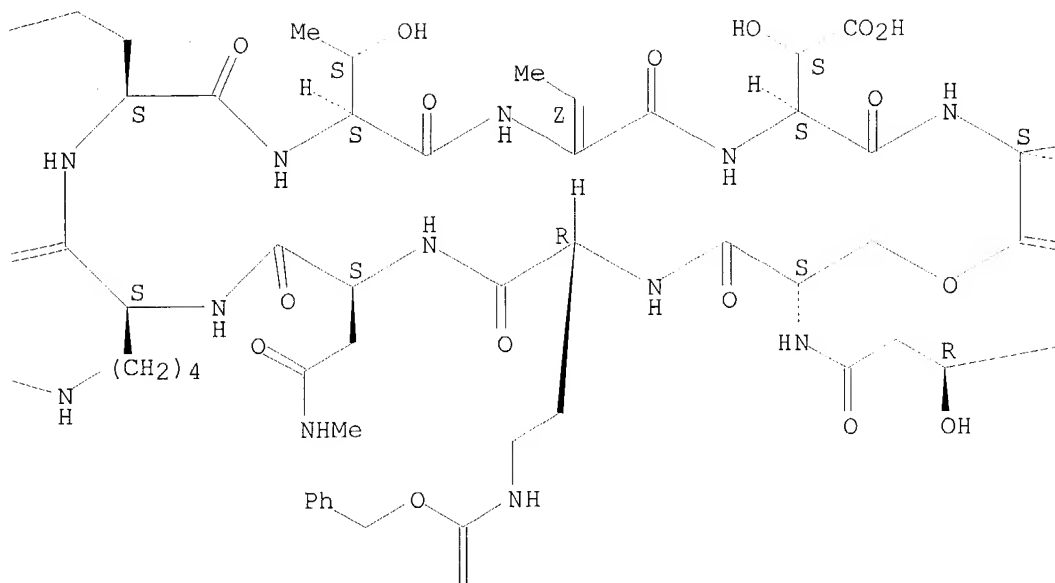
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3-(N-methyl-L-asparagine)-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

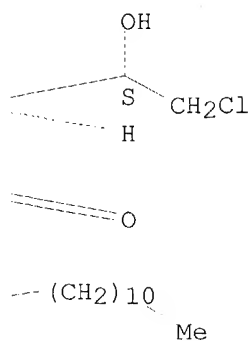
PAGE 1-A



PAGE 1-B



PAGE 1-C



PAGE 2-B

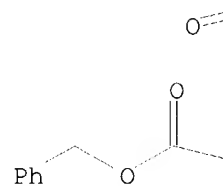
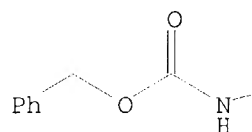


RN 344620-88-8 HCAPLUS
 CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3- (N-ethyl-L-asparagine)-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-

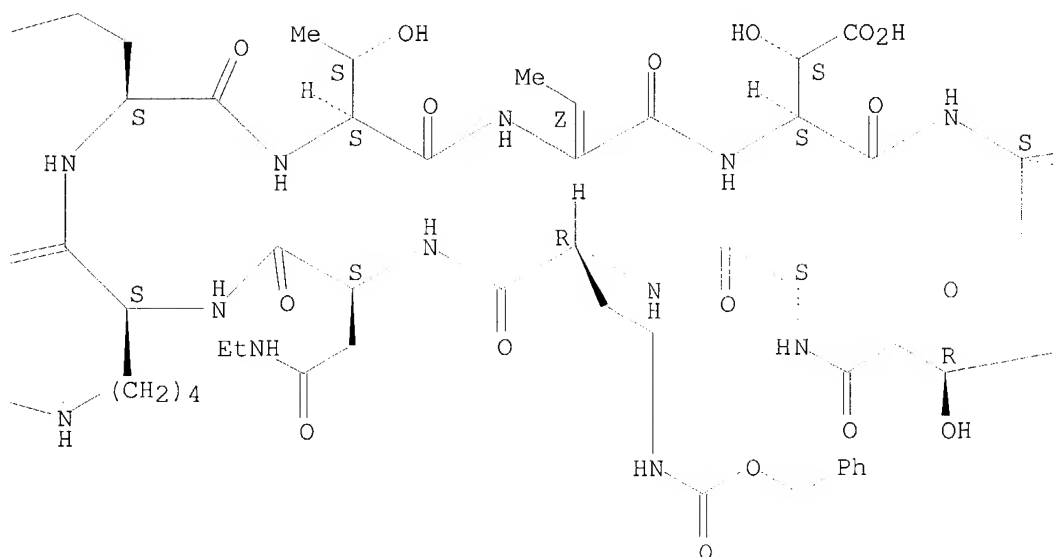
[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

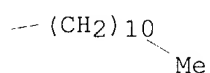
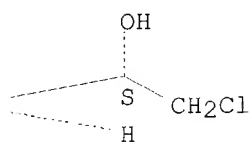
PAGE 1-A



PAGE 1-B



PAGE 1-C

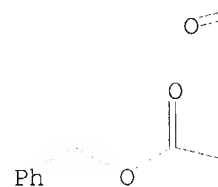
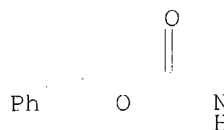


RN 344620-89-9 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3-(N-propyl-L-asparagine)-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]- (9CI)
(CA INDEX NAME)

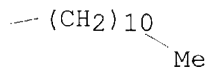
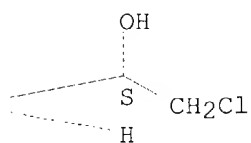
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



The chemical structure shows a cyclic peptide backbone with four sulfur atoms (S) and four amide bonds (NH-C=O). The side chains are: a long alkyl chain (CH₂)₄ attached to an NH group; a hydroxyl group (OH) attached to a CH group; a methyl group (Me) attached to a CH group; and a carboxylic acid group (CO₂H) attached to a CH group. The structure is labeled with various functional groups and stereochemistry, including a chiral center marked with a wedge bond (R) and a chiral center marked with a dash bond (S).

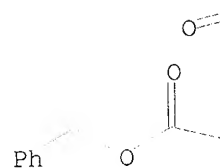
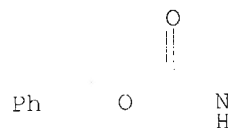
PAGE 1-C



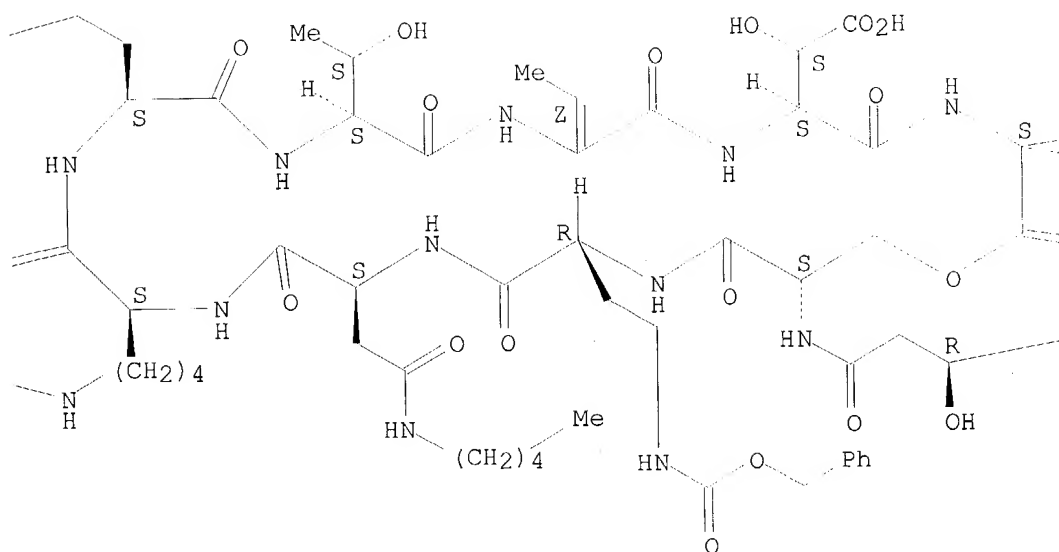
RN	344620-90-2	HCAPLUS
CN	Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3-(N-pentyl-L-asparagine)-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]- (9CI)	
	(CA INDEX NAME)	

Absolute stereochemistry.
Double bond geometry as shown.

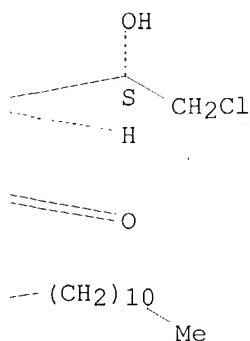
PAGE 1-A



PAGE 1-B



PAGE 1-C

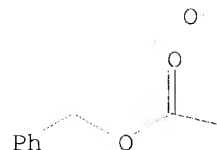
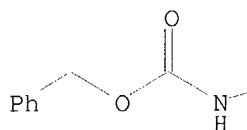


RN 344620-91-3 HCAPLUS

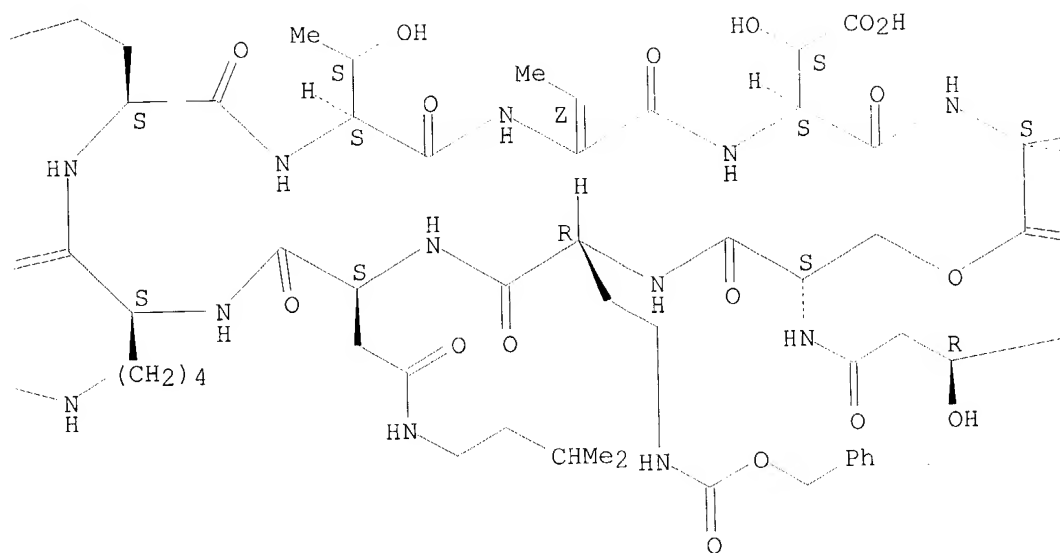
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3-[N-(3-methylbutyl)-L-asparagine]-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

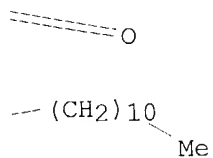
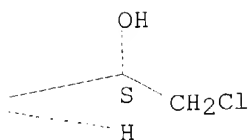
PAGE 1-A



PAGE 1-B

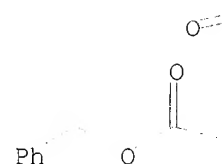


PAGE 1-C

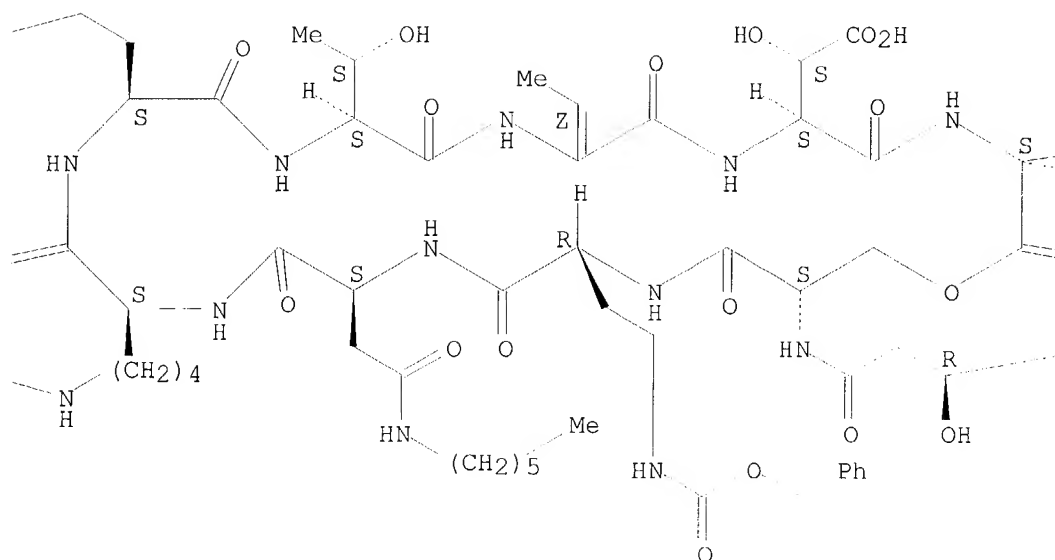


RN 344620-92-4 HCAPLUS
 CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3- (N-hexyl-L-asparagine)-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]- (9CI) (CA INDEX NAME)

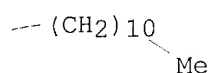
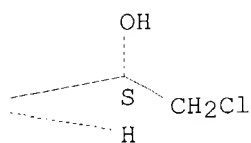
Absolute stereochemistry.
 Double bond geometry as shown.

NC(=O)Oc1ccccc1

PAGE 1-B



PAGE 1-C

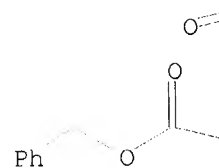
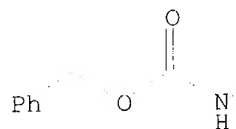


RN 344620-93-5 HCAPLUS

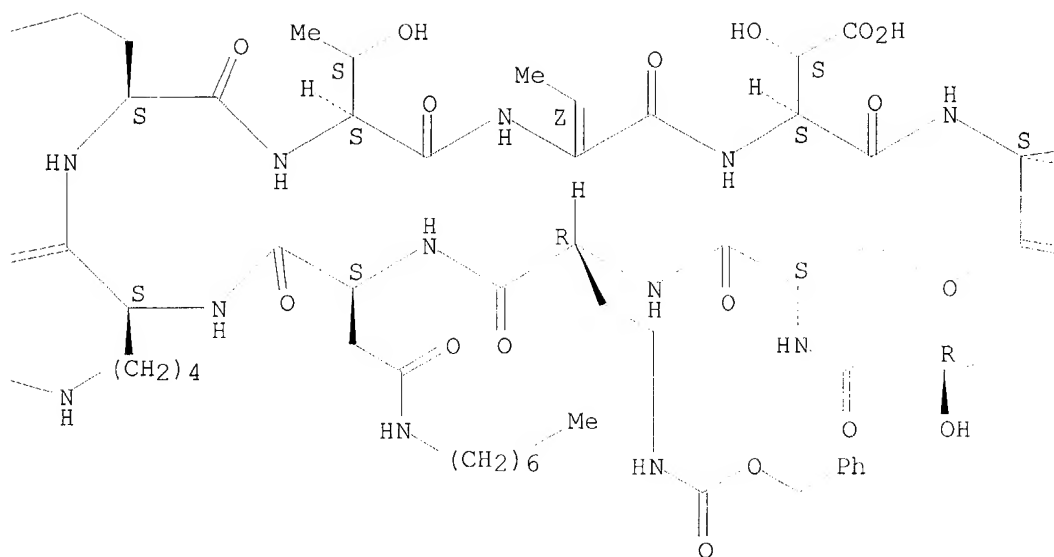
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3-(N-heptyl-L-asparagine)-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

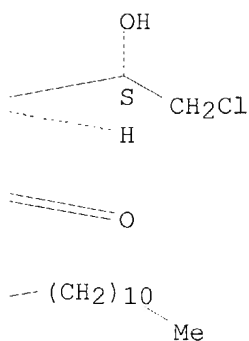
PAGE 1-A



PAGE 1-B



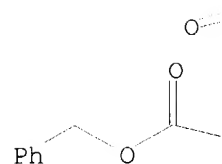
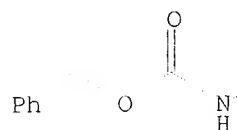
PAGE 1-C



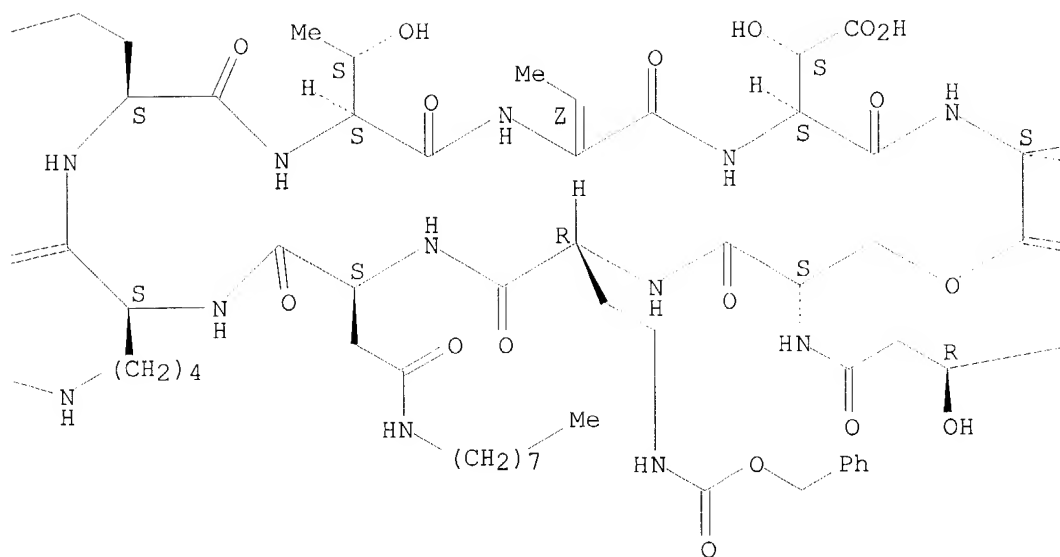
RN 344620-94-6 HCAPLUS
 CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3-(N-octyl-L-asparagine)-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

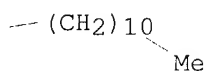
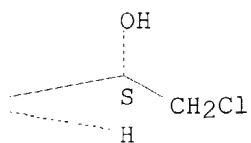
PAGE 1-A



PAGE 1-B



PAGE 1-C

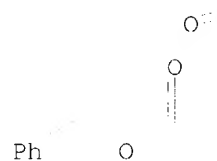
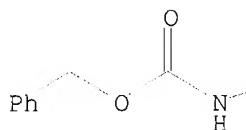


RN 344620-95-7 HCAPLUS

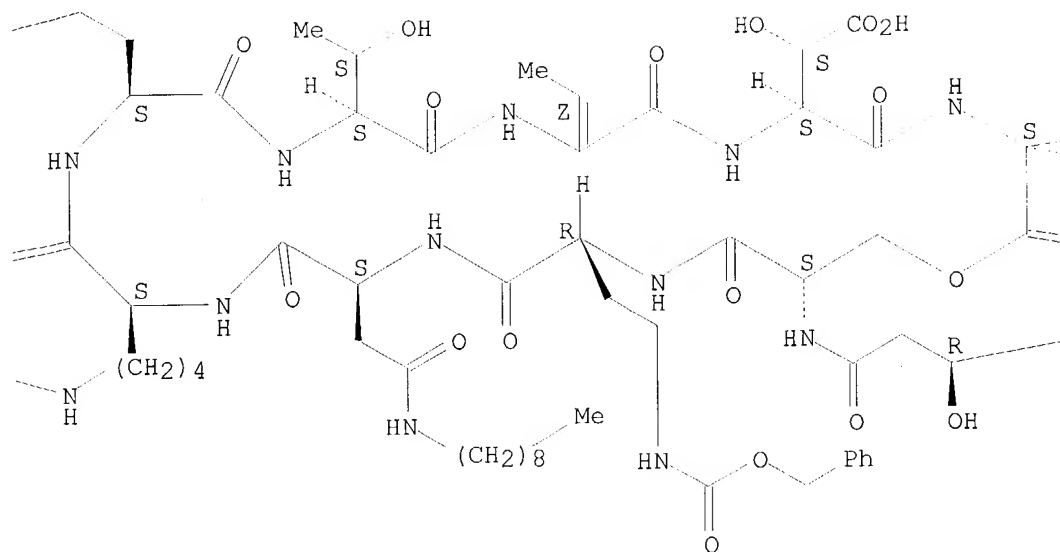
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3-(N-nonyl-L-asparagine)-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

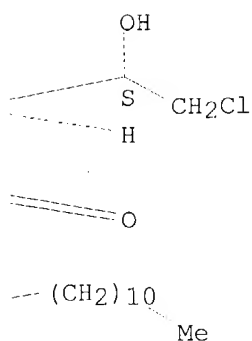
PAGE 1-A



PAGE 1-B

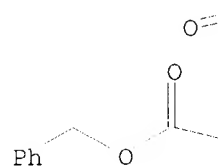


PAGE 1-C

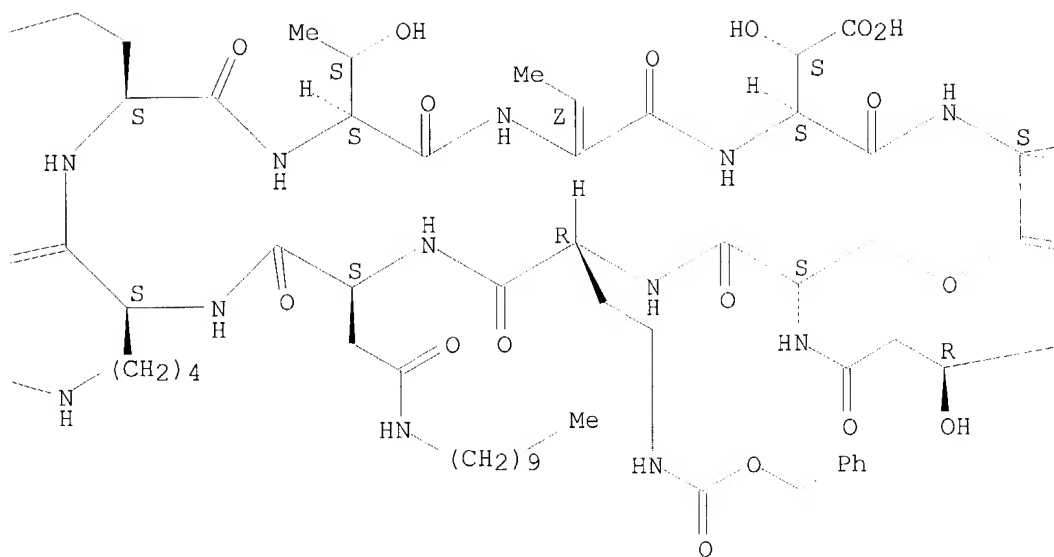


RN 344620-96-8 HCAPLUS
 CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino] butanoic acid]-3-(N-decyl-L-asparagine)-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino] butanoic acid]- (9CI) (CA INDEX NAME)

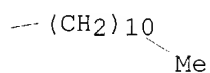
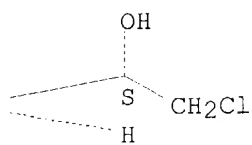
Absolute stereochemistry.
 Double bond geometry as shown.

O=C(N)OCC1=CC=CC=C1

PAGE 1-B



PAGE 1-C

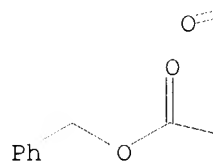
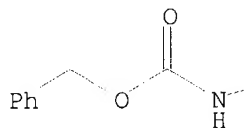


RN 344620-97-9 HCAPLUS

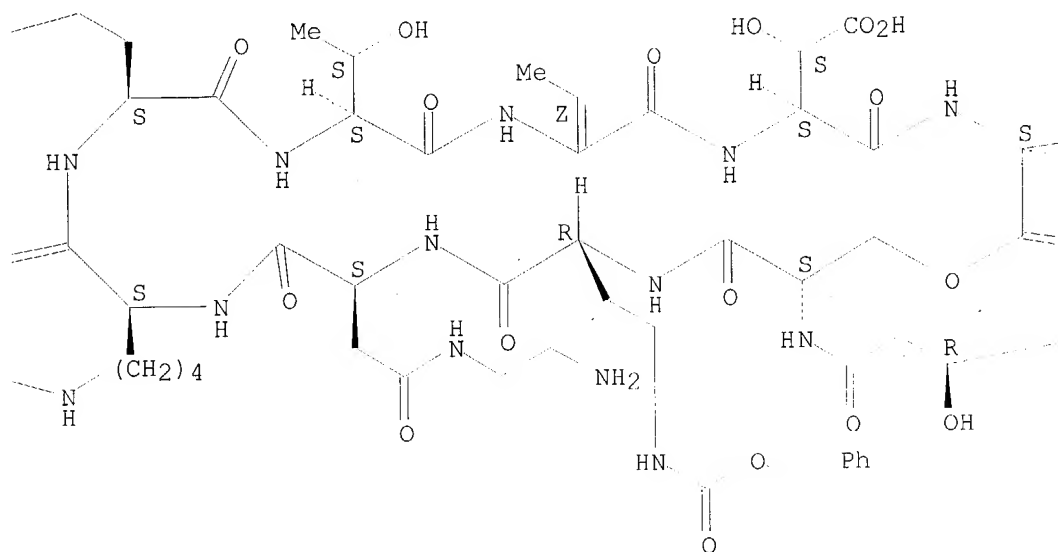
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3-[N-(2-aminoethyl)-L-asparagine]-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

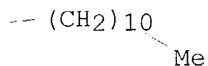
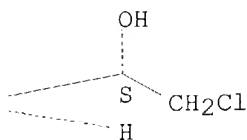
PAGE 1-A



PAGE 1-B



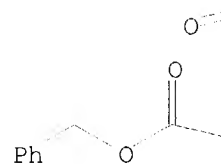
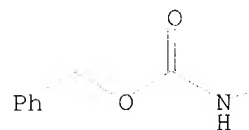
PAGE 1-C



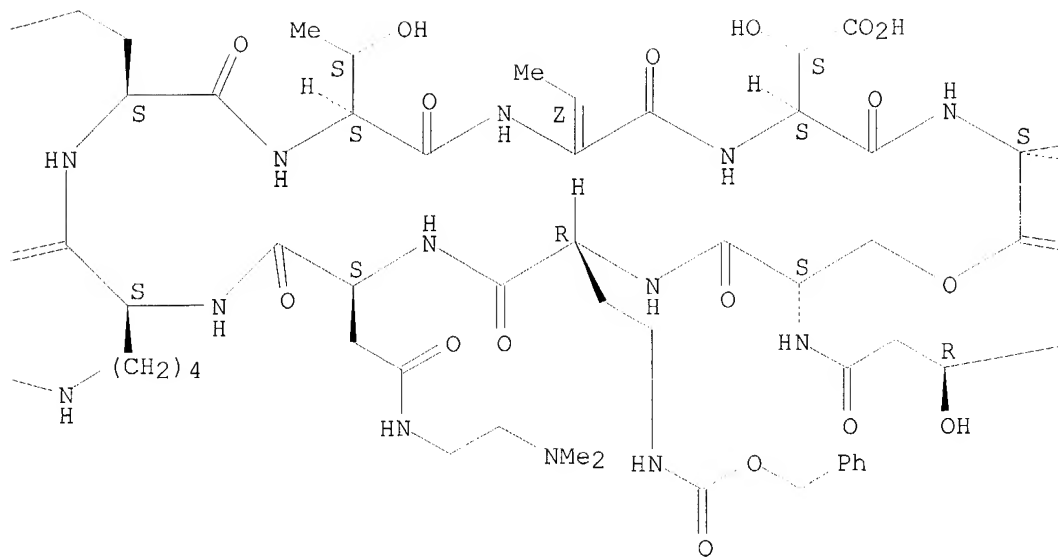
RN 344620-98-0 HCAPLUS
 CN Pseudomycin B, 2-[(2R)-2-amino-4-[[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-[2-(dimethylamino)ethyl]-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

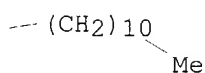
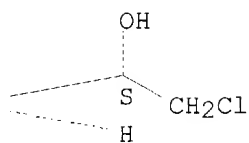
PAGE 1-A



PAGE 1-B



PAGE 1-C



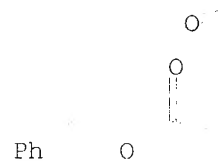
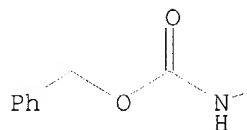
RN 344620-99-1 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3-[N-[2-(diethylamino)ethyl]-L-asparagine]-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]- (9CI) (CA INDEX NAME)

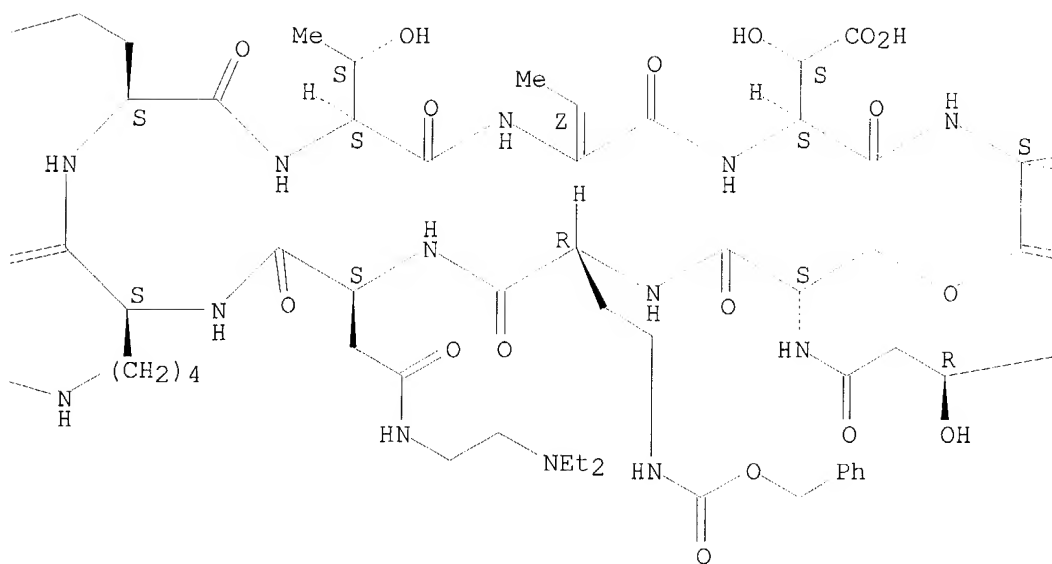
Absolute stereochemistry.

Double bond geometry as shown.

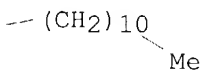
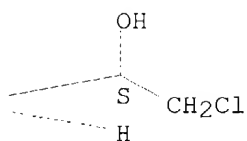
PAGE 1-A



PAGE 1-B



PAGE 1-C

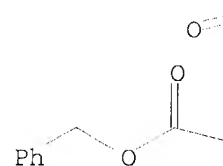
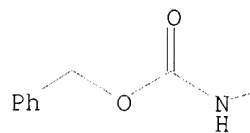


RN 344621-00-7 HCAPLUS

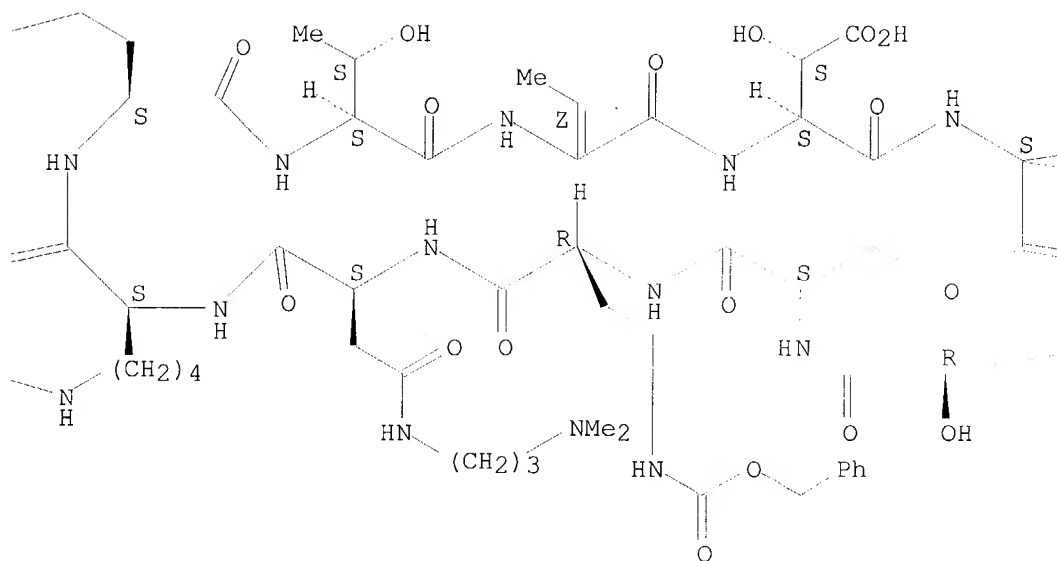
CN Pseudomycin B, 2-[(2R)-2-amino-4-[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-[3-(dimethylamino)propyl]-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

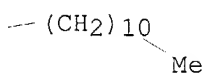
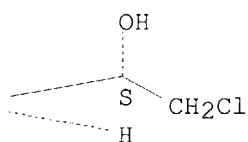
PAGE 1-A



PAGE 1-B



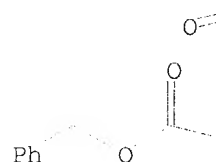
PAGE 1-C



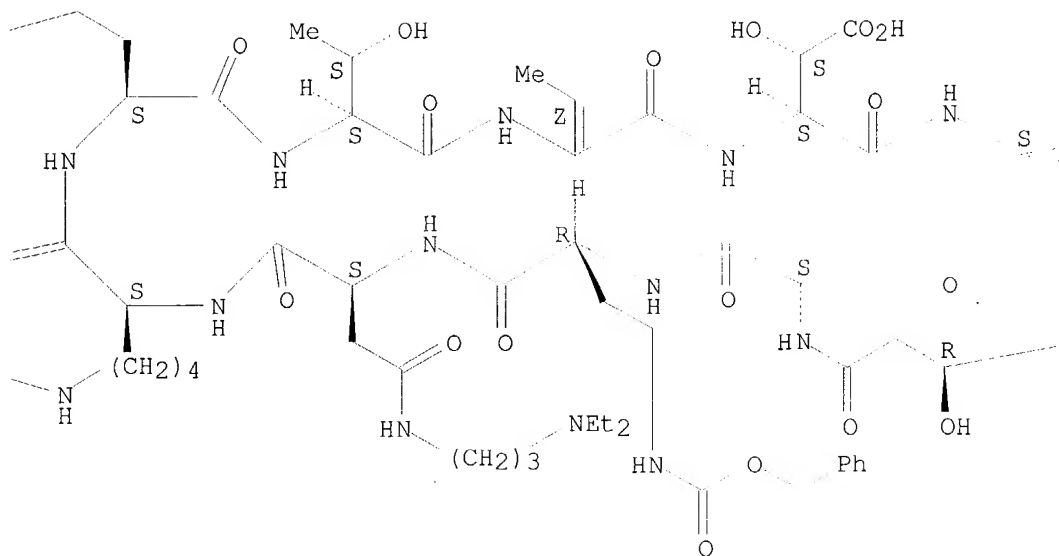
RN 344621-01-8 HCAPLUS
 CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]-3-[N-[3-(diethylamino)propyl]-L-asparagine]-4-[N6-[(phenylmethoxy)carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy)carbonyl]amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.

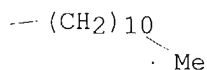
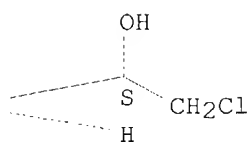
PAGE 1-A



PAGE 1-B



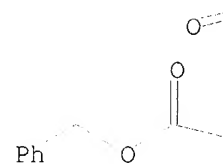
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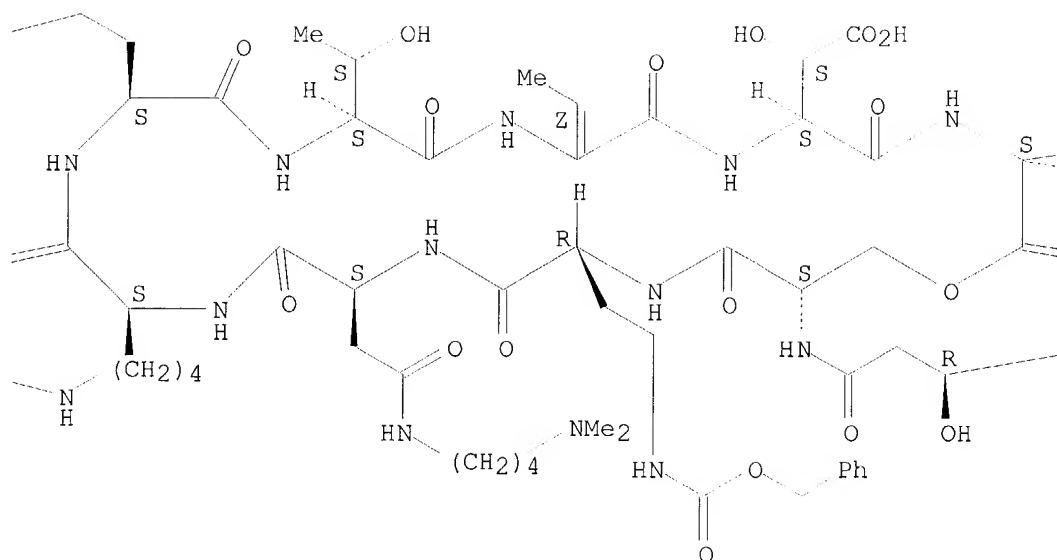
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Absolute stereochemistry.
 Double bond geometry as shown.

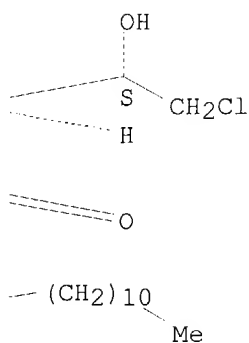
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PAGE 1-B



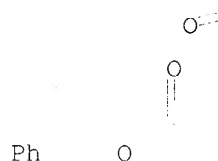
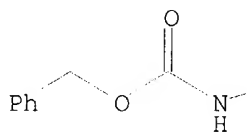
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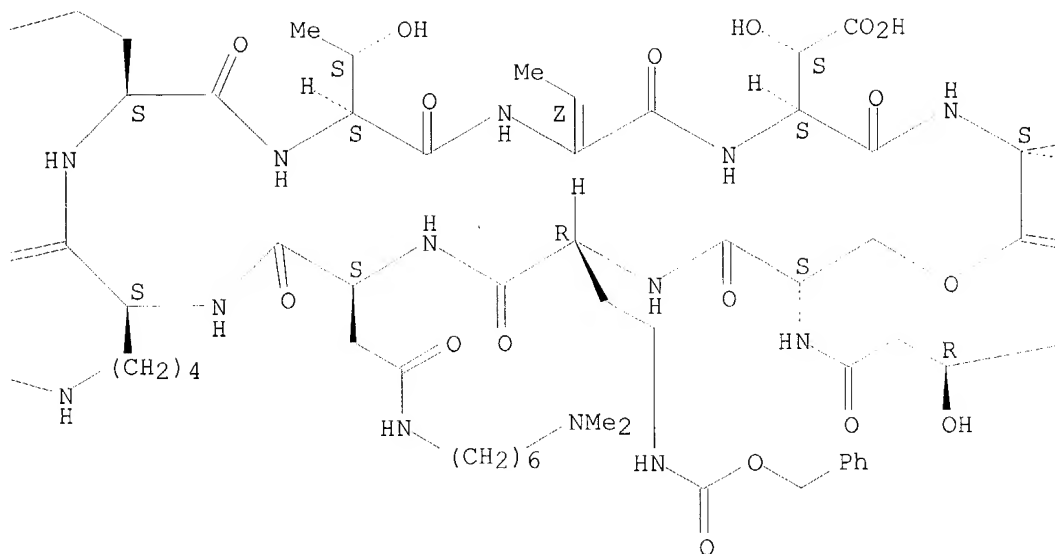
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Absolute stereochemistry.
 Double bond geometry as shown.

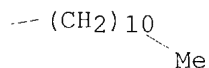
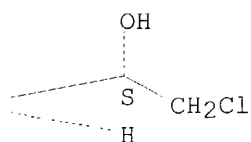
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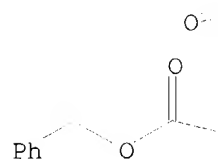
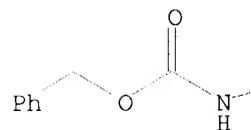
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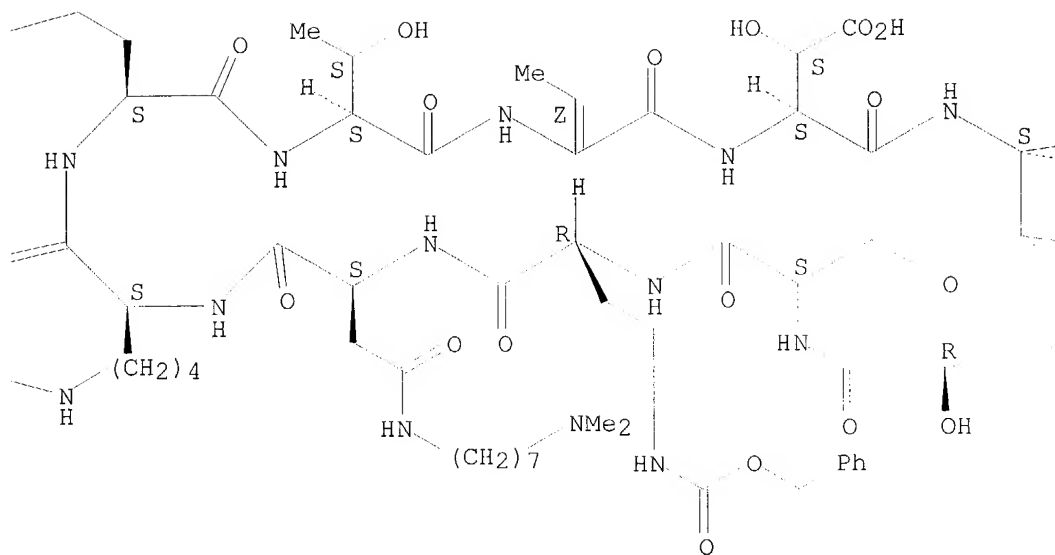
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Absolute stereochemistry.
 Double bond geometry as shown.

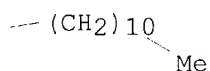
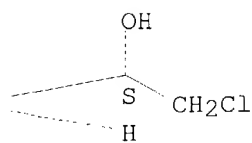
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PAGE 1-B



PAGE 1-C

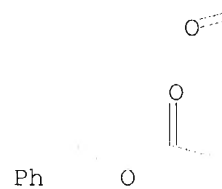
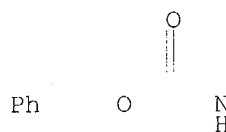


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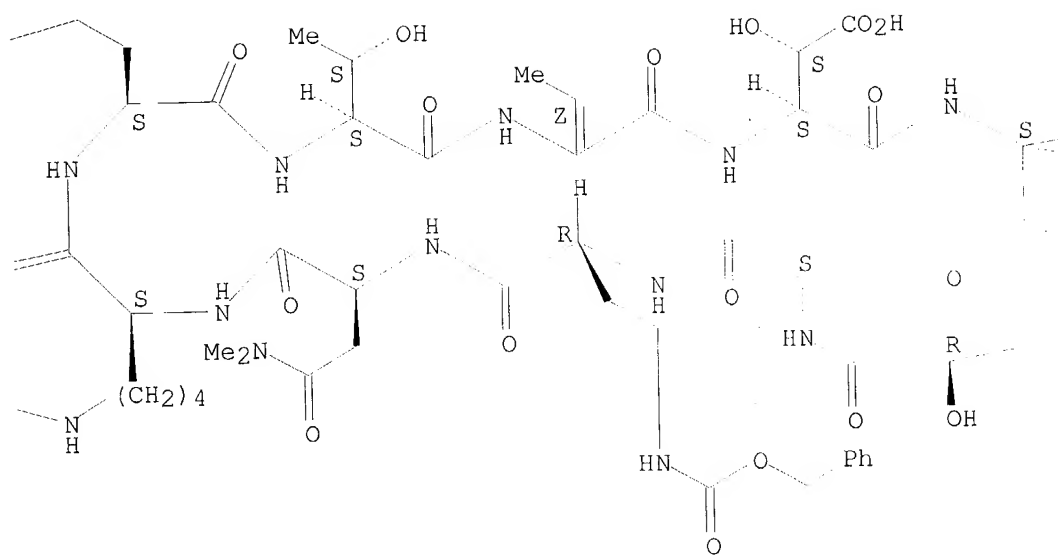
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3-(N,N-dimethyl-L-asparagine)-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

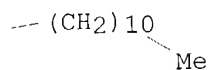
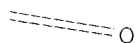
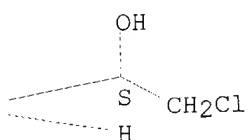
PAGE 1-A



PAGE 1-B



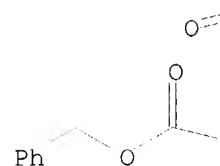
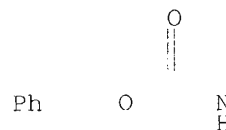
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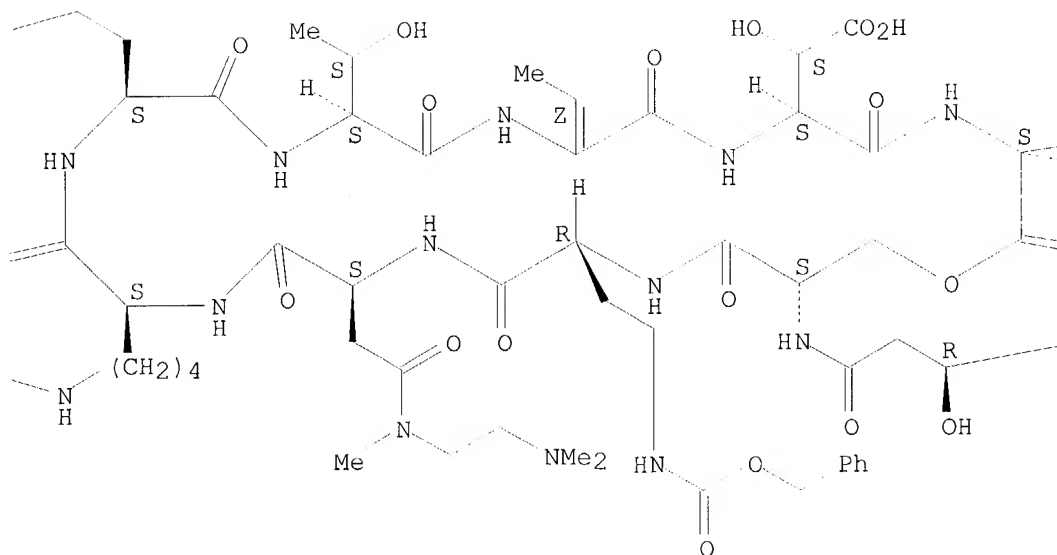
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Absolute stereochemistry.
 Double bond geometry as shown.

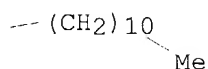
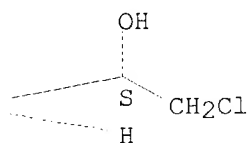
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PAGE 1-B



PAGE 1-C

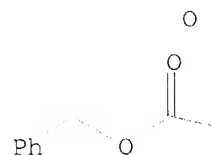
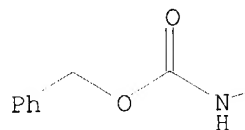


RN 344621-07-4 HCAPLUS

CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3-[N-[(1S)-2-methoxy-2-oxo-1- (phenylmethyl) ethyl]-L-asparagine]-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]- (9CI) (CA INDEX NAME)

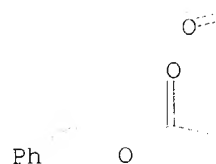
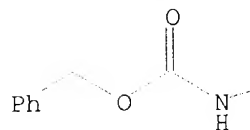
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

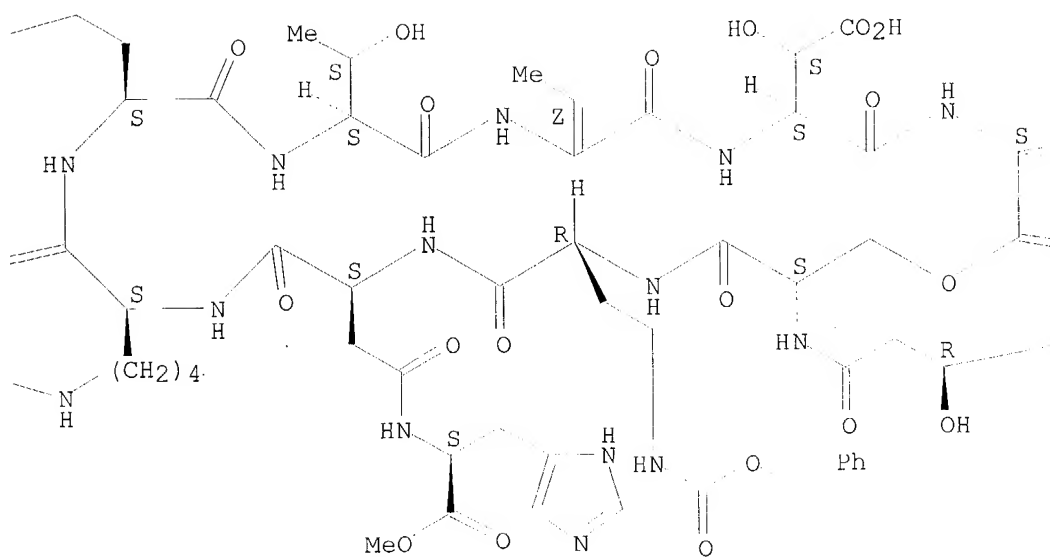


Absolute stereochemistry.
Double bond geometry as shown.

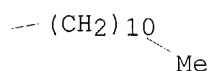
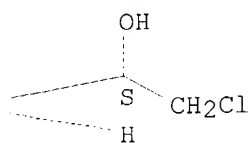
PAGE 1-A



PAGE 1-B



PAGE 1-C

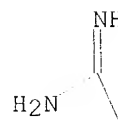
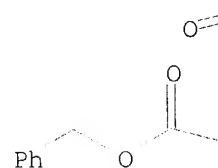
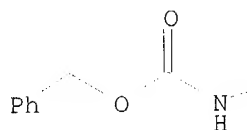


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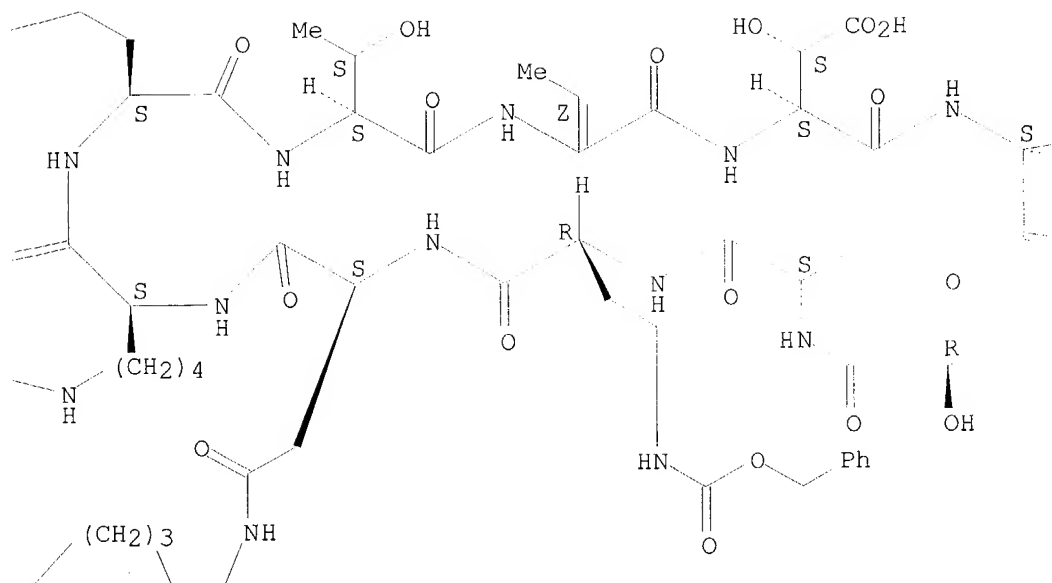
CN Pseudomycin B, 2-[(2R)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]-3-[N-[(1S)-4-[(aminoiminomethyl) amino]-1-(methoxycarbonyl)butyl]-L-asparagine]-4-[N6-[(phenylmethoxy) carbonyl]-L-lysine]-5-[(2S)-2-amino-4-[[(phenylmethoxy) carbonyl] amino]butanoic acid]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

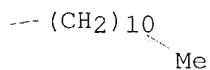
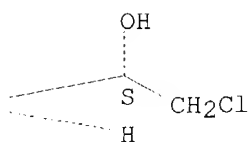
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PAGE 1-B



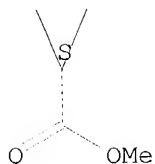
PAGE 1-C



PAGE 2-A



PAGE 2-B



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 9 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:83660 HCAPLUS

DOCUMENT NUMBER: 134:296072

TITLE: The synthesis of pseudomycin C' via a novel acid promoted side-chain deacylation of pseudomycin A

AUTHOR(S): Rodriguez, M. J.; Belvo, M.; Morris, R.; Zeckner, D. J.; Current, W. L.; Sachs, R. K.; Zweifel, M. J.

CORPORATE SOURCE: Lilly Research Laboratories, A Division of Eli Lilly & Company, Indianapolis, IN, 46285, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(2), 161-164

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:296072

AB The γ hydroxyl present in the aliphatic side chain of the natural products pseudomycin A and C' provided a unique handle for the pH dependent side-chain deacylation. Low pH reaction conditions were used to cleave the side chain with minimal degradation of the peptide core. The pseudomycin nucleus intermediate obtained from the deacylation of pseudomycin A was pivotal in the synthesis of novel side-chain analogs. A practical synthesis of a minor fermentation factor pseudomycin C' and related analogs is reported.

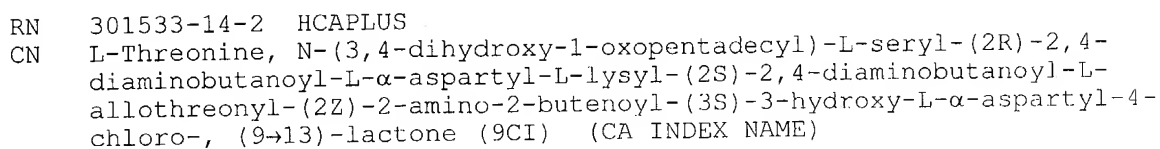
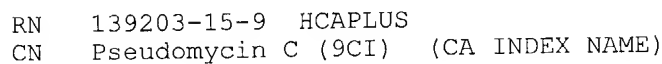
IT 139203-14-8, Pseudomycin b 139203-15-9, Pseudomycin c 301533-14-2, Pseudomycin A' 301533-15-3, Pseudomycin B' 334830-74-9 334830-75-0 334830-76-1

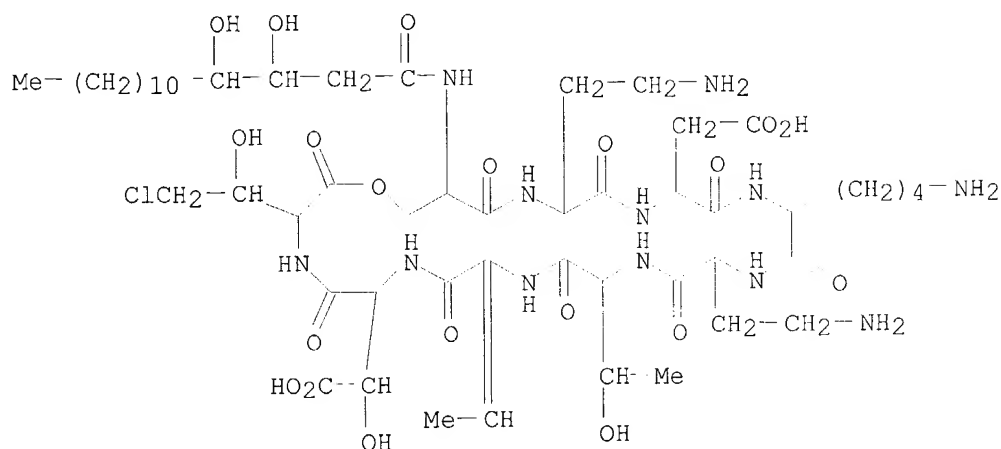
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(synthesis of pseudomycin C' via acid promoted side-chain deacylation of pseudomycin A)

RN 139203-14-8 HCAPLUS

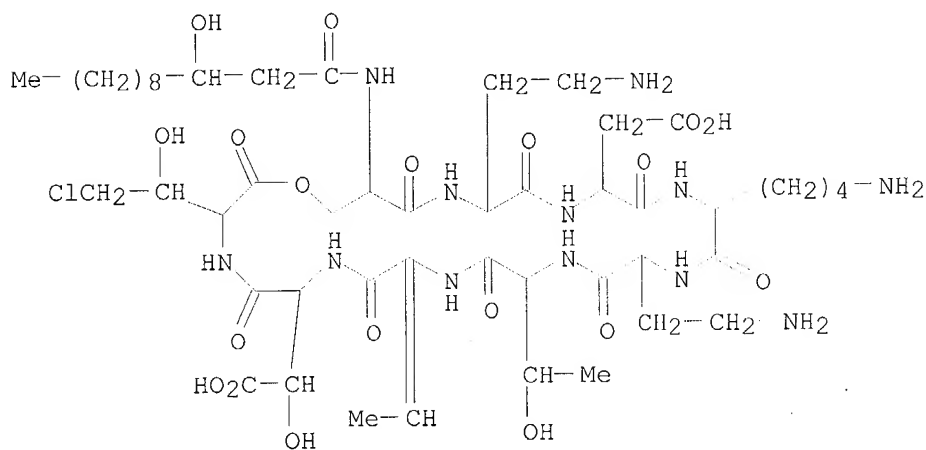
CN Pseudomycin B (9CI) (CA INDEX NAME)





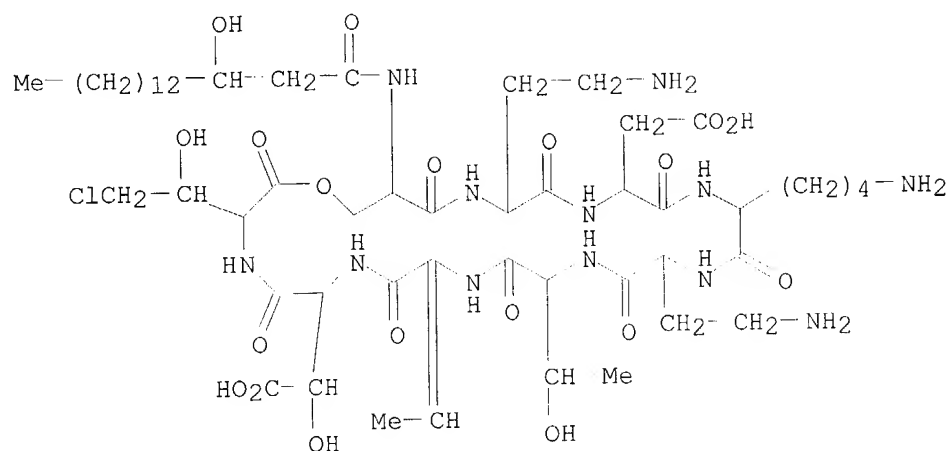
RN 301533-15-3 HCAPLUS

CN L-Threonine, N-(3-hydroxy-1-oxododecyl)-L-seryl-(2R)-2,4-diaminobutanoyl-L- α -aspartyl-L-lysyl-(2S)-2,4-diaminobutanoyl-L-allothreonyl-(2Z)-2-amino-2-butenoyl-(3S)-3-hydroxy-L- α -aspartyl-4-chloro-, (9 \rightarrow 13)-lactone (9CI) (CA INDEX NAME)

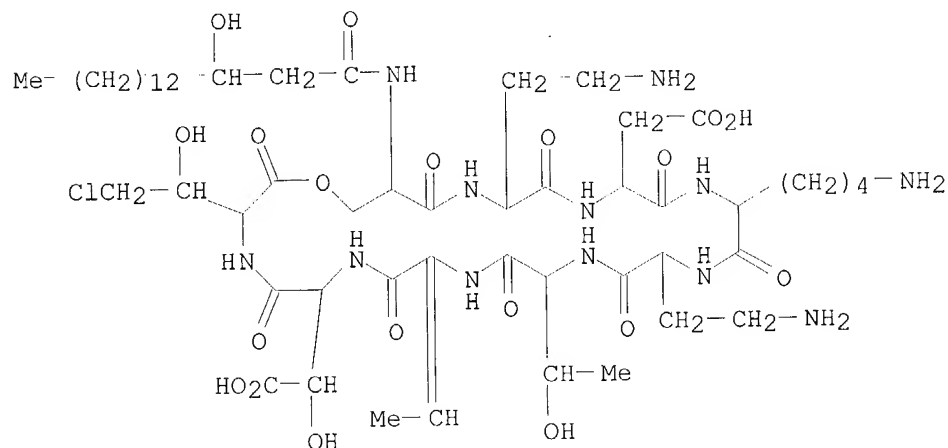


RN 334830-74-9 HCAPLUS

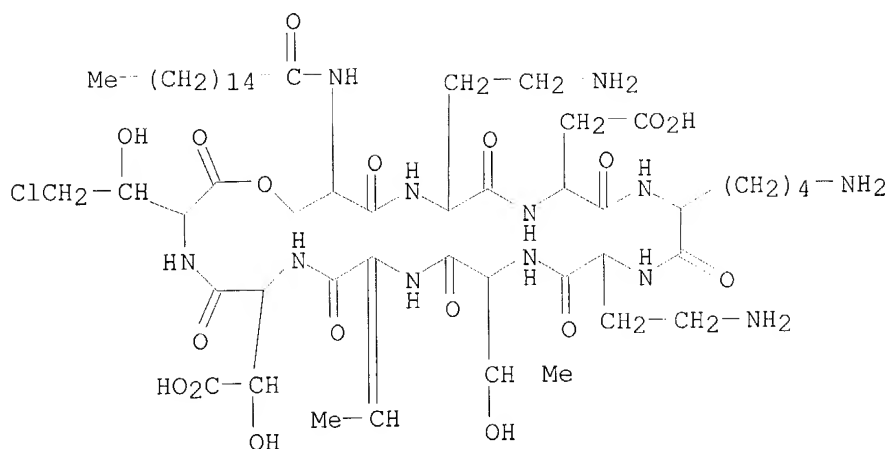
CN Pseudomycin C', 1-[N-[(3S)-3-hydroxy-1-oxohexadecyl]-L-serine]- (9CI) (CA INDEX NAME)



RN 334830-75-0 HCAPLUS
 CN Pseudomycin C', 1-[N-(3-hydroxy-1-oxohexadecyl)-L-serine]- (9CI) (CA
 INDEX NAME)

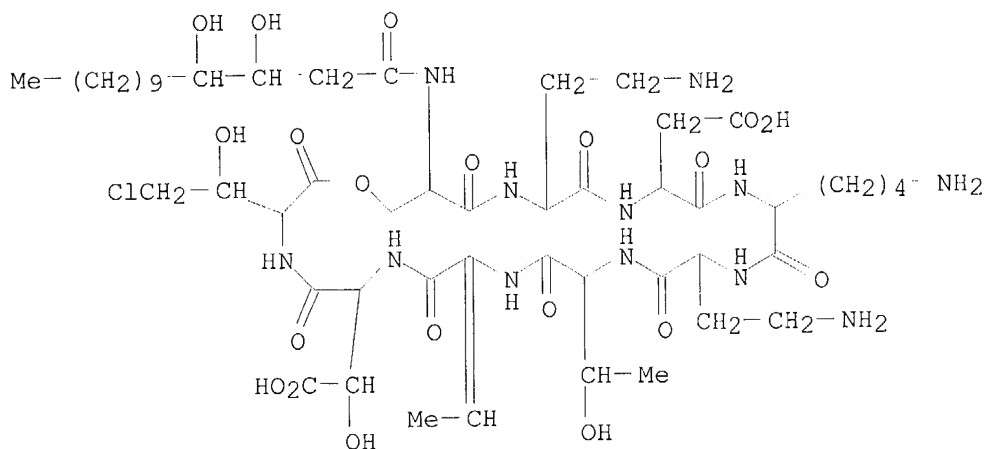


RN 334830-76-1 HCAPLUS
 CN Pseudomycin C, 1-[N-(1-oxohexadecyl)-L-serine]- (9CI) (CA INDEX NAME)



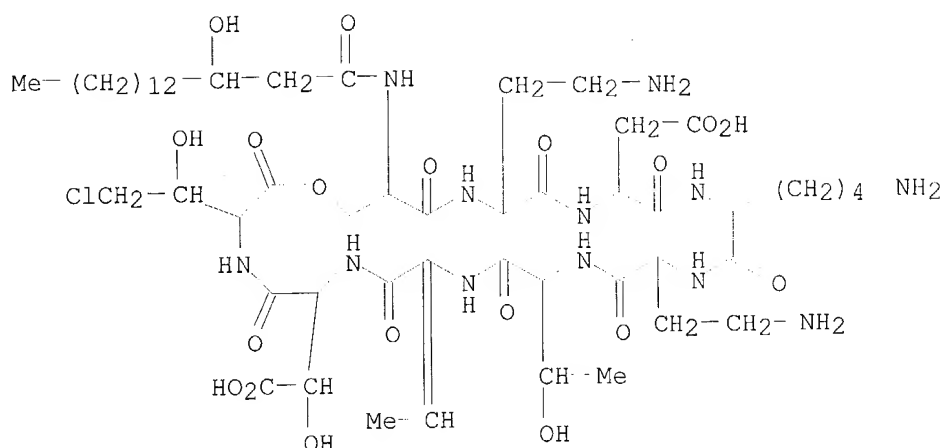
139203-13-7, Pseudomycin A
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(synthesis of pseudomycin C' via acid promoted side-chain deacylation of pseudomycin A)

CN Pseudomycin A (9CI) (CA INDEX NAME)



162443-73-4P, Pseudomycin C
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of pseudomycin C' via acid promoted side-chain deacylation of pseudomycin A)

RN	102443	75	4	NON-ES
CN	Pseudomycin C'	(9CI)		(CA INDEX NAME)



L59 ANSWER 10 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:83652 HCAPLUS
DOCUMENT NUMBER: 134:266549
TITLE: 8-amido-bearing pseudomycin B (PSB) analogue: novel
antifungal agents
AUTHOR(S): Zhang, Y.-Z.; Sun, X.; Zeckner, D. J.; Sachs, R. K.;
Current, W. L.; Chen, S.-H.
CORPORATE SOURCE: Lilly Research Laboratories, A Division of Eli Lilly
and Company, Indianapolis, IN, 46285, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001),
11(2), 123-126
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:266549

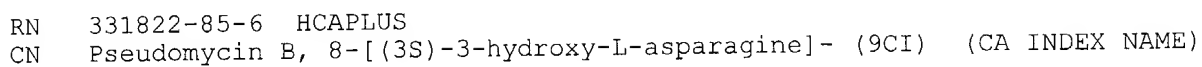
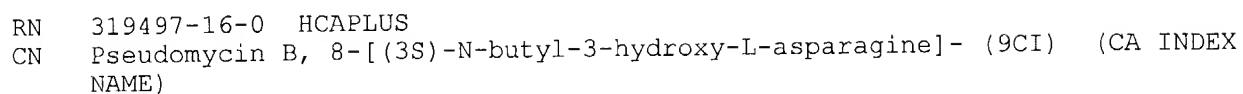
OTHER SOURCE(S): CASREACT 154-269341
AB During the course of a structure-activity relationship (SAR) study on novel depsinonapeptide pseudomycin B (PSB), we synthesized a total of 12 8-amidopseudomycin analogs via standard two-step sequence from either benzyloxycarbonyl- or allyloxycarbonyl-protected PSB. A number of these amides exhibited good in vitro antifungal activities.

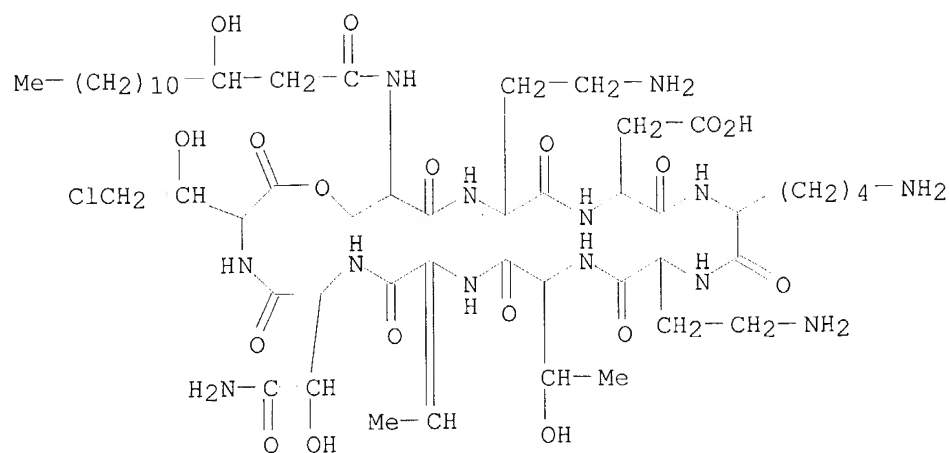
IT 139203-14-8DP, Pseudomycin b, amide analogs 319497-16-0P
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331822-92-5P 331822-93-6P 331822-94-7P
331822-95-8P 331822-96-9P

331822-95-8P 331822-96-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antifungal activity of pseudomycin B amides)

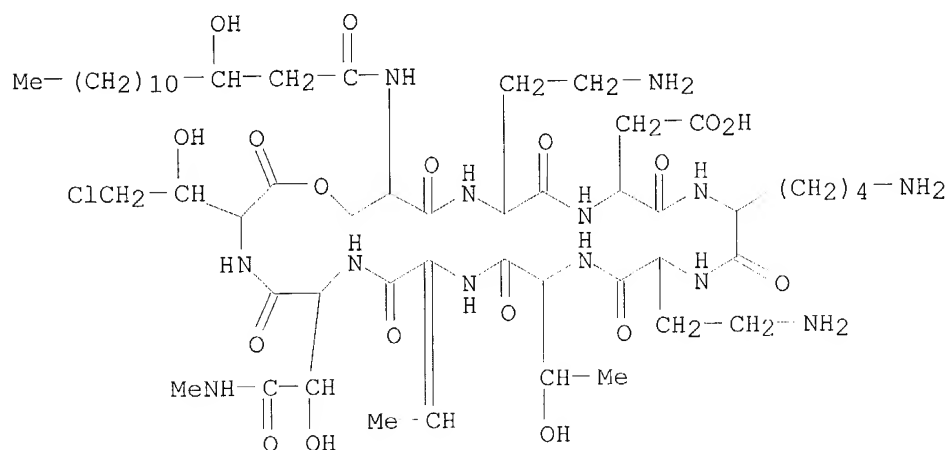
RN 139203-14-8 HCAPLUS

KN	199203 11 3	199203
CN	Pseudomycin B (9CI)	(CA INDEX NAME)





RN 331822-86-7 HCAPLUS
 CN Pseudomycin B, 8-[(3S)-3-hydroxy-N-methyl-L-asparagine]-(9CI) (CA INDEX NAME)



RN 331822-87-8 HCAPLUS
 CN Pseudomycin B, 8-[(3S)-N-ethyl-3-hydroxy-L-asparagine]-(9CI) (CA INDEX NAME)

